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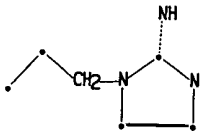
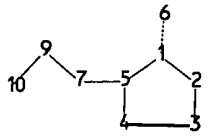
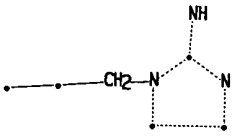


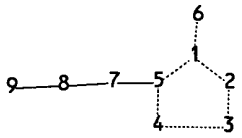


chain nodes :
6 7 9
ring nodes :
1 2 3 4 5
ring/chain nodes :
10
chain bonds :
1-6 5-7 7-9 9-10
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5
exact bonds :
5-7 7-9 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 9:CLASS 10:CLASS

C:\STNEXP4\QUERIES\10009607.str





chain nodes :
6 7 8
ring nodes :
1 2 3 4 5
ring/chain nodes :
9
chain bonds :
1-6 5-7 7-8 8-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5
exact bonds :
5-7 7-8 8-9
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS

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=>
Uploading 10009607.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
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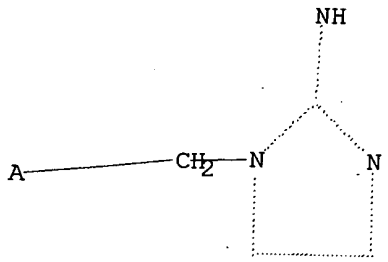


```
Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:53:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   2011 TO ITERATE

 49.7% PROCESSED    1000 ITERATIONS                          34 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        37531 TO    42909
PROJECTED ANSWERS:             871 TO     1863

L2            34 SEA SSS SAM L1

=>
Uploading 10009607.str

L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3                STR
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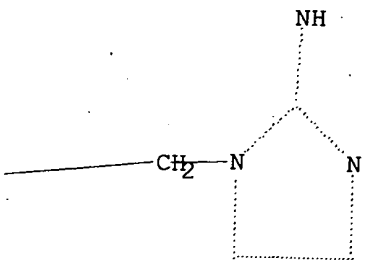


Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam
SAMPLE SEARCH INITIATED 17:55:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 797 TO ITERATE

100.0% PROCESSED 797 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14247 TO 17633
PROJECTED ANSWERS: 608 TO 1472

L4 50 SEA SSS SAM L3

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L5 SCREEN CREATED

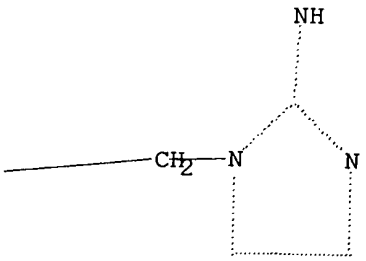
=>
Uploading C:\STNEXP4\QUERIES\10009607.str

L6 STRUCTURE UPLOADED

=> que L6 NOT L5

L7 QUE L6 NOT L5

=> d l7
L7 HAS NO ANSWERS
L5 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L6 STR



Structure attributes must be viewed using STN Express query preparation.
L7 QUE L6 NOT L5

=> s l7 sss sam

```
SAMPLE SEARCH INITIATED 18:01:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     724 TO ITERATE

100.0% PROCESSED      724 ITERATIONS                                  50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        12866 TO     16094
PROJECTED ANSWERS:             592 TO      1448

L8            50 SEA SSS SAM L6 NOT L5

=> s l7 sss ful
FULL SEARCH INITIATED 18:02:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  15039 TO ITERATE

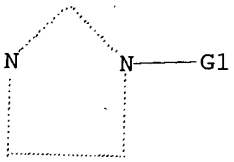
100.0% PROCESSED   15039 ITERATIONS                                 899 ANSWERS
SEARCH TIME: 00.00.01

L9           899 SEA SSS FUL L6 NOT L5

=>
Uploading 10009607 (sub1).str

L10      STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10                STR
```




```
G1 O,S,N


Structure attributes must be viewed using STN Express query preparation.


=> s l10 sub=l9 sss sam
SAMPLE SUBSET SEARCH INITIATED 18:04:00 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -      13 TO ITERATE

100.0% PROCESSED       13 ITERATIONS                                 13 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):          ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):          44 TO      476
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):             44 TO      476

L11           13 SEA SUB=L9 SSS SAM L10

=> s l10 sub=l9 sss ful
```

```
FULL SUBSET SEARCH INITIATED 18:04:57 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -     235 TO ITERATE

100.0% PROCESSED      235 ITERATIONS                                 235 ANSWERS
SEARCH TIME: 00.00.01

L12          235 SEA SUB=L9 SSS FUL L10

=> s l9 not l12
L13         664 L9 NOT L12

=> d his

     (FILE 'HOME' ENTERED AT 17:52:55 ON 26 JUN 2003)

     FILE 'REGISTRY' ENTERED AT 17:52:59 ON 26 JUN 2003
L1                STRUCTURE UPLOADED
L2             34 S L1 SSS SAM
L3                STRUCTURE UPLOADED
L4             50 S L3 SSS SAM
L5                SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
L6                STRUCTURE UPLOADED
L7                QUE L6 NOT L5
L8             50 S L7 SSS SAM
L9            899 S L7 SSS FUL
L10               STRUCTURE UPLOADED
L11            13 S L10 SSS SAM SUB=L9
L12           235 S L10 SSS FUL SUB=L9
L13           664 S L9 NOT L12

     FILE 'CAPLUS' ENTERED AT 18:05:08 ON 26 JUN 2003

=> s l13
L14         234 L13

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047

L15    SCREEN CREATED

=>
Uploading C:\STNEXP4\QUERIES\10009607.str

L16      STRUCTURE UPLOADED

=> que L16 NOT L15

L17   QUE L16 NOT L15

=> s l17 sub=l9 sss sam
SAMPLE SUBSET SEARCH INITIATED 18:08:34 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -      51 TO ITERATE

100.0% PROCESSED       51 ITERATIONS                                  17 ANSWERS
```

```
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):                ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):               592 TO     1448
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):                   93 TO      587

L18          17 SEA SUB=L9 SSS SAM L16 NOT L15

=> s l17 sub=l9 sss ful
FULL SUBSET SEARCH INITIATED 18:08:42 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -     899 TO ITERATE

100.0% PROCESSED      899 ITERATIONS                                256 ANSWERS
SEARCH TIME: 00.00.01

L19          256 SEA SUB=L9 SSS FUL L16 NOT L15

=> s l19
L20          66 L19

=> d l20 1-66 bib,ab,hitstr
```

L20 ANSWER 1 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2003:434540 CAPLUS
TI Preparation of substituted aryl pyrazine derivatives as CRF1 receptor antagonists useful against anxiety disorders, depression and stress related disorders
IN Verhoest, Patrick R.; Hoffman, Robert L.; Corbett, Jeffrey W.; Ennis, Michael D.; Frank, Kristine E.; Fu, Jian-Min
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003045924	A1	20030605	WO 2002-US33642	20021115

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-332052P P 20011121
US 2002-358546P P 20020221
US 2002-338285P P 20020613
US 2002-410378P P 20020913

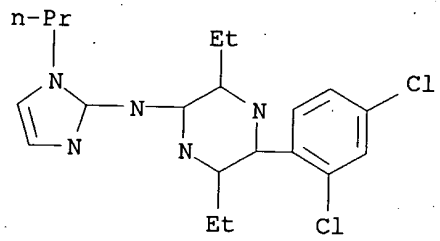
AB Substituted aryl 1,4-pyrazine derivs. (shown as I; variables defined below; e.g. 5-(2,4-dichlorophenyl)-N-((1R,2S)-2-ethoxy-2,3-dihydro-1H-inden-1-yl)-3,6-diethylpyrazin-2-amine) and their use in treating anxiety disorders, depression and stress related disorders are disclosed. The binding affinity of I for the corticotropin releasing factor type I receptor expressed as IC50 values generally ranges from .apprx.0.5 nM to .apprx.10 .mu.M; no specific values are given. Although the methods of prepn. are not claimed, 131 example prepns. of I and 190 example prepns. of intermediates are included. For I: X = -NR3R4, -OR3, -CR3R5R5, -C(O)R3, -S(O)mR3, - NR3C(O)R4, or -NR3S(O)mR4, m = 0-2; Ar = aryl, substituted aryl, heteroaryl, or substituted heteroaryl; R1, R2, and R5 = halogen, -NO2, -CN, -Ra, -ORa, -S(O)mRa, -NRaRa, -C(O)NRaRa, -C(S)NRaRa, -S(O)mNRaRa, -NRaS(O)mRa, -NRaC(O)ORa, -OC(O)NRaRa, -NRaC(O)NRaRa, -NRaC(S)NRaRa, -C(O)ORa, -C(S)ORa, or -OC(O)ORa. R3 and R4 = Ra or substituted and/or unsubstituted heterocycloalkyl, heteroaryl, aryl, aryl cycloalkyl, heteroaryl cycloalkyl, aryl heterocycloalkyl, or heteroaryl heterocycloalkyl; Ra = H, alkyl, cycloalkyl, haloalkyl, aryl, heteroaryl, or heterocycloalkyl (un)substituted with 1 to 5 of Rt, -ORt, -S(O)mRt, NRtRt, oxo, thione (:S), Ph, heteroaryl, or heterocycloalkyl; Rt = H, halogen, -NO2, -NH2, -OH, -SH, -CN, -C(O)NH2, - C(O)NHalkyl, -C(O)Nalkylalkyl, -Oalkyl, NHalkyl, Nalkylalkyl, -S(O)malkyl, SO2NH2, SO2NHalkyl and SO2Nalkylalkyl, alkyl, cycloalkyl, haloalkyl, Ph, benzyl, heteroaryl, or heterocycloalkyl; addnl. details including specifically excluded compds. are given in the claims. Compds. I are also claimed effective for screening ligands for CRF1 receptors and for detecting CRF1 receptors in tissues.

IT **535936-84-6P**

RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate and receptor detection and ligand screening agent; prepn. of substituted aryl pyrazine derivs. as CRF1 receptor antagonists useful against anxiety disorders, depression and stress related disorders)

RN 535936-84-6 CAPLUS

CN Pyrazinamine, 5-(2,4-dichlorophenyl)-3,6-diethyl-N-(1-propyl-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



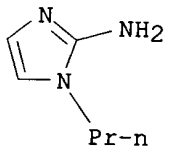
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

IT **535936-82-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted aryl pyrazine derivs. as CRF1 receptor antagonists useful against anxiety disorders, depression and stress related disorders)

RN 535936-82-4 CAPLUS

CN 1H-Imidazol-2-amine, 1-propyl- (9CI) (CA INDEX NAME)



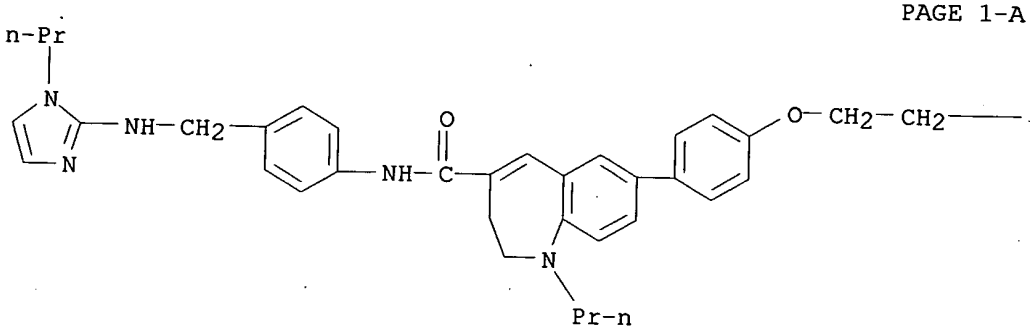
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2003:133263 CAPLUS
DN 138:170241
TI Preparation of benzazepine derivatives as CCR5 antagonists
IN Shiraishi, Mitsuru; Baba, Masanori; Seto, Masaki; Aramaki, Yoshio; Kanzaki, Naoyuki; Miyamoto, Naoki; Iizawa, Yuji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 584 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003014110	A1	20030220	WO 2002-JP8045	20020807
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2003119191	A2	20030423	JP 2002-229553	20020807
PRAI	JP 2001-240718	A	20010808		

OS MARPAT 138:170241
AB The title compds. I [R1 represents a substituted arom. ring; R2 represents lower alkyl, etc.; Y represents optionally substituted imino; rings A and B each represents an optionally substituted arom. ring; and W represents W1X2W2 ; W1 and W2 each represents S(O)m (m is 0, 1, or 2), etc., and X2 represents optionally substituted alkylene, etc.] are prepd. In an in vitro test for CCR5 antagonism, compds. of this invention at 1 .mu.M gave 88% to 100% binding inhibition. A process for prepg. I is disclosed. Formulations are given.
IT **497852-47-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzazepine derivs. as CCR5 antagonists)
RN 497852-47-8 CAPLUS
CN 1H-1-Benzazepine-4-carboxamide, 7-[4-(2-butoxyethoxy)phenyl]-2,3-dihydro-1-propyl-N-[4-[[(1-propyl-1H-imidazol-2-yl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—OBu-n

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2002:849599 CAPLUS
DN 137:353022
TI Preparation of 2-iminoimidazole derivatives as thrombin receptor antagonists
IN Suzuki, Shuichi; Kotake, Makoto; Miyamoto, Mitsuaki; Kawahara, Tetsuya; Kajiwara, Akiharu; Hishinuma, Ieharu; Okano, Kazuo; Miyazawa, Syuhei; Clark, Richard; Ozaki, Fumihiro; Sato, Nobuaki; Shinoda, Masanobu; Kamada, Atsushi; Tsukada, Itaru; Matsuura, Fumiyoshi; Naoe, Yoshimitsu; Terauchi, Taro; Oohashi, Yoshiaki; Ito, Osamu; Tanaka, Hiroshi; Musya, Takashi; Kogushi, Motoji; Kawada, Tsutomu; Matsuoka, Toshiyuki; Kobayashi, Hiroko; Chiba, Kenichi; Kimura, Akifumi; Ono, Naoto
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002088092	A1	20021107	WO 2002-JP3950	20020419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2001-121829 A 20010419
JP 2001-269422 A 20010905
OS MARPAT 137:353022
AB The 2-iminoimidazole derivs. represented by the formula (I) or salts thereof [wherein R1, R2, R3 = H, cyano, halo, each (un)substituted C1-6 alkyl, alkylidene, C2-6 alkenyl, C2-6 alkynyl, acyl, CO2H, CONH2, C1-6 alkoxycarbonyl, C1-6 alkylaminocarbonyl, HO, C1-6 alkoxy, etc.; or R1 and R2 are linked together to form a 5-membered ring; R6 = H, C1-6 alkyl, acyl, CONH2, HO, C1-6 alkoxy, C1-6 alkyloxycarbonyloxy, C3-8 cycloalkyl, optionally acyloxy-substituted C1-6 alkyloxycarbonyl, etc.; Y1 = a single bond, (CH2)m (wherein m = an integer of 1-3), each (un)substituted CH, CH2, NH, CONH, or SO2NH, etc.; Y2 = a single bond, O, (CH2)m (m = same as above), CO, SO, SO2, each (un)substituted CH, CH2, or C(:NOH); Ar = H, (un)substituted Ph or a 5- to 14-membered arom. heterocyclyl] are prepd. These compds. are antagonists of thrombin receptors, in particular thrombin PAR1 receptor, platelet aggregation inhibitors, or proliferation inhibitors of smooth muscle cell, endothelial cell, fibroblast, kidney cell, osteosarcoma cell, muscle cell, cancer cell and/or glial cell. They are remedies and/or preventives of thrombosis, vascular restenosis, deep venous thrombosis, lung embolism, cerebral infarction, heart disease, disseminated intravascular coagulation syndrome, hypertension, inflammation, rheumatism, asthma, glomerulonephritis, osteoporosis, neuropathy and/or malignant tumor. Thus, a soln. of 305 mg 1-(3-ethylpentyl)-1H-2-imidazoleamine and 660 mg 2-bromo-1-[3,5-di(tert-butyl)-4-hydroxyphenyl]-1-ethanone in 20 mL ethanol was heated at 60.degree. for 3 h to give 700 mg 1-[3,5-di(tert-butyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2-imino-2,3-dihydroimidazol-1-yl]ethanone hydrobromide

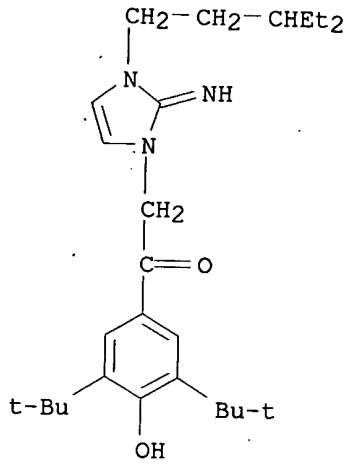
(II). II showed IC50 of 0.074 .mu.M for inhibiting the [3H]Ala-(4-fluoro)Phe-Arg-(cyclohexyl)Ala-(homo)Arg-NH2 binding on human platelet membrane in a thrombin receptor binding assay, that of 0.54 .mu.M for inhibiting the thrombin-induced human platelet aggregation, and that of 0.3 .mu.M for inhibiting the proliferation of rat aortic smooth muscle cell.

IT **474671-16-4P 474671-18-6P 474671-19-7P**
474671-21-1P 474671-22-2P 474671-23-3P
474671-25-5P 474671-26-6P 474671-27-7P
474671-32-4P 474671-33-5P 474671-34-6P
474671-38-0P 474671-42-6P 474671-46-0P
474671-48-2P 474671-52-8P 474671-53-9P
474671-54-0P 474671-55-1P 474671-56-2P
474671-57-3P 474671-58-4P 474671-59-5P
474671-60-8P 474671-61-9P 474671-62-0P
474671-64-2P 474671-65-3P 474671-66-4P
474671-67-5P 474671-69-7P 474671-71-1P
474671-73-3P 474671-74-4P 474671-75-5P
474671-76-6P 474671-77-7P 474671-79-9P
474671-81-3P 474671-82-4P 474671-83-5P
474671-84-6P 474671-85-7P 474671-86-8P
474671-87-9P 474671-88-0P 474671-89-1P
474671-90-4P 474671-91-5P 474671-92-6P
474671-93-7P 474671-94-8P 474671-95-9P
474671-96-0P 474671-97-1P 474671-98-2P
474671-99-3P 474672-00-9P 474672-01-0P
474672-02-1P 474672-03-2P 474672-04-3P
474672-05-4P 474672-09-8P 474672-11-2P
474672-14-5P 474672-18-9P 474672-23-6P
474672-29-2P 474672-30-5P 474672-32-7P
474672-46-3P 474672-49-6P 474672-50-9P
474672-51-0P 474672-52-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-iminoimidazole derivs. as thrombin receptor antagonists, platelet aggregation inhibitors, or cell proliferation inhibitors for prevention and/or treatment of diseases)

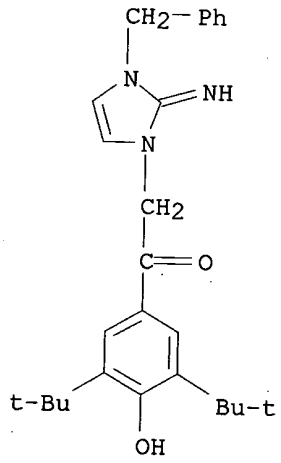
RN 474671-16-4 CAPLUS

CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



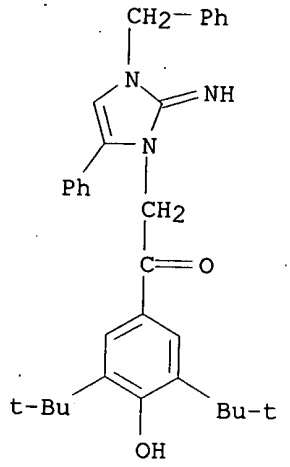
● HBr

RN 474671-18-6 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



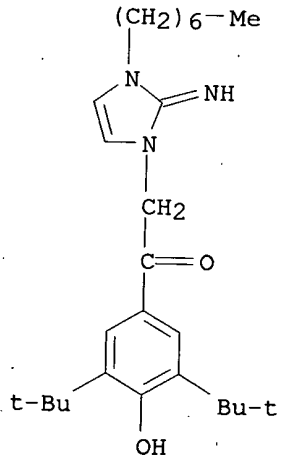
● HBr

RN 474671-19-7 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-5-phenyl-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



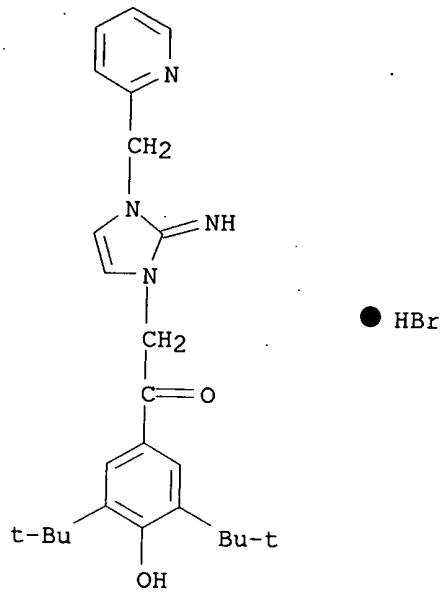
● HBr

RN 474671-21-1 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(3-heptyl-2,3-dihydro-2-imino-1H-imidazol-1-yl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

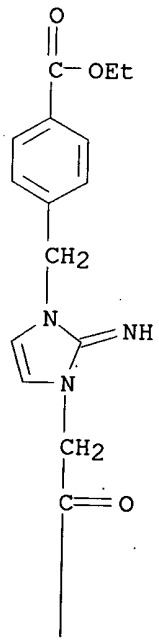
RN 474671-22-2 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(2-pyridinylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



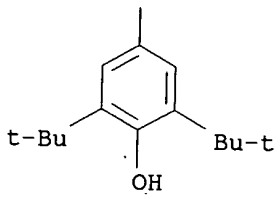
● HBr

RN 474671-23-3 CAPLUS
CN Benzoic acid, 4-[[3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]methyl]-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



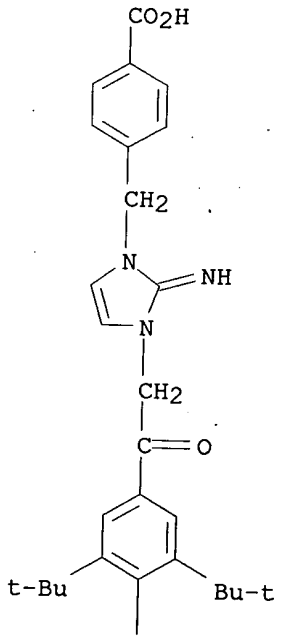
● HBr

RN 474671-25-5 CAPLUS
CN Benzoic acid, 4-[[3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 474671-24-4
CMF C27 H33 N3 O4

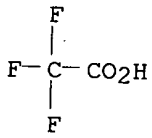
PAGE 1-A



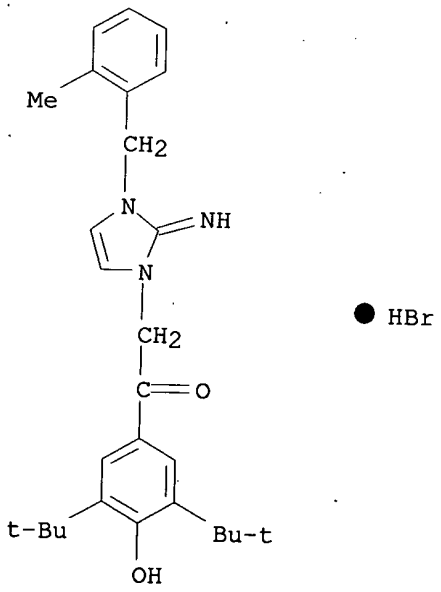
PAGE 2-A

CM 2

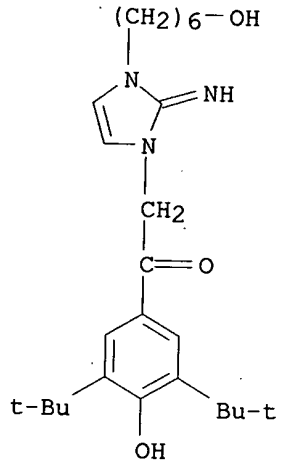
CRN 76-05-1
CMF C2 H F3 O2



RN 474671-26-6 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-[(2-methylphenyl)methyl]-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

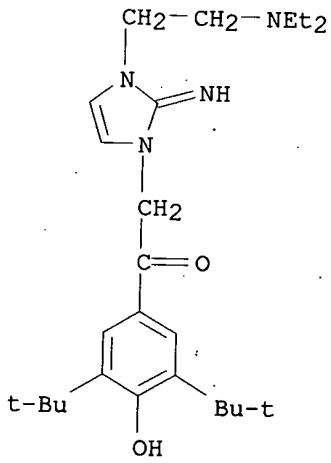


RN 474671-27-7 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-3-(6-hydroxyhexyl)-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

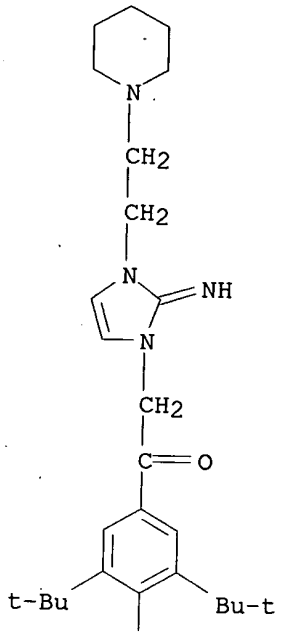
RN 474671-32-4 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-[2-(diethylamino)ethyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 474671-33-5 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-[2-(1-piperidinyl)ethyl]-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

PAGE 1-A

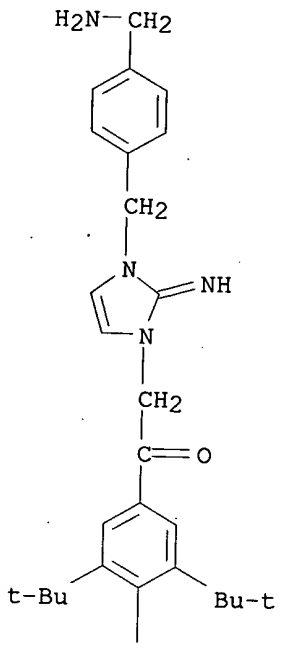


PAGE 2-A

● HBr

RN 474671-34-6 CAPLUS
CN Ethanone, 2-[3-[[4-(aminomethyl)phenyl]methyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

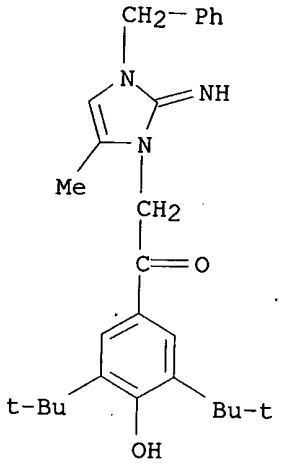
PAGE 1-A



PAGE 2-A

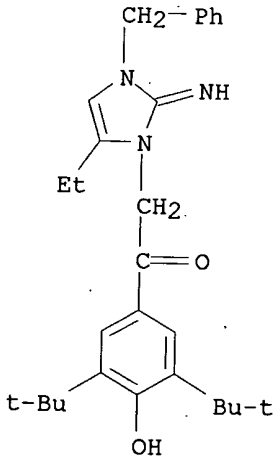
● HCl

RN 474671-38-0 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-5-methyl-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 474671-42-6 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[5-ethyl-2,3-dihydro-2-imino-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

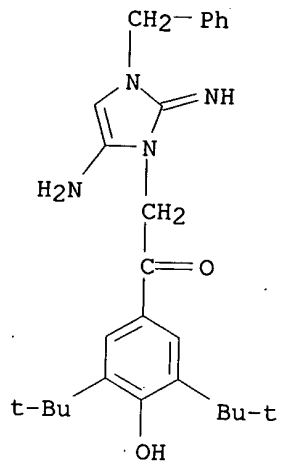


● HBr

RN 474671-46-0 CAPLUS
CN Ethanone, 2-[5-amino-2,3-dihydro-2-imino-3-(phenylmethyl)-1H-imidazol-1-yl]-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

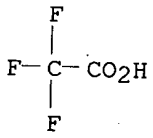
CM 1

CRN 474671-45-9
CMF C26 H34 N4 O2



CM 2

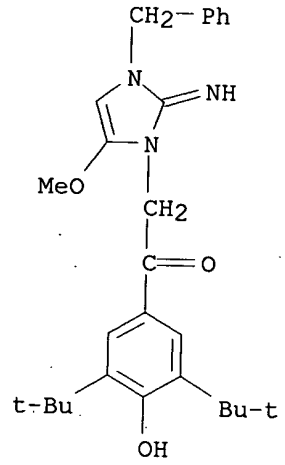
CRN 76-05-1
CMF C2 H F3 O2



RN 474671-48-2 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-5-methoxy-3-(phenylmethyl)-1H-imidazol-1-yl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

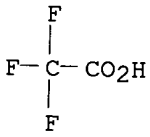
CM 1

CRN 474671-47-1
CMF C27 H35 N3 O3

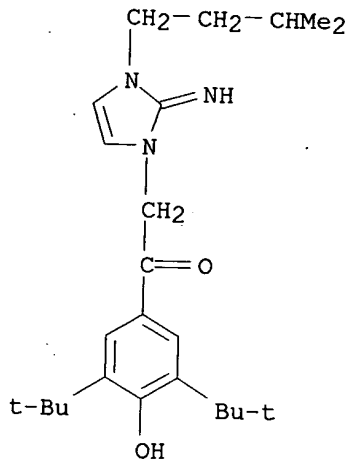


CM 2

CRN 76-05-1
CMF C2 H F3 O2

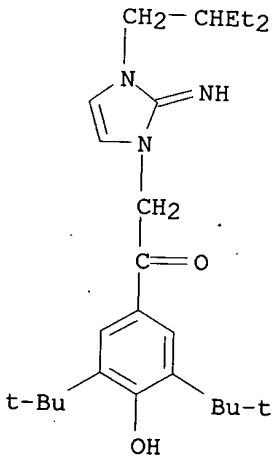


RN 474671-52-8 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(3-methylbutyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



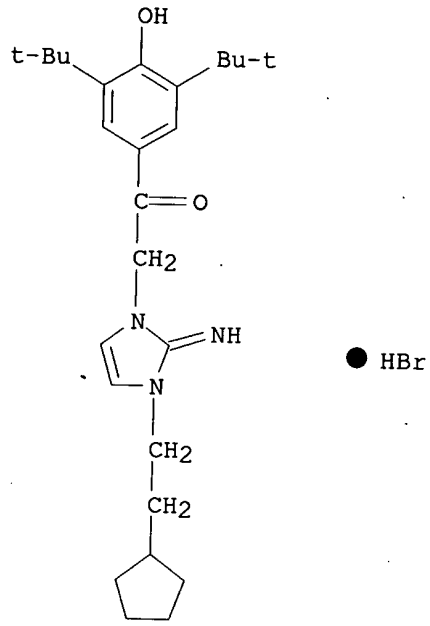
● HBr

RN 474671-53-9 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(2-ethylbutyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

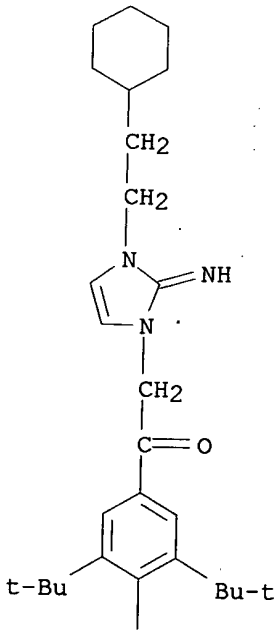
RN 474671-54-0 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(2-cyclopentylethyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

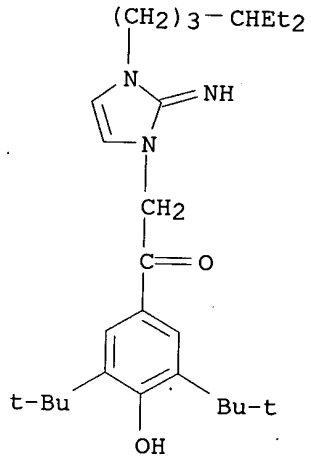
RN 474671-55-1 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(2-cyclohexylethyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

PAGE 1-A



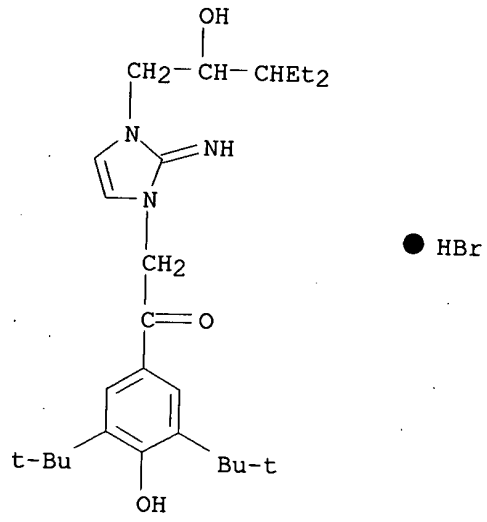
● HBr

RN 474671-56-2 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(4-ethylhexyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

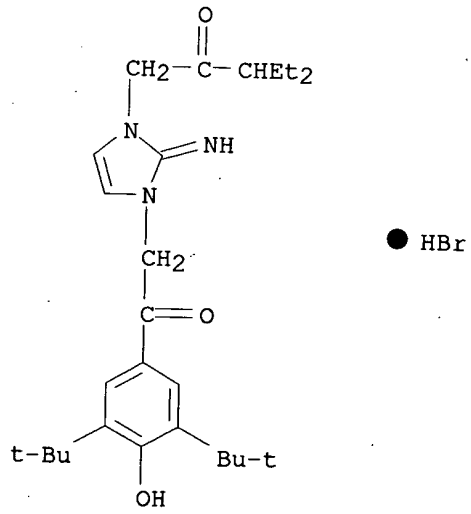


● HBr

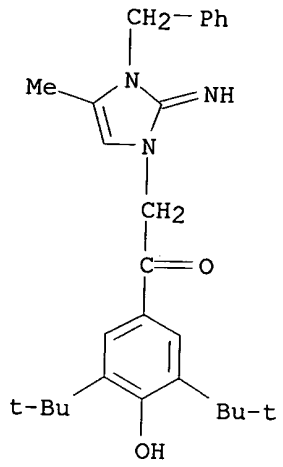
RN 474671-57-3 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethyl-2-hydroxypentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



RN 474671-58-4 CAPLUS
CN 2-Pentanone, 1-[3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]-3-ethyl-, monohydrobromide (9CI) (CA INDEX NAME)

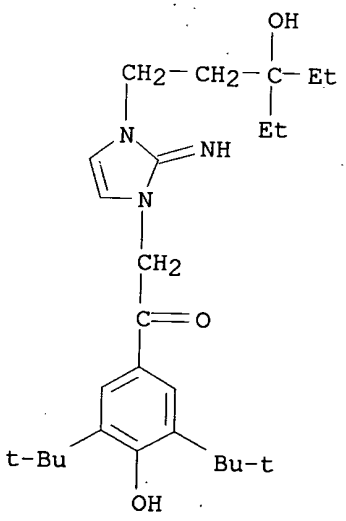


RN 474671-59-5 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-4-methyl-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



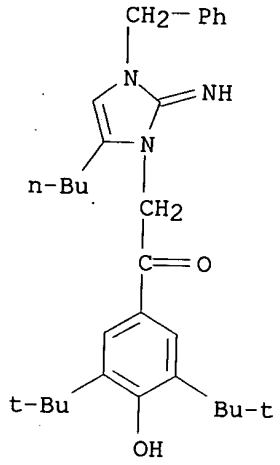
● HBr

RN 474671-60-8 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethyl-3-hydroxypentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



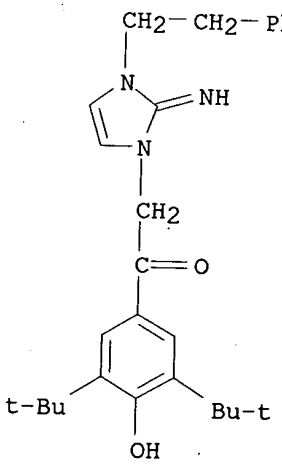
● HBr

RN 474671-61-9 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[5-butyl-2,3-dihydro-2-imino-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



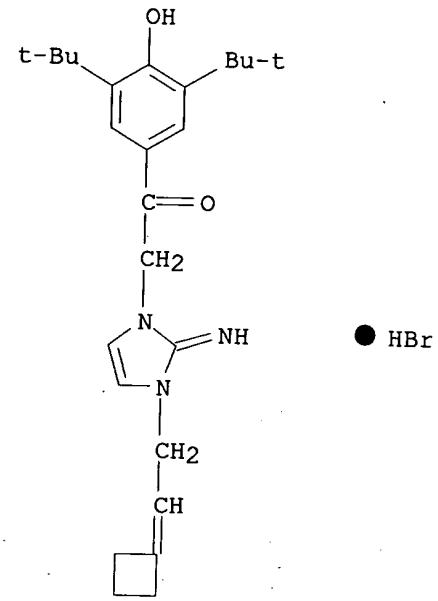
● HBr

RN 474671-62-0 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(2-phenylethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

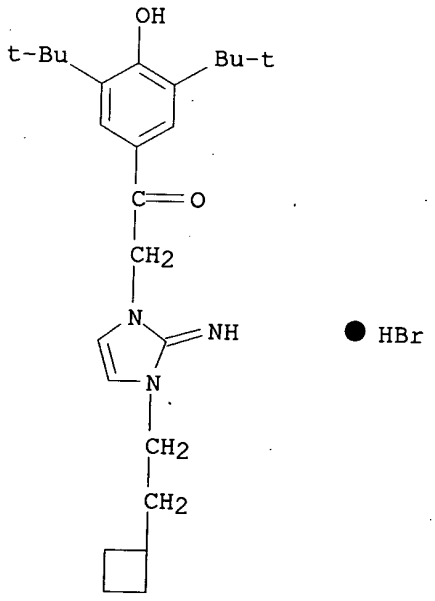


● HBr

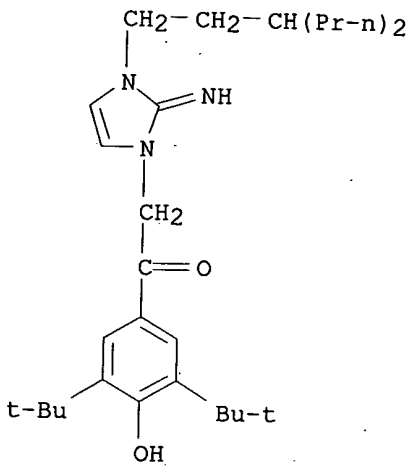
RN 474671-64-2 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(2-cyclobutylideneethyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



RN 474671-65-3 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(2-cyclobutylethyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

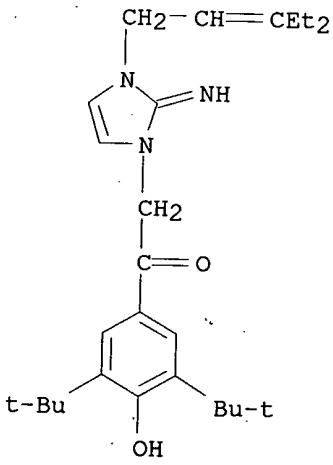


RN 474671-66-4 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(3-propylhexyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



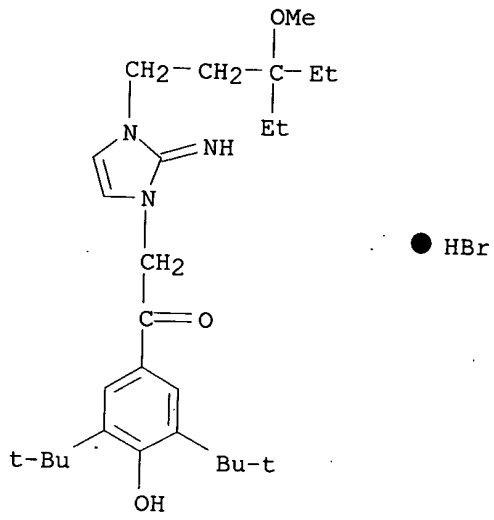
● HBr

RN 474671-67-5 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethyl-2-pentenyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

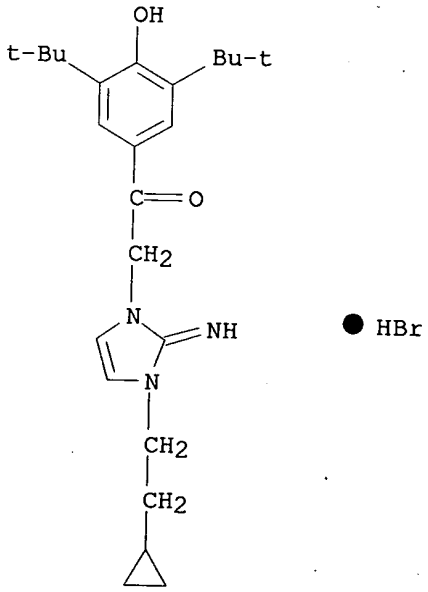


● HBr

RN 474671-69-7 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethyl-3-methoxypentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



RN 474671-71-1 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(2-cyclopropylethyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

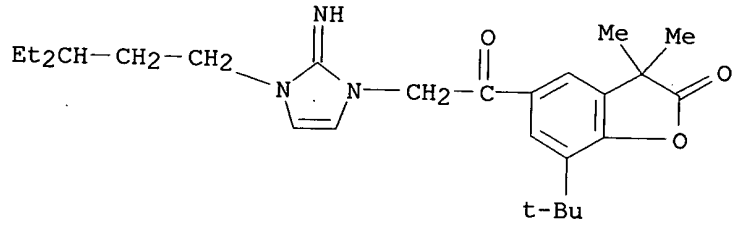


RN 474671-73-3 CAPLUS
CN 2(3H)-Benzofuranone, 7-(1,1-dimethylethyl)-5-[[3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]acetyl]-3,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

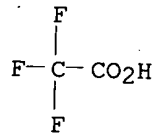
CRN 474671-72-2

CMF C26 H37 N3 O3

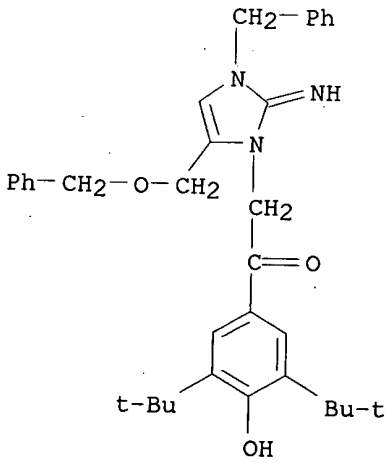


CM 2

CRN 76-05-1
CMF C2 H F3 O2



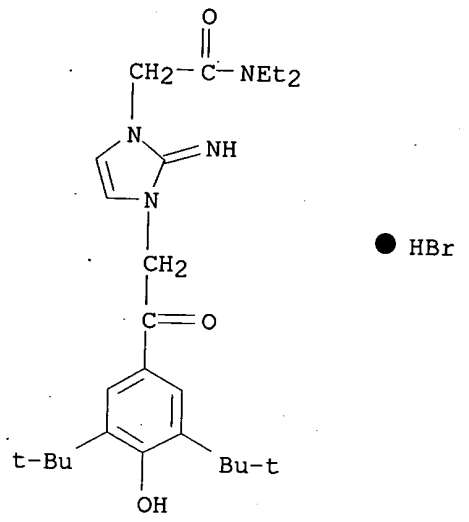
RN 474671-74-4 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-5-[(phenylmethoxy)methyl]-3-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



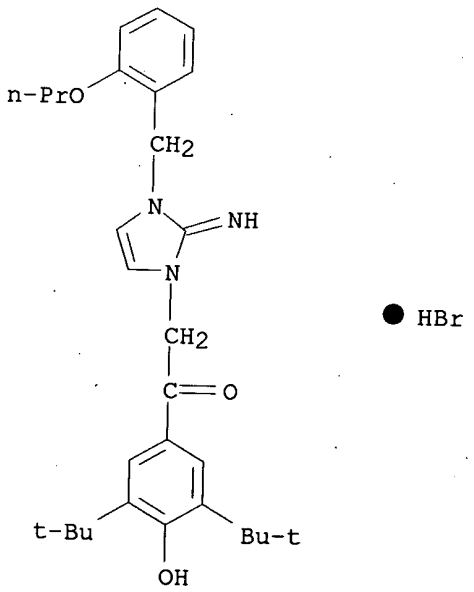
● HCl

RN 474671-75-5 CAPLUS
CN 1H-Imidazole-1-acetamide, 3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-N,N-diethyl-2,3-dihydro-2-imino-,

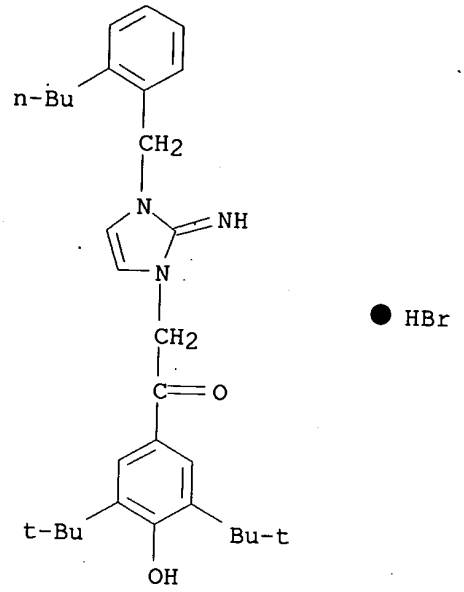
monohydrobromide (9CI) (CA INDEX NAME)



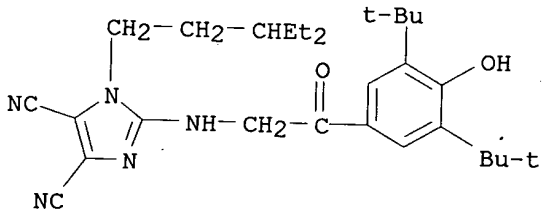
RN 474671-76-6 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-[(2-propoxyphenyl)methyl]-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



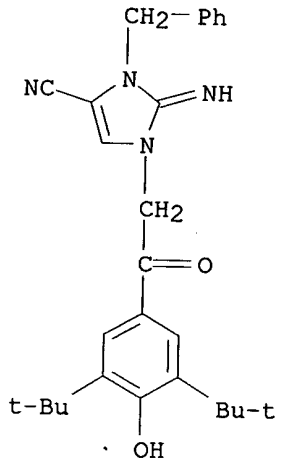
RN 474671-77-7 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-[(2-butylphenyl)methyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



RN 474671-79-9 CAPLUS
CN 1H-Imidazole-4,5-dicarbonitrile, 2-[[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]amino]-1-(3-ethylpentyl)- (9CI) (CA INDEX NAME)

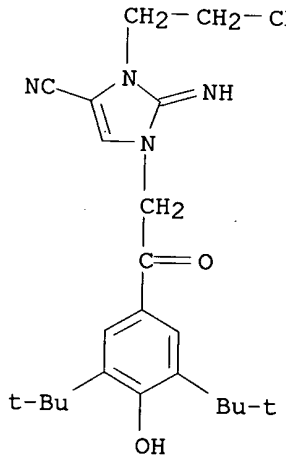


RN 474671-81-3 CAPLUS
CN 1H-Imidazole-4-carbonitrile, 1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-3-(phenylmethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



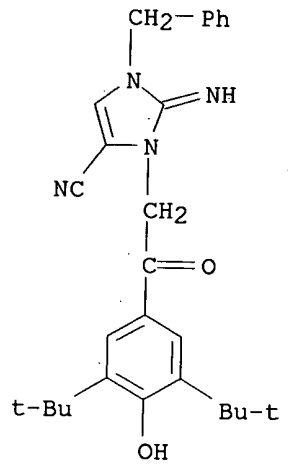
● HBr

RN 474671-82-4 CAPLUS
CN 1H-Imidazole-4-carbonitrile, 1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-3-(3-ethylpentyl)-2,3-dihydro-2-imino-, monohydrobromide (9CI) (CA INDEX NAME)



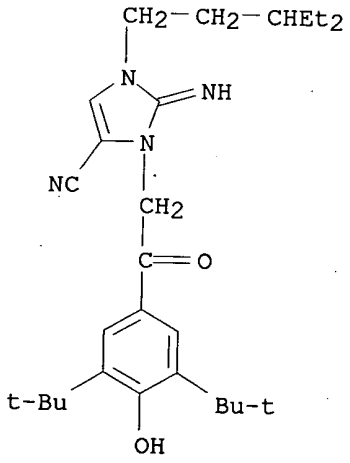
● HBr

RN 474671-83-5 CAPLUS
CN 1H-Imidazole-4-carbonitrile, 3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-1-(phenylmethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



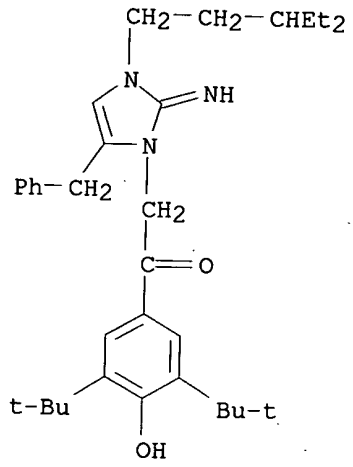
● HBr

RN 474671-84-6 CAPLUS
CN 1H-Imidazole-4-carbonitrile, 3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-1-(3-ethylpentyl)-2,3-dihydro-2-imino-, monohydrobromide (9CI) (CA INDEX NAME)



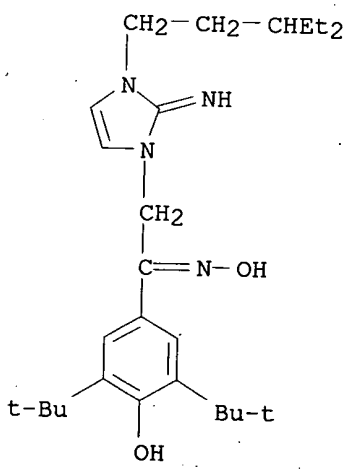
● HBr

RN 474671-85-7 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-5-(phenylmethyl)-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



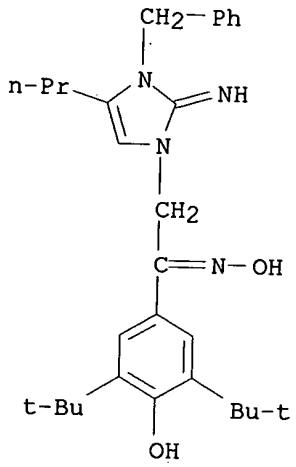
● HBr

RN 474671-86-8 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, oxime, monohydrobromide (9CI) (CA INDEX NAME)



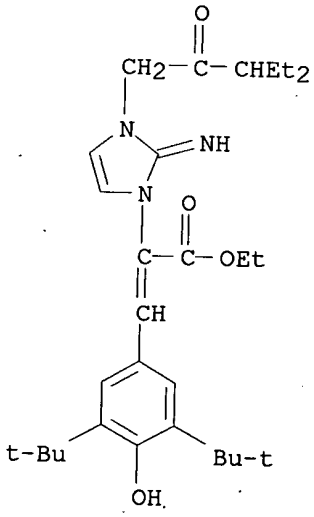
● HBr

RN 474671-87-9 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(phenylmethyl)-4-propyl-1H-imidazol-1-yl]-, oxime, monohydrobromide (9CI) (CA INDEX NAME)



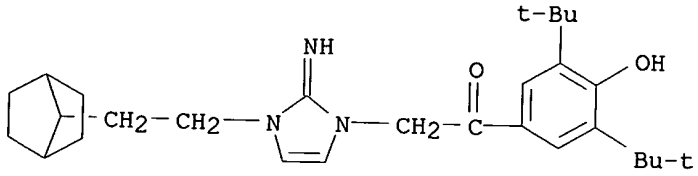
● HBr

RN 474671-88-0 CAPLUS
CN 1H-Imidazole-1-acetic acid, .alpha.-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]methylene]-3-(3-ethyl-2-oxopentyl)-2,3-dihydro-2-imino-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



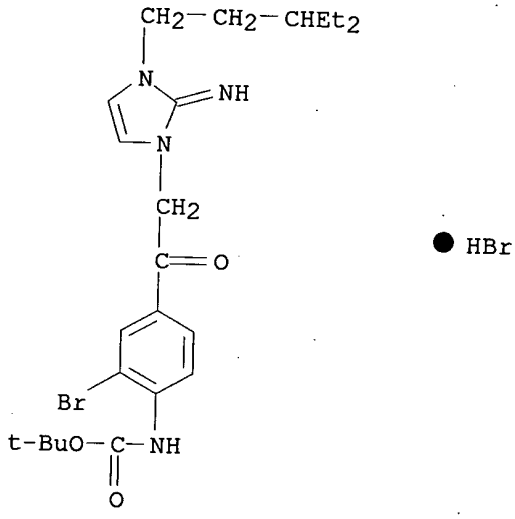
● HCl

RN 474671-89-1 CAPLUS
CN Ethanone, 2-[3-(2-bicyclo[2.2.1]hept-7-ylethyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

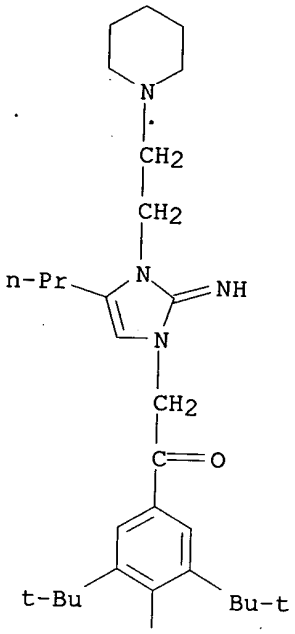
RN 474671-90-4 CAPLUS
CN Carbamic acid, [2-bromo-4-[[3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]acetyl]phenyl]-, 1,1-dimethylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 474671-91-5 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-[2-(1-piperidinyl)ethyl]-4-propyl-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

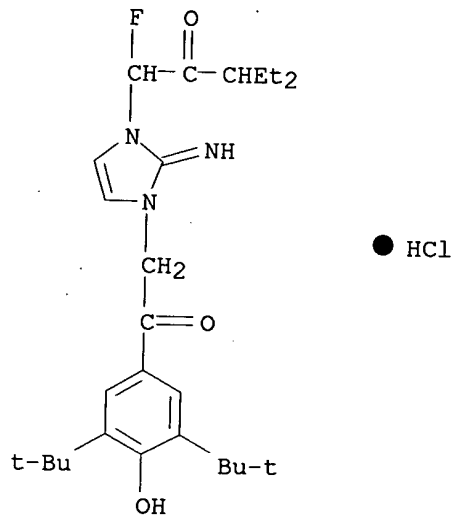
PAGE 1-A



PAGE 2-A

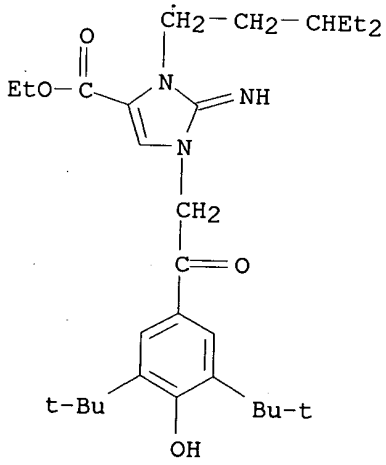
● HBr

RN 474671-92-6 CAPLUS
CN 2-Pentanone, 1-[3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-1H-imidazol-1-yl]-3-ethyl-1-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



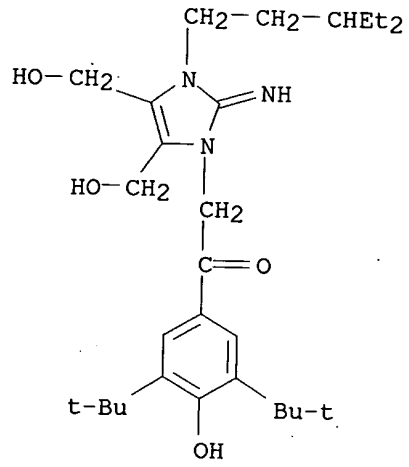
● HCl

RN 474671-93-7 CAPLUS
CN 1H-Imidazole-4-carboxylic acid, 1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-3-(3-ethylpentyl)-2,3-dihydro-2-imino-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



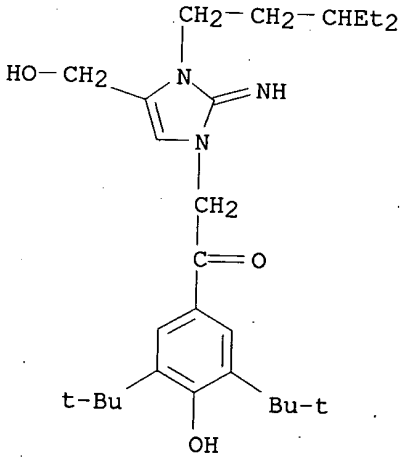
● HBr

RN 474671-94-8 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-4,5-bis(hydroxymethyl)-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



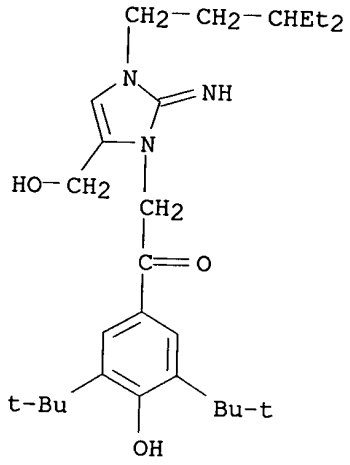
● HBr

RN 474671-95-9 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-4-(hydroxymethyl)-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



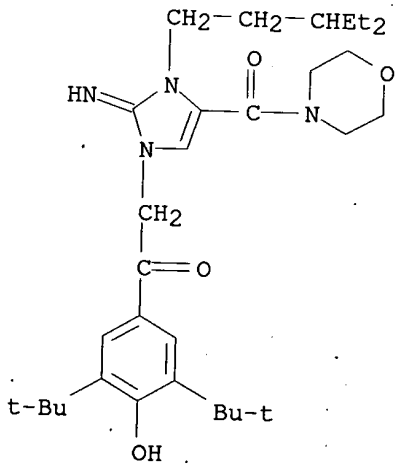
● HBr

RN 474671-96-0 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-5-(hydroxymethyl)-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

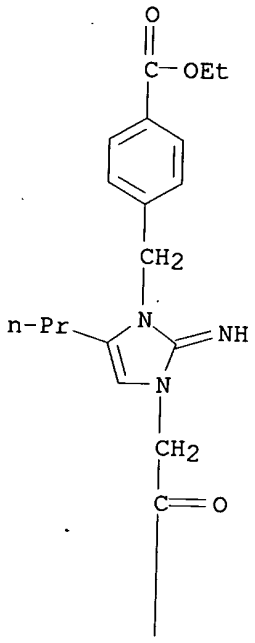
RN 474671-97-1 CAPLUS
CN Morpholine, 4-[[1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-4-yl]carbonyl]-, monohydrobromide (9CI) (CA INDEX NAME)



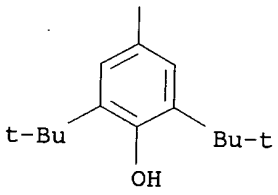
● HBr

RN 474671-98-2 CAPLUS
CN Benzoic acid, 4-[[3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-5-propyl-1H-imidazol-1-yl]methyl]-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

PAGE 1-A



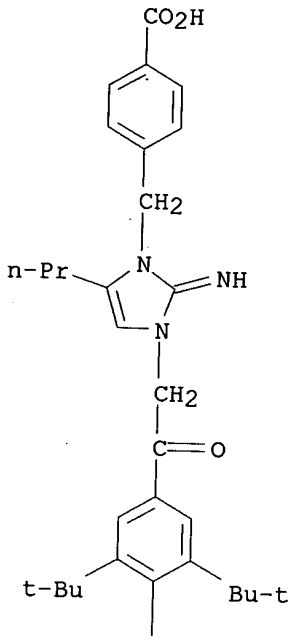
PAGE 2-A



● HBr

RN 474671-99-3 CAPLUS
CN Benzoic acid, 4-[[3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-5-propyl-1H-imidazol-1-yl]methyl]-, monohydrobromide (9CI) (CA INDEX NAME)

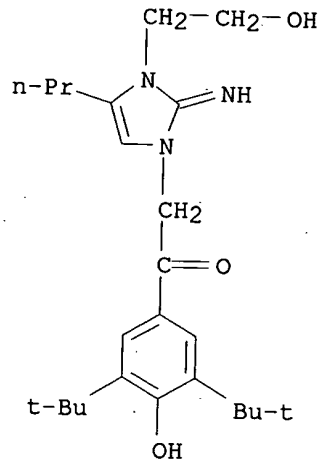
PAGE 1-A



PAGE 2-A

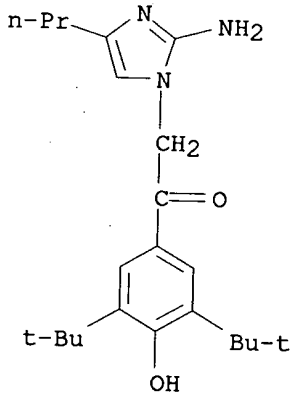
● HBr

RN 474672-00-9 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-3-(2-hydroxyethyl)-2-imino-4-propyl-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



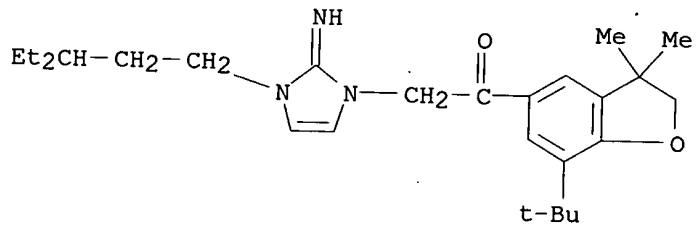
● HBr

RN 474672-01-0 CAPLUS
CN Ethanone, 2-(2-amino-4-propyl-1H-imidazol-1-yl)-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-, monohydrobromide (9CI) (CA INDEX NAME)



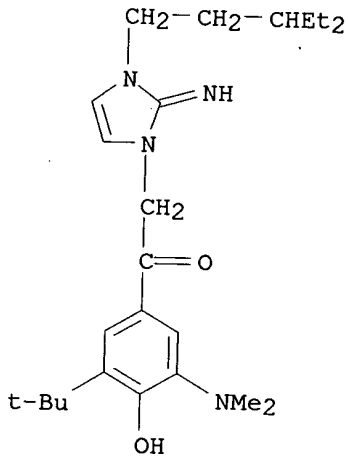
● HBr

RN 474672-02-1 CAPLUS
CN Ethanone, 1-[7-(1,1-dimethylethyl)-2,3-dihydro-3,3-dimethyl-5-benzofuranyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

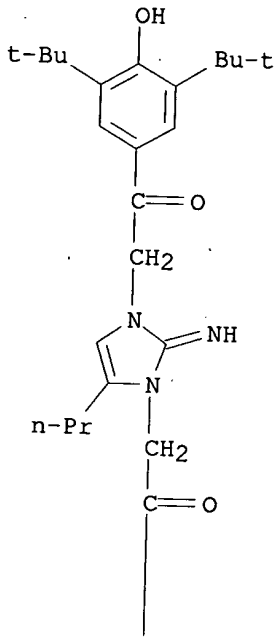
RN 474672-03-2 CAPLUS
CN Ethanone, 1-[3-(dimethylamino)-5-(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



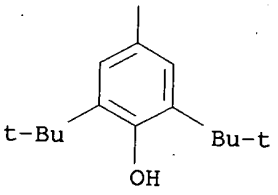
● HBr

RN 474672-04-3 CAPLUS
CN Ethanone, 2,2'-(2-imino-4-propyl-1H-imidazole-1,3(2H)-diyl)bis[1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

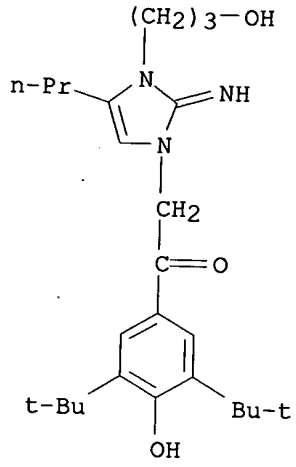


PAGE 2-A



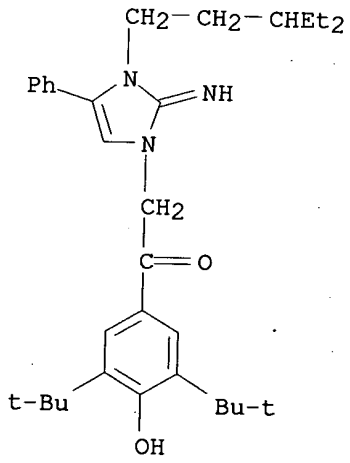
● HCl

RN 474672-05-4 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-3-(3-hydroxypropyl)-2-imino-4-propyl-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



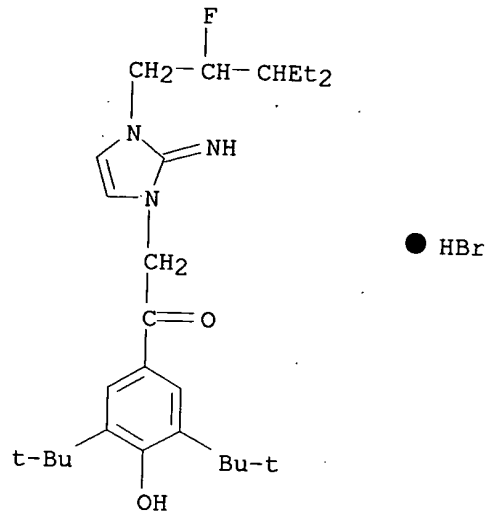
● HBr

RN 474672-09-8 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-4-phenyl-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

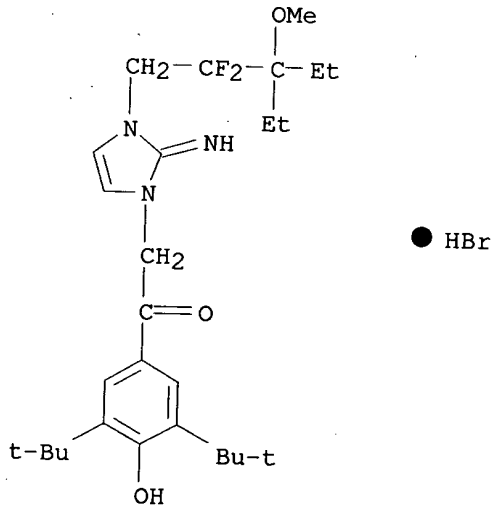


● HBr

RN 474672-11-2 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethyl-2-fluoropentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



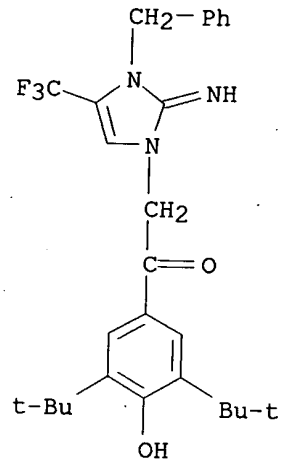
RN 474672-14-5 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethyl-2,2-difluoro-3-methoxypentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



RN 474672-18-9 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[2,3-dihydro-2-imino-3-(phenylmethyl)-4-(trifluoromethyl)-1H-imidazol-1-yl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

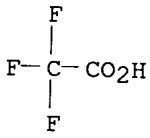
CM 1

CRN 474672-17-8
CMF C27 H32 F3 N3 O2

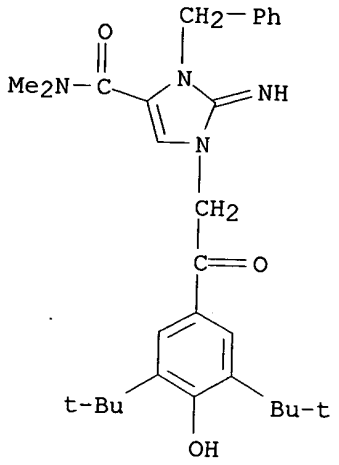


CM 2

CRN 76-05-1
CMF C2 H F3 O2

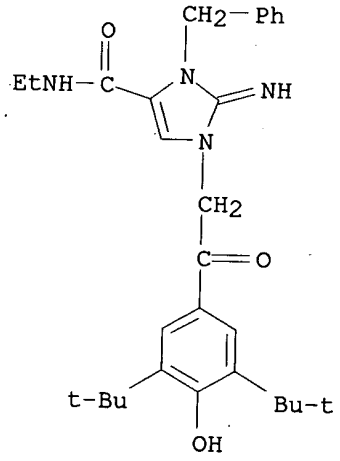


RN 474672-23-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-N,N-dimethyl-3-(phenylmethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



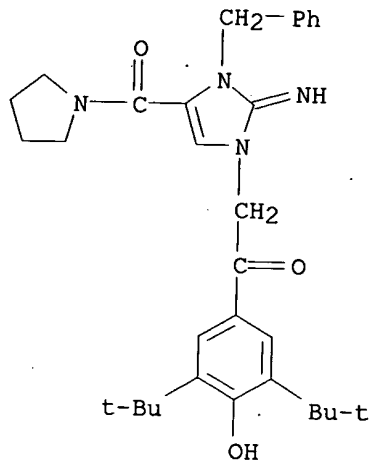
● HBr

RN 474672-29-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-N-ethyl-2,3-dihydro-2-imino-3-(phenylmethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



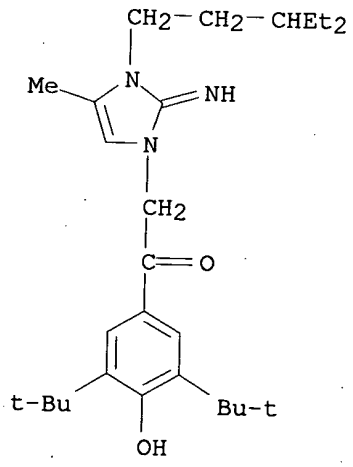
● HBr

RN 474672-30-5 CAPLUS
CN Pyrrolidine, 1-[[1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-2,3-dihydro-2-imino-3-(phenylmethyl)-1H-imidazol-4-yl]carbonyl]-, monohydrobromide (9CI) (CA INDEX NAME)



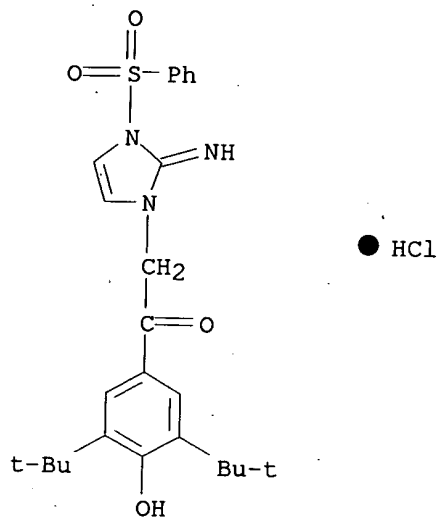
● HBr

RN 474672-32-7 CAPLUS
CN Ethanone, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[3-(3-ethylpentyl)-2,3-dihydro-2-imino-4-methyl-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



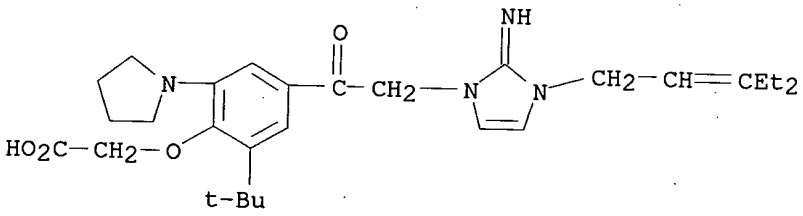
● HBr

RN 474672-46-3 CAPLUS
CN 2H-Imidazol-2-imine, 1-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-1,3-dihydro-3-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



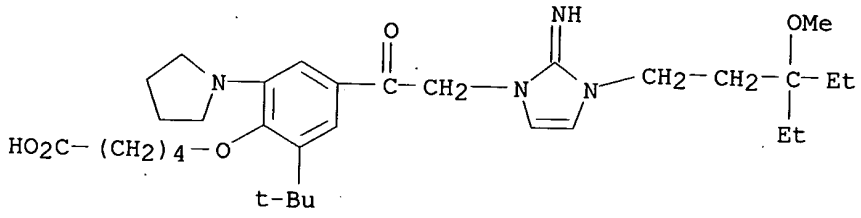
● HCl

RN 474672-49-6 CAPLUS
CN Acetic acid, [2-(1,1-dimethylethyl)-4-[[3-(3-ethyl-2-pentenyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]acetyl]-6-(1-pyrrolidinyl)phenoxy]-, monohydrobromide (9CI) (CA INDEX NAME)



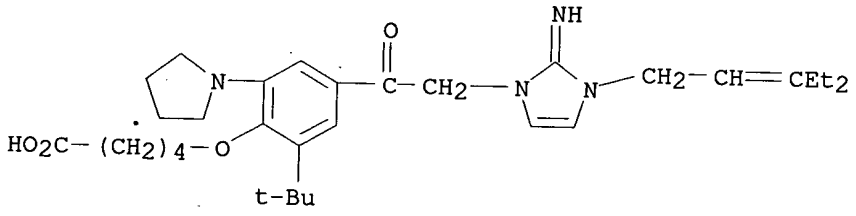
● HBr

RN 474672-50-9 CAPLUS
CN Pentanoic acid, 5-[2-(1,1-dimethylethyl)-4-[[3-(3-ethyl-3-methoxypentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]acetyl]-6-(1-pyrrolidinyl)phenoxy]-, monohydrobromide (9CI) (CA INDEX NAME)



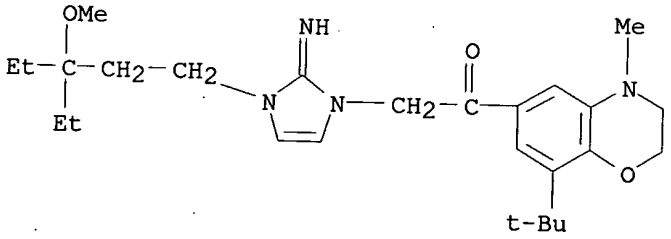
● HBr

RN 474672-51-0 CAPLUS
CN Pentanoic acid, 5-[2-(1,1-dimethylethyl)-4-[[3-(3-ethyl-2-pentenyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]acetyl]-6-(1-pyrrolidinyl)phenoxy]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 474672-52-1 CAPLUS
CN Ethanone, 1-[8-(1,1-dimethylethyl)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-6-yl]-2-[3-(3-ethyl-3-methoxypentyl)-2,3-dihydro-2-imino-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



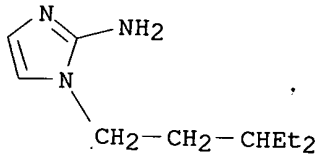
● HBr

IT **474672-56-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2-iminoimidazole derivs. as thrombin receptor antagonists, platelet aggregation inhibitors, or cell proliferation inhibitors for prevention and/or treatment of diseases)

RN 474672-56-5 CAPLUS

CN 1H-Imidazol-2-amine, 1-(3-ethylpentyl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2002:290793 CAPLUS
DN 136:309918
TI Tricyclic farnesyl protein transferase inhibitors
IN Taveras, Arthur G.; Doll, Ronald J.; Cooper, Alan B.; Ferreira, Johan A.; Guzi, Timothy; Rane, Dinanath F.; Girijavallabhan, Viyyoor M.; Aki, Cynthia J.; Chao, Jianping; Alvarez, Carmen; Kelly, Joseph M.; Lalwani, Tarik; Desai, Jagdish A.; Wang, James J-s
PA Schering Corporation, USA
SO U.S., 215 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

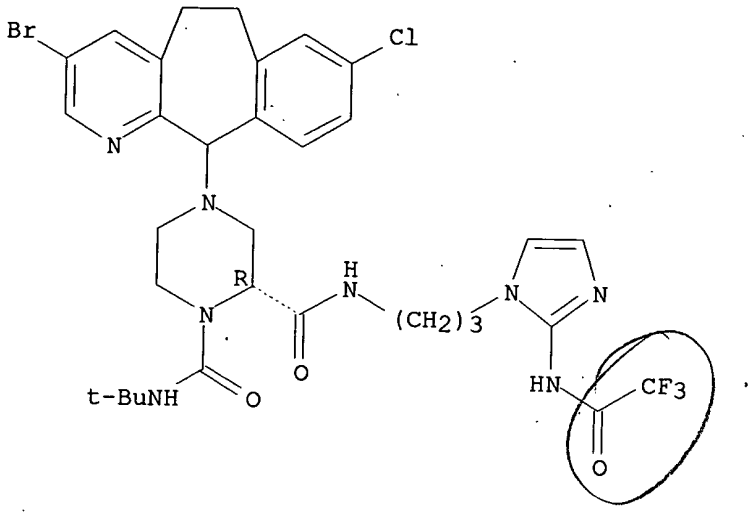
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6372747	B1	20020416	US 1999-465553	19991216
	US 2002103207	A1	20020801	US 2001-26999	20011220
PRAI	US 1998-113141P	P	19981218		
	US 1999-465553	A3	19991216		

AB The synthesis and testing of over 300 tricyclic farnesyl protein transferase (FPT) inhibitors was disclosed. For instance, (R)-2-carboxypiperazine.bul.di-(R)-camphorsulfonic acid (prepn. given) was neutralized and treated sequentially with BOC-ON, cyclohexyl chloroformate, TFA/CH2Cl2 and finally with the corresponding 8-chlorotricyclic deriv. and the diastereomers sepd. This intermediate was coupled to benzyl 3-(4-methylimidazolyl)propylamine (DMF, EDC, HOBt) to give I. I had FPT IC50 = 0.36 nM. Also disclosed is a method of treating cancer and a method of inhibiting farnesyl protein transferase using the disclosed compds.

IT **279232-81-4P**, 1,2-Piperazinedicarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-N2-[3-[2-[(trifluoroacetyl)amino]-1H-imidazol-1-yl]propyl]-, (2R)-
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of)

RN 279232-81-4 CAPLUS
CN 1,2-Piperazinedicarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-N2-[3-[2-[(trifluoroacetyl)amino]-1H-imidazol-1-yl]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

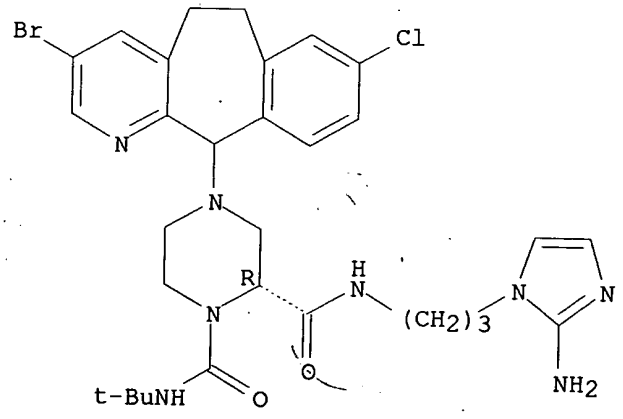


IT **279232-77-8P**, 1,2-Piperazinedicarboxamide, N2-[3-(2-amino-1H-imidazol-1-yl)propyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-, (2R)-
279232-78-9P, 1,2-Piperazinedicarboxamide, N2-[3-[2-(acetylamino)-1H-imidazol-1-yl]propyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-, (2R)-
279232-82-5P, 1,2-Piperazinedicarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-N2-[3-[2-[(1-hydroxyethyl)amino]-1H-imidazol-1-yl]propyl]-, (2R)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic farnesyl protein transferase inhibitors)

RN 279232-77-8 CAPLUS

CN 1,2-Piperazinedicarboxamide, N2-[3-(2-amino-1H-imidazol-1-yl)propyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-, (2R)- (9CI) (CA INDEX NAME)

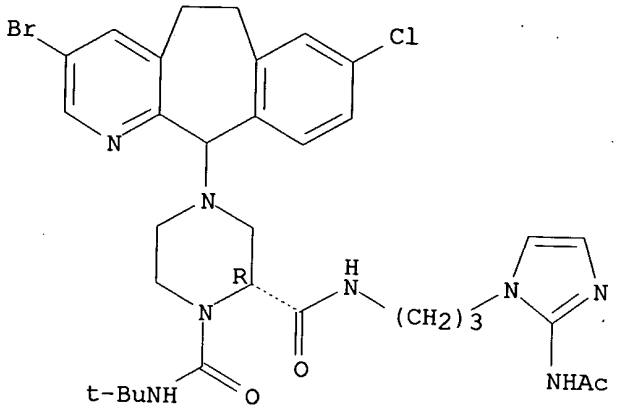
Absolute stereochemistry.



RN 279232-78-9 CAPLUS

CN 1,2-Piperazinedicarboxamide, N2-[3-[2-(acetylamino)-1H-imidazol-1-yl]propyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-, (2R)- (9CI) (CA INDEX NAME)

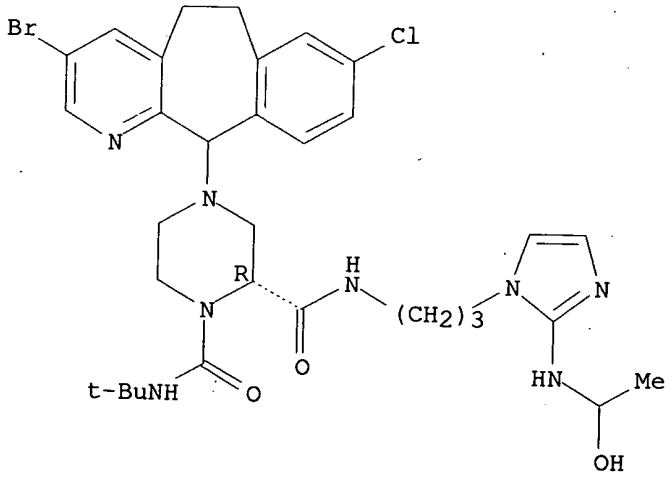
Absolute stereochemistry.



RN 279232-82-5 CAPLUS

CN 1,2-Piperazinedicarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-N2-[3-[2-[(1-hydroxyethyl)amino]-1H-imidazol-1-yl]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

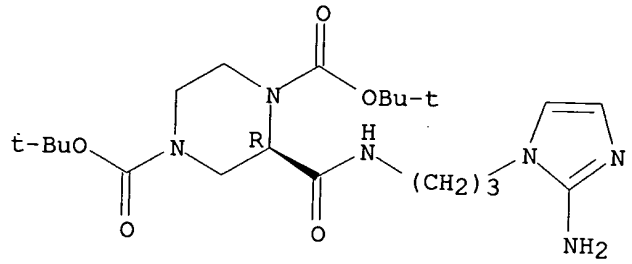


IT **279236-69-0P**, 1,4-Piperazinedicarboxylic acid, 2-[[[3-(2-amino-1H-imidazol-1-yl)propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- **279236-70-3P**, 1,4-Piperazinedicarboxylic acid, 2-[[[3-[2-[[(phenylmethoxy)carbonyl]amino]-1H-imidazol-1-yl]propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- **279236-72-5P**, Carbamic acid, [1-[3-[[(2R)-2-piperazinylcarbonyl]amino]propyl]-1H-imidazol-2-yl]-, phenylmethyl ester, bis(trifluoroacetate) **279236-73-6P**, Carbamic acid, [1-[3-[[[(2R)-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-

b]pyridin-11-yl)-2-piperazinyl]carbonyl]amino]propyl]-1H-imidazol-2-yl]-, phenylmethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic farnesyl protein transferase inhibitors)

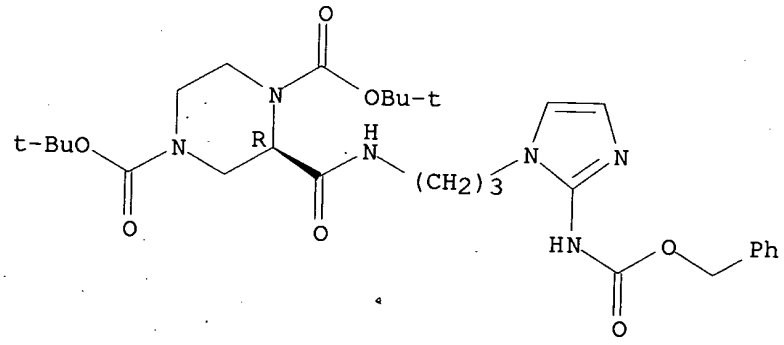
RN 279236-69-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[3-(2-amino-1H-imidazol-1-yl)propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 279236-70-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[3-[2-[[(phenylmethoxy)carbonyl]amino]-1H-imidazol-1-yl]propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

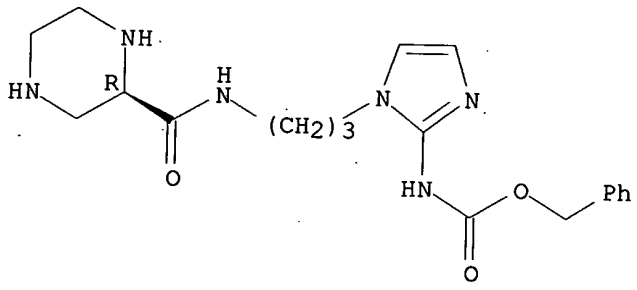


RN 279236-72-5 CAPLUS
CN Carbamic acid, [1-[3-[[(2R)-2-piperazinylcarbonyl]amino]propyl]-1H-imidazol-2-yl]-, phenylmethyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

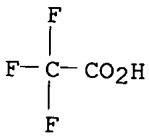
CRN 279236-71-4
CMF C19 H26 N6 O3

Absolute stereochemistry.



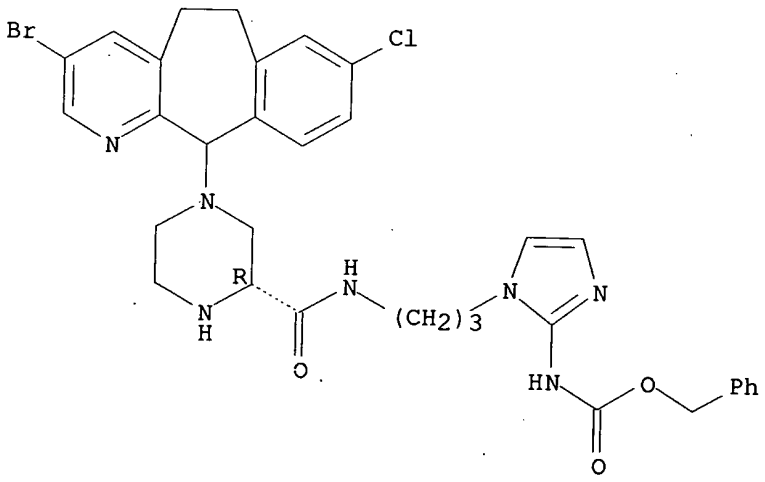
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 279236-73-6 CAPLUS
CN Carbamic acid, [1-[3-[[[(2R)-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-2-piperazinyl]carbonyl]amino]propyl]-1H-imidazol-2-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



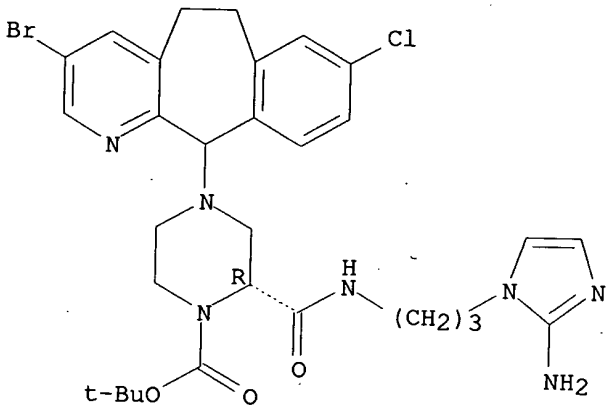
IT **279232-79-0P**, 1-Piperazinecarboxylic acid, 2-[[[3-(2-amino-1H-imidazol-1-yl)propyl]amino]carbonyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-, 1,1-dimethylethyl ester, (2R)-
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tricyclic farnesyl protein transferase inhibitors)

RN 279232-79-0 CAPLUS

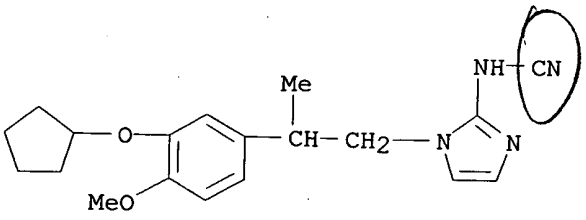
CN 1-Piperazinecarboxylic acid, 2-[[[3-(2-amino-1H-imidazol-1-yl)propyl]amino]carbonyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

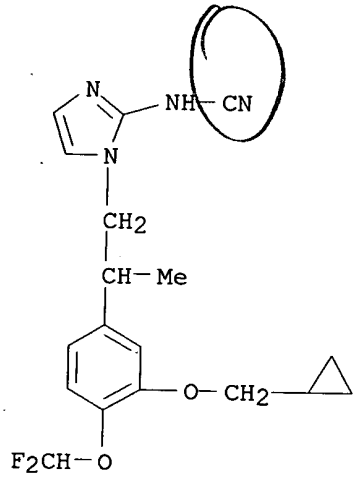


RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

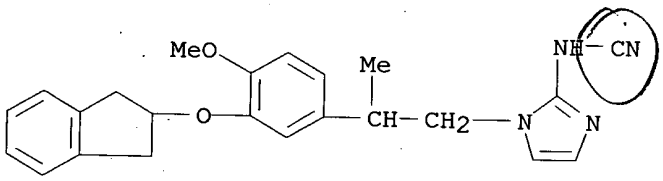
L20 ANSWER 5 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2002:116969 CAPLUS
DN 137:134464
TI Synthesis and biological evaluation of imidazol-2-one and 2-cyanoiminoimidazole derivatives: novel series of PDE4 inhibitors
AU Andres, J. Ignacio; Alonso, Jose M.; Diaz, Adolfo; Fernandez, Javier; Iturrino, Laura; Martinez, Pedro; Matesanz, Encarna; Freyne, Eddy J.; Deroose, Frederik; Boeckx, Gustaaf; Petit, Davy; Diels, Gaston; Megens, Anton; Somers, Marijke; Van Wauwe, Jean; Stoppie, Paul; Cools, Marina; De Clerck, Fred; Peeters, Danielle; de Chaffoy, Didier
CS Basic Research Centre, Janssen-Cilag, Toledo, 45007, Spain
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 653-658
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 137:134464
AB This communication describes the synthesis and in vitro PDE4 (phosphodiesterase 4) inhibitory activity of a novel series of imidazol-2-one and 2-cyanoiminoimidazole derivs. The compds. described were also tested in in vivo models to evaluate their anti-inflammatory activity after topical administration as well as their gastro-intestinal side effects. Several compds. proved to be potent PDE4 inhibitors and some 2-cyanoiminoimidazoles showed less pronounced gastro-intestinal side effects than ref. compds. but maintained anti-inflammatory activity after topical administration.
IT **205699-39-4P 205699-42-9P 205699-43-0P**
205699-44-1P 205699-45-2P 205699-46-3P
205699-47-4P 205699-50-9P 444797-34-6P
444797-35-7P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazolone and 2-cyanoiminoimidazole derivs. as phosphodiesterase 4 inhibitors in relation to structure and antiinflammatory activity and gastrointestinal side effects and binding to rolipram receptors)
RN 205699-39-4 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



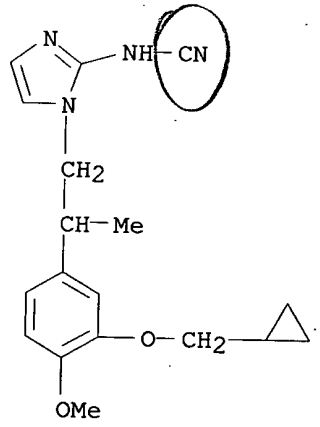
RN 205699-42-9 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



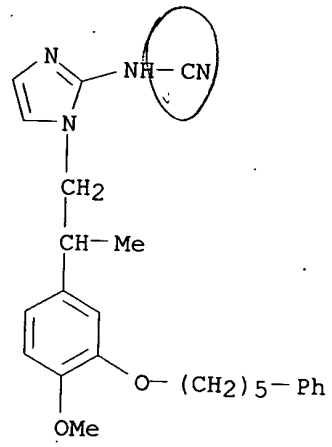
RN 205699-43-0 CAPLUS
CN Cyanamide, [1-[2-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



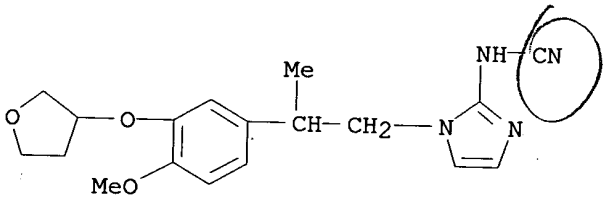
RN 205699-44-1 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopropylmethoxy)-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



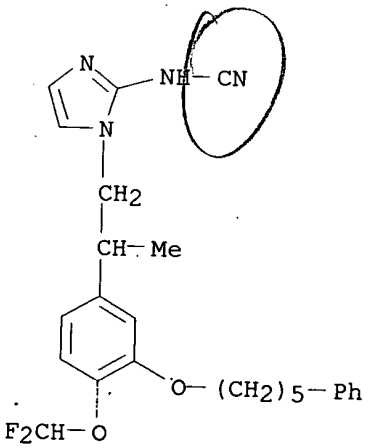
RN 205699-45-2 CAPLUS
CN Cyanamide, [1-[2-[4-methoxy-3-[(5-phenylpentyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



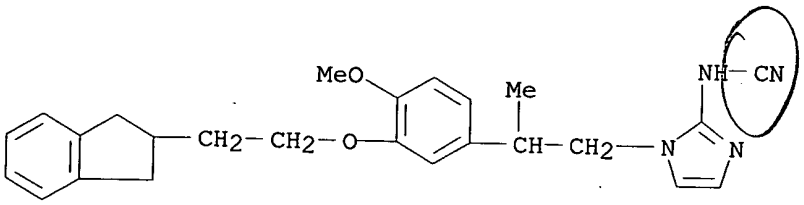
RN 205699-46-3 CAPLUS
CN Cyanamide, [1-[2-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 205699-47-4 CAPLUS
CN Cyanamide, [1-[2-[4-(difluoromethoxy)-3-[(5-phenylpentyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

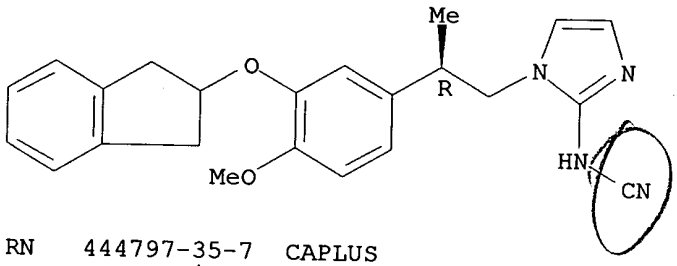


RN 205699-50-9 CAPLUS
CN Cyanamide, [1-[2-[3-[2-(2,3-dihydro-1H-inden-2-yl)ethoxy]-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



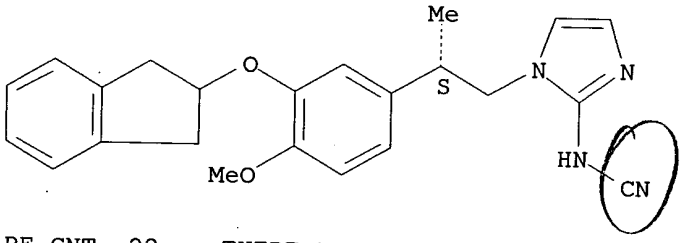
RN 444797-34-6 CAPLUS
CN Cyanamide, [1-[(2R)-2-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 444797-35-7 CAPLUS
CN Cyanamide, [1-[(2S)-2-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2001:791905 CAPLUS
DN 135:331418
TI Preparation of thiazoles as agonists or modulators of nicotinic acetylcholine .alpha.4.beta.2 receptor
IN Imoto, Masahiro; Iwanami, Tatsuya; Akabane, Minako; Tani, Yoshihiro
PA Suntory, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

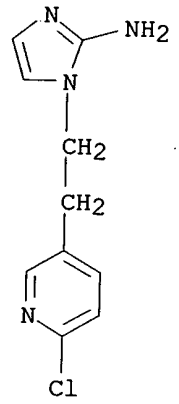
Applicant's PCT.

FAN.CNT 1

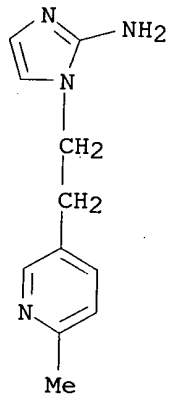
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001302635	A2	20011031	JP 2000-120975	20000421
	WO 2001081326	A1	20011101	WO 2001-JP3377	20010420
	W: AU, CA, CN, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	EP 1185521	A1	20020313	EP 2001-921931	20010420
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	JP 2000-120975	A	20000421		
	WO 2001-JP3377	W	20010420		

OS MARPAT 135:331418
AB Title compds. I [A = (un)substituted alkyl, aryl, heterocyclyl; B1, B2 = H, alkyl, OH; CB1B2 may form carbonyl; X = O, S, C, N; dotted line represents optional bond; n = 1-2; if X = O, then YX = CH2CH2O, (CH2)3O; if X = S, then YX = CH2CH2S, CR1:CR2S; ; if X = C, then YX = (CH2)3, (CH2)4, CH:CR3CR4:CH, N:CR5CR6:CH; if X = N, then YX = CH2CH2NH, (CH2)3N, CR7:CR8N:, CR9:CR10CR11:N; R1-R11 = H, halo, (un)substituted alkyl, aryl, heterocyclyl] or their pharmaceutically acceptable salts, useful for treatment of Alzheimer's disease, Parkinson's disease, cerebrovascular dementia, Tourette syndrome, neurosis, anxiety, and schizophrenia and are prepd. 2-Amino-5-methyl-2-thiazoline was reacted with 5-(2-bromoethyl)-2-chloropyridine in acetonitrile at 90.degree. for 14 h to give 61.2% 3-[2-(6-chloro-3-pyridyl)ethyl]-2-imino-5-methyl-2,3-dihydrothiazole, which was reacted with fumaric acid to give a salts showing good affinity to acetylcholine .alpha.4.beta.2 receptor.
IT **369609-24-5P 369609-32-5P 369609-37-0P**
369609-40-5P 369609-45-0P 369609-47-2P
369609-50-7P 369609-52-9P 369609-55-2P
369609-57-4P 369609-58-5P 369609-60-9P
369609-64-3P 369609-67-6P 369609-68-7P
369609-69-8P 369609-70-1P 369609-71-2P
369609-73-4P 369609-85-8P 369609-91-6P
369609-94-9P 369609-95-0P 369610-00-4P
369610-04-8P 369610-05-9P 369610-06-0P
369610-08-2P 369610-11-7P 369610-12-8P
369610-14-0P 369610-17-3P 369610-18-4P
369610-20-8P 369610-21-9P 369610-22-0P
369610-24-2P 369610-26-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazoles as agonists or modulators of nicotinic acetylcholine .alpha.4.beta.2 receptor)
RN 369609-24-5 CAPLUS

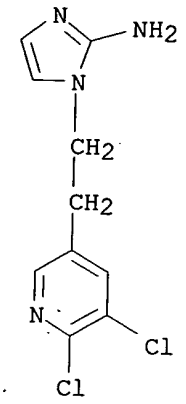
CN 1H-Imidazol-2-amine, 1-[2-(6-chloro-3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



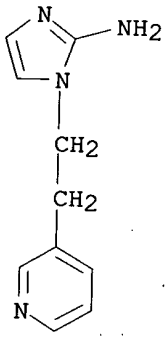
RN 369609-32-5 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(6-methyl-3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



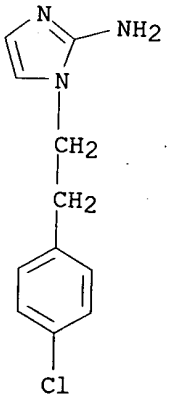
RN 369609-37-0 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5,6-dichloro-3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



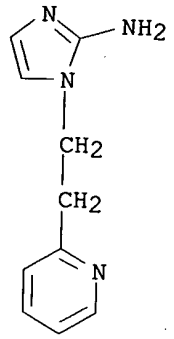
RN 369609-40-5 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



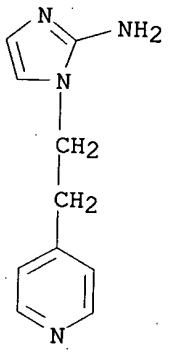
RN 369609-45-0 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-chlorophenyl)ethyl]- (9CI) (CA INDEX NAME)



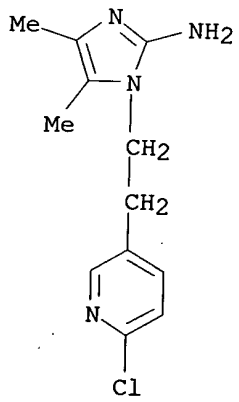
RN 369609-47-2 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



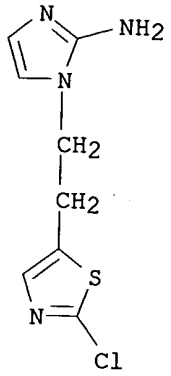
RN 369609-50-7 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 369609-52-9 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(6-chloro-3-pyridinyl)ethyl]-4,5-dimethyl- (9CI) (CA INDEX NAME)



RN 369609-55-2 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(2-chloro-5-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)



RN 369609-57-4 CAPLUS
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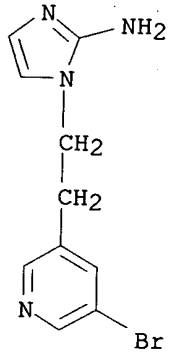
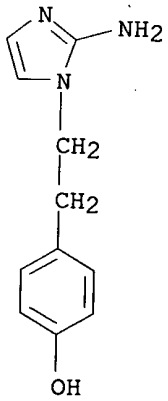
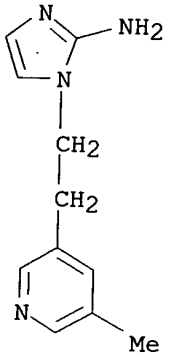


RN 369609-58-5 CAPLUS
CN Phenol, 4-[2-(2-amino-1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

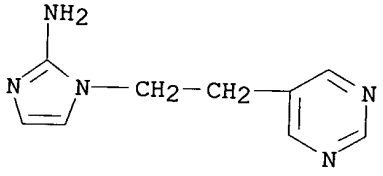


RN 369609-60-9 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5-methyl-3-pyridinyl)ethyl]- (9CI) (CA INDEX

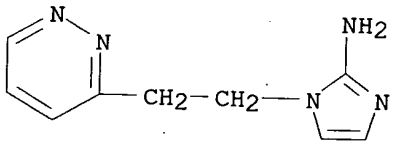
NAME)



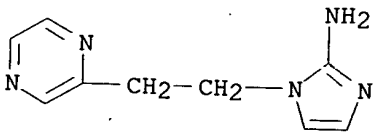
RN 369609-64-3 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5-pyrimidinyl)ethyl]- (9CI) (CA INDEX NAME)



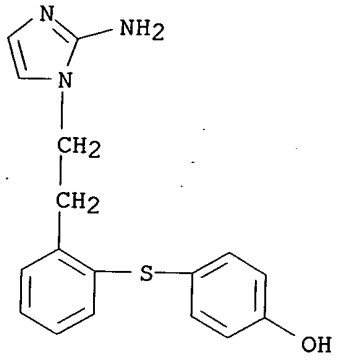
RN 369609-67-6 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(3-pyridazinyl)ethyl]- (9CI) (CA INDEX NAME)



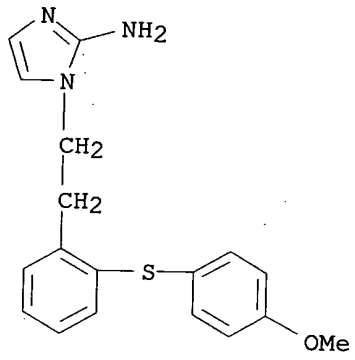
RN 369609-68-7 CAPLUS
CN 1H-Imidazol-2-amine, 1-(2-pyrazinylethyl)- (9CI) (CA INDEX NAME)



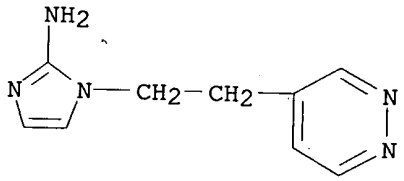
RN 369609-69-8 CAPLUS
CN Phenol, 4-[[2-[2-(2-amino-1H-imidazol-1-yl)ethyl]phenyl]thio]- (9CI) (CA INDEX NAME)



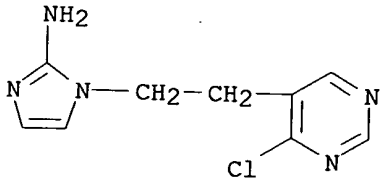
RN 369609-70-1 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-[2-[(4-methoxyphenyl)thio]phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 369609-71-2 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-pyridazinyl)ethyl]- (9CI) (CA INDEX NAME)



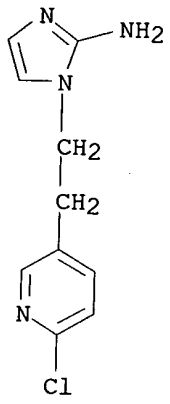
RN 369609-73-4 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-chloro-5-pyrimidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 369609-85-8 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(6-chloro-3-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

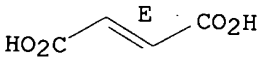
CRN 369609-24-5
CMF C10 H11 Cl N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

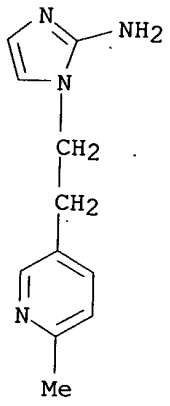
Double bond geometry as shown.



RN 369609-91-6 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(6-methyl-3-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

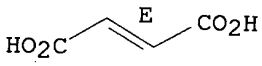
CRN 369609-32-5
CMF C11 H14 N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

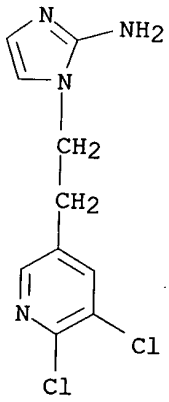
Double bond geometry as shown.



RN 369609-94-9 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5,6-dichloro-3-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

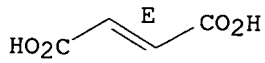
CRN 369609-37-0
CMF C10 H10 Cl2 N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

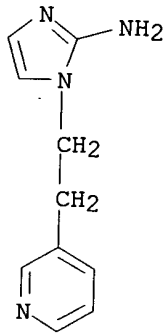
Double bond geometry as shown.



RN 369609-95-0 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(3-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

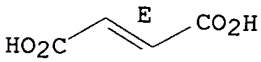
CRN 369609-40-5
CMF C10 H12 N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

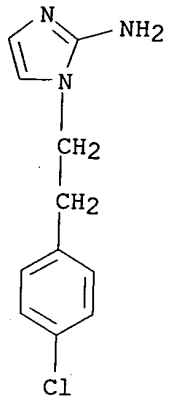
Double bond geometry as shown.



RN 369610-00-4 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-chlorophenyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

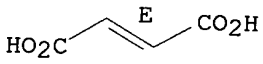
CRN 369609-45-0
CMF C11 H12 Cl N3



CM 2

CRN 110-17-8
CMF C4 H4 O4

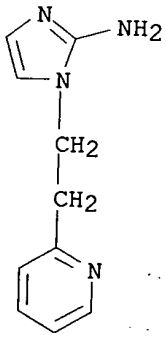
Double bond geometry as shown.



RN 369610-04-8 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(2-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

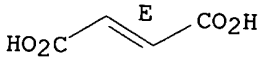
CRN 369609-47-2
CMF C10 H12 N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

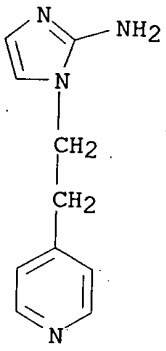
Double bond geometry as shown.



RN 369610-05-9 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

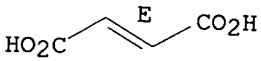
CRN 369609-50-7
CMF C10 H12 N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

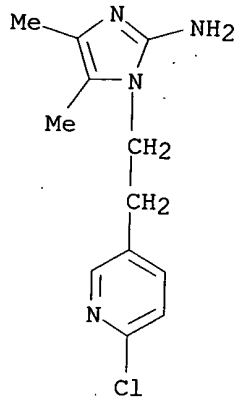
Double bond geometry as shown.



RN 369610-06-0 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(6-chloro-3-pyridinyl)ethyl]-4,5-dimethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

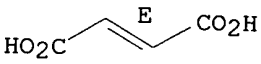
CRN 369609-52-9
CMF C12 H15 Cl N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

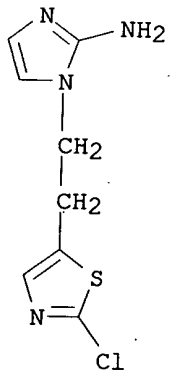
Double bond geometry as shown.



RN 369610-08-2 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(2-chloro-5-thiazolyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 369609-55-2
CMF C8 H9 Cl N4 S

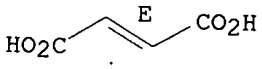


CM 2

CRN 110-17-8

CMF C4 H4 O4

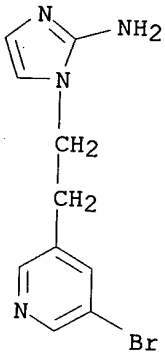
Double bond geometry as shown.



RN 369610-11-7 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5-bromo-3-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

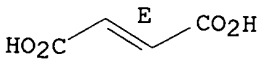
CRN 369609-57-4
CMF C10 H11 Br N4



CM 2

CRN 110-17-8
CMF C4 H4 O4

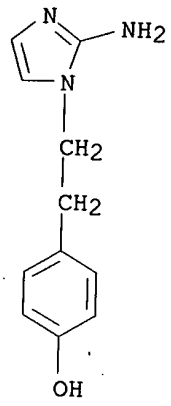
Double bond geometry as shown.



RN 369610-12-8 CAPLUS
CN Phenol, 4-[2-(2-amino-1H-imidazol-1-yl)ethyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

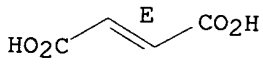
CRN 369609-58-5
CMF C11 H13 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

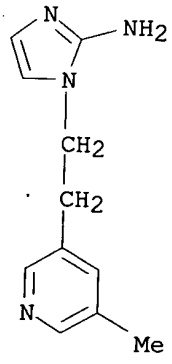
Double bond geometry as shown.



RN 369610-14-0 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5-methyl-3-pyridinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 369609-60-9
CMF C11 H14 N4

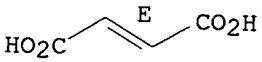


CM 2

CRN 110-17-8

CMF C4 H4 O4

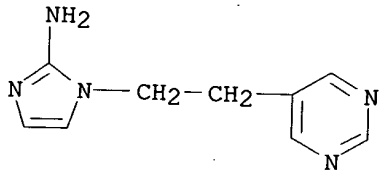
Double bond geometry as shown.



RN 369610-17-3 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(5-pyrimidinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

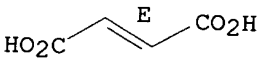
CRN 369609-64-3
CMF C9 H11 N5



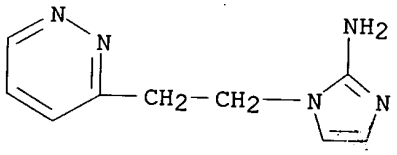
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 369610-18-4 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(3-pyridazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

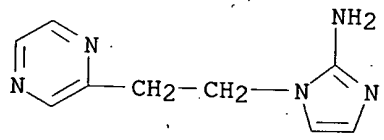


●2 HCl

RN 369610-20-8 CAPLUS
CN 1H-Imidazol-2-amine, 1-(2-pyrazinylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

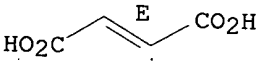
CRN 369609-68-7
CMF C9 H11 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4

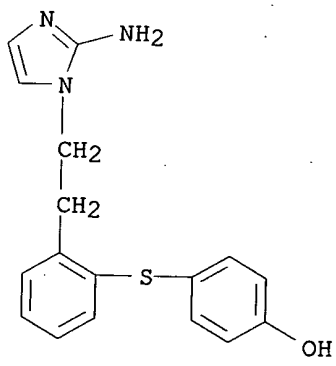
Double bond geometry as shown.



RN 369610-21-9 CAPLUS
CN Phenol, 4-[[2-[2-(2-amino-1H-imidazol-1-yl)ethyl]phenyl]thio]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

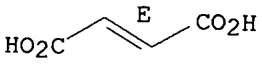
CRN 369609-69-8
CMF C17 H17 N3 O S



CM 2

CRN 110-17-8
CMF C4 H4 O4

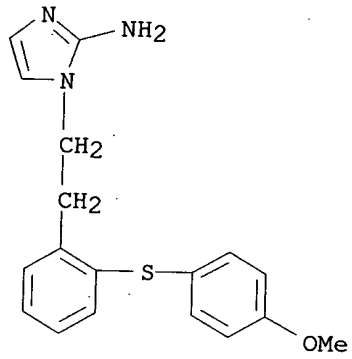
Double bond geometry as shown.



RN 369610-22-0 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-[2-[(4-methoxyphenyl)thio]phenyl]ethyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

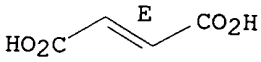
CRN 369609-70-1
CMF C18 H19 N3 O S



CM 2

CRN 110-17-8
CMF C4 H4 O4

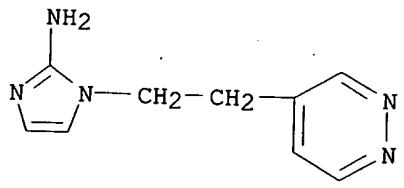
Double bond geometry as shown.



RN 369610-24-2 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-pyridazinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

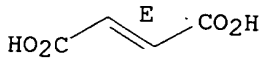
CRN 369609-71-2
CMF C9 H11 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4

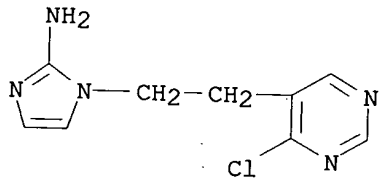
Double bond geometry as shown.



RN 369610-26-4 CAPLUS
CN 1H-Imidazol-2-amine, 1-[2-(4-chloro-5-pyrimidinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

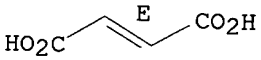
CRN 369609-73-4
CMF C9 H10 Cl N5



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L20 ANSWER 7 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2001:780862 CAPLUS
DN 135:331423
TI Preparation of 5-substituted tetralones as inhibitors of ras farnesyl transferase for treatment of proliferative diseases
IN Denny, William Alexander; Hutchings, Richard H.; Johnson, Douglas S.; Kaltenbronn, James Stanley; Lee, Ho Huat; Leonard, Daniele Marie; Milbank, Jared Bruce John; Repine, Joseph Thomas; Rewcastle, Gordon William; White, Andrew David
PA Warner-Lambert Co., USA
SO PCT Int. Appl., 358 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079180	A2	20011025	WO 2001-US12490	20010416
	WO 2001079180	A3	20020523		
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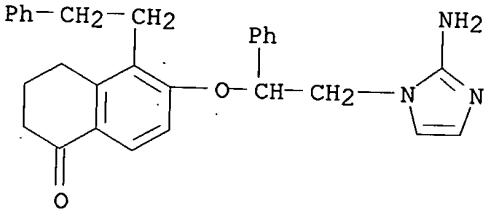
	BR 2001010142	A	20030121	BR 2001-10142	20010416
	EP 1276725	A2	20030122	EP 2001-927121	20010416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-197485P	P	20000417		
	WO 2001-US12490	W	20010416		

OS MARPAT 135:331423
AB Title compds. I [wherein W = CH2 or CH2CH2; R3 = H, alkyl, or (un)substituted Ph; R3a = H or alkyl; provided that R3 and R3a cannot both be H and that when R3 = (un)substituted Ph, then R3a = H; X = halo, NH2, alkyl, alkenyl, heteroaryl, CH2OR6, CH2NR6R6a, CH2SR6, CH2CH2CO2R6, or (un)substituted aryl, or (hetero)arylalkyl; R6 = H, (cyclo)alkyl, alkenyl, benzyl, or (un)substituted Ph; R6a = H or alkyl; Y = O or S; R5 = H, alkyl, or NH2; and pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] were prepd. and formulated as farnesyl transferase enzyme inhibitors. For example, coupling of 5-chloromethyl-6-hydroxy-2,3,4-trihydronaphthalen-1-one with thiophenol using diisopropylamine in THF (58%), followed by addn. of (R)-2-imidazol-1-yl-1-phenylethanol in the presence of PPh3 and di-Et azodicarboxylate in THF (31%), gave II. The latter inhibited farnesyl protein transferase (FPT) with IC50 of 0.3 nM. I are useful for treating and preventing uncontrolled or abnormal proliferation of tissues, such as cancer, atherosclerosis, restenosis, and psoriasis (no data).
IT **368882-96-6P**, 6-[2-(2-Aminoimidazol-1-yl)-1-phenylethoxy]-5-phenethyl-3,4-dihydro-2H-naphthalen-1-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-substituted tetralones as Ras farnesyl transferase inhibitors for treatment of proliferative diseases, such as cancer,

atherosclerosis, restenosis, and psoriasis)

RN 368882-96-6 CAPLUS

CN 1(2H)-Naphthalenone, 6-[2-(2-amino-1H-imidazol-1-yl)-1-phenylethoxy]-3,4-dihydro-5-(2-phenylethyl)- (9CI) (CA INDEX NAME)

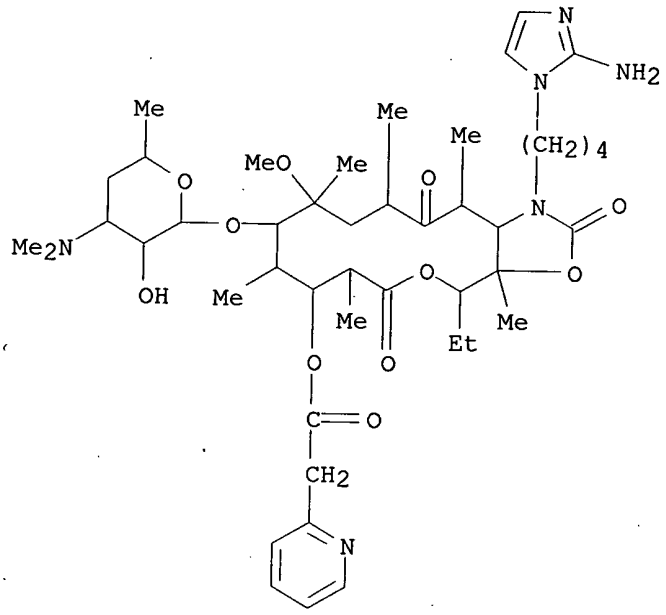


L20 ANSWER 8 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2001:115160 CAPLUS
DN 134:163283
TI Preparation of erythromycin A derivatives as antibacterial agents
IN Asaka, Toshifumi; Kashimura, Masato; Manaka, Akira; Tanikawa, Tetsuya; Sugimoto, Tomohiro
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001010878	A1	20010215	WO 2000-JP5144	20000731

W: AU, CA, CN, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI JP 1999-223554 A 19990806
OS MARPAT 134:163283
AB Novel erythromycin derivs. of general formula (I; A = C2-6 alkyl, alkenyl, or alkynyl; R1 = H, C1-3 alkyl; R2 = pyridyl, pyrimidyl, pyrazyl, imidazol-4-yl, or pyrrol-2-yl, NR3R4; wherein R3, R4 = H, Me, benzyloxycarbonyl, methanesulfonyl; or NR3R4 = imidazol-1-yl, tetrazol-1-yl, 2-pyridon-1-yl, 4-pyridon-1-yl; X1 = N, C; X2, X3, X4, X5 = S, N, O, CR5, NR6; R5 = H, OH, hydroxymethyl, CHO, NO2, C1-3 alkyl, C3-6 cycloalkyl, C1-3 alkoxycarbonyl, halo, NH2, hydroxyamino, CONH2, aminoethyl, acetamidoethyl, cyano, cyanomethyl, etc.; R6 = H, C1-3 alkyl, dimethylaminosulfonyl) or medically acceptable salts thereof, which are characterized by an acyl group introduced at the 3-position, a cyclic carbamate structure fused at the 11- and 12-positions, and a five-membered heterocycle on the 11-position substituent, one of the nitrogen atoms of which is bonded to the 11-position nitrogen atom through an alkyl group, and have potent antimicrobial effects on erythromycin-resistant bacteria and Haemophilus influenzae, are prepd. Thus, 10,11-anhydro-2'-O-acetyl-12-O-imidazolylcarbonyl-3-O-(2-pyridyl)acetyl-5-O-desosaminyl-6-O-methylerythrolide A 500, 4-(1H-imidazol-1-yl)butylamine (prepn. given) 421, 1,1,3,3-tetramethylguanidine 70 mg were dissolved in 5 mL MeCN and stirred at room temp. for 12 h to give 11-deoxy-11-[4-(1H-imidazol-1-yl)butyl]amino-5-O-desosaminyl-3-O-(2-pyridyl)acetyl-6-O-methylerythrolide A 11,12-cyclic carbamate (II). II showed min. inhibitory concn. of 0.39, 0.39, and 1.56 .mu.g/mL against Staphylococcus aureus B1, Streptococcus pneumoniae 210, and S. pneumoniae 205, resp., vs. >100, 0.78, and >100 .mu.g/mL, resp., for clarithromycin.
IT **325491-40-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of erythromycin A derivs. as antibacterial agents)
RN 325491-40-5 CAPLUS
CN 2-Pyridineacetic acid, (3aS,4R,7R,8S,9S,10R,11R,13R,15R,15aR)-1-[4-(2-amino-1H-imidazol-1-yl)butyl]-4-ethyltetradecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-2,6,14-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-8-yl ester (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 2000:441786 CAPLUS
DN 133:74012
TI Tricyclic farnesyl protein transferase inhibitors
IN Taveras, Arthur G.; Doll, Ronald J.; Cooper, Alan B.; Ferreira, Johan A.; Guzi, Timothy; Mallams, Alan K.; Rane, Dinanath F.; Girijavallabhan, Viyyoor M.; Afonso, Adriano; Aki, Cynthia J.; Chao, Jianping; Alvarez, Carmen; Kelly, Joseph M.; Lalwani, Tarik; Desai, Jagdish A.; Wang, James J. S.; Weinstein, Jay
PA Schering Corporation, USA
SO PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DT Patent
LA English

Same as #4.

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000037459	A1	20000629	WO 1999-US27939	19991216
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 9916314	A	20011002	BR 1999-16314	19991216
	EP 1140902	A1	20011010	EP 1999-963980	19991216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002533336	T2	20021008	JP 2000-589531	19991216
	NO 2001002961	A	20010816	NO 2001-2961	20010615
PRAI	US 1998-216398	A	19981218		
	WO 1999-US27939	W	19991216		

OS MARPAT 133:74012

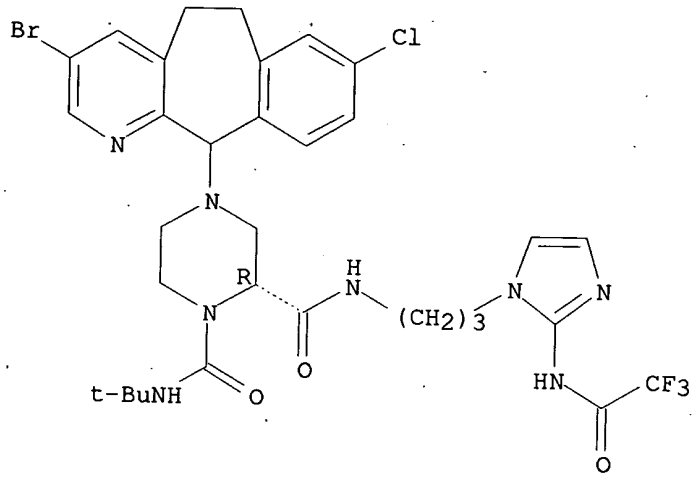
AB Title compds. [I; R13 represents an imidazole ring; R14 represents a carbamate, urea, amide or sulfonamide group; R8 represents H when the alkyl chain between the amide group and the R13 imidazole group is substituted, or R8 represents a substituent such as arylalkyl, heteroarylalkyl or cycloalkyl; wherein R8 is H, and the alkyl chain between the amide group and the R13 imidazole group is unsubstituted; R12 = H, CH3; R11 = H, CH3, 4-ClC6H4, (CH3)2CH, (CH3)2CHCH2, CH3(CH2)3, C6H5CH2, CH3CH2; R11-R12 = (CH2)2; X = N, CH; Y = N, N:O; R1 = H, Br; R2 = H, CONH2, OH, C6H5CH2; R = H, OH; R3 = H, C6H5; n = 0-5], pharmaceutically acceptable salts, solvate, and stereoisomers are prepd. as farnesyl protein transferase (FPT) inhibitors which are useful in the manuf. of medicament for treating pancreatic tumor, lung cancer, myeloid leukemia tumor, thyroid follicular tumor, myelodysplastic tumor, epidermal carcinoma tumor, bladder carcinoma tumor, colon tumor, melanoma, breast tumor, and prostate tumor. Thus, the title compd. II was prepd. and tested. Also disclosed is a method of treating cancer and a method of inhibiting farnesyl protein transferase using the disclosed compds.

IT **279232-81-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of)

RN 279232-81-4 CAPLUS
CN 1,2-Piperazinedicarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-N2-[3-[2-[(trifluoroacetyl)amino]-1H-imidazol-1-yl]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

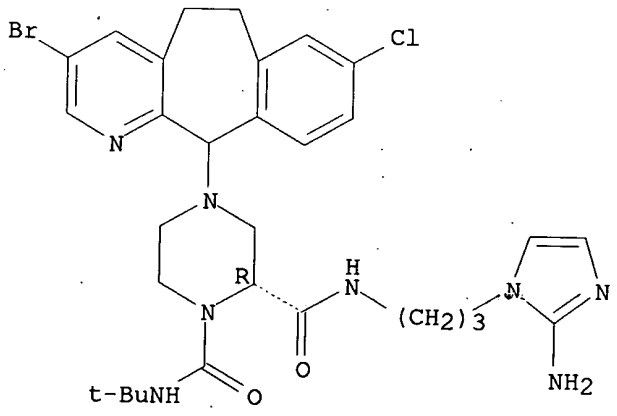


IT **279232-77-8P 279232-78-9P 279232-82-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic farnesyl protein transferase inhibitors)

RN 279232-77-8 CAPLUS

CN 1,2-Piperazinedicarboxamide, N2-[3-(2-amino-1H-imidazol-1-yl)propyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-, (2R)- (9CI) (CA INDEX NAME)

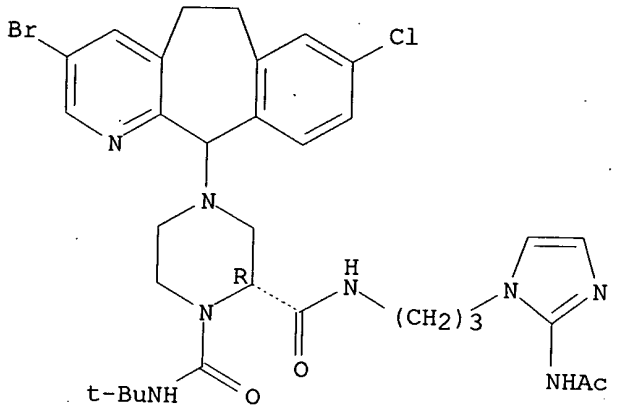
Absolute stereochemistry.



RN 279232-78-9 CAPLUS

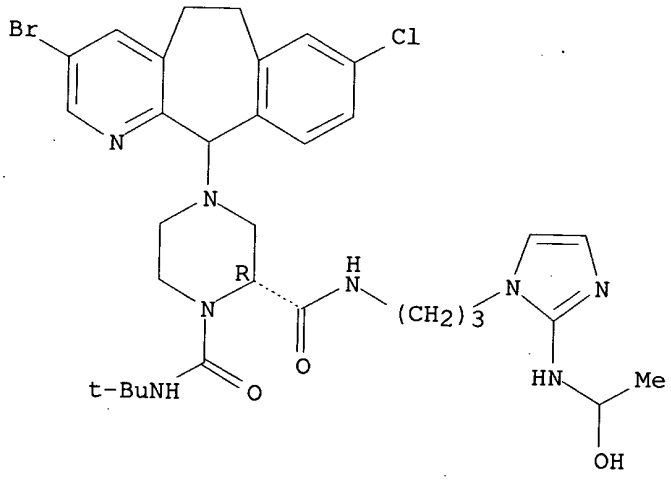
CN 1,2-Piperazinedicarboxamide, N2-[3-[2-(acetylamino)-1H-imidazol-1-yl]propyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



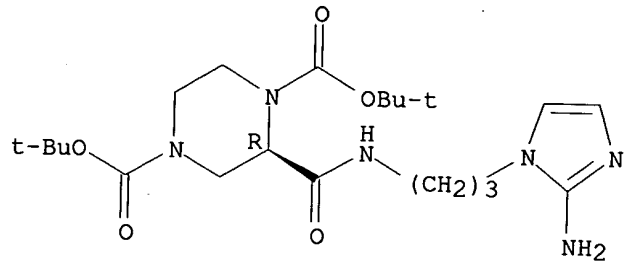
RN 279232-82-5 CAPLUS
CN 1,2-Piperazinedicarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N1-(1,1-dimethylethyl)-N2-[3-[2-[(1-hydroxyethyl)amino]-1H-imidazol-1-yl]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



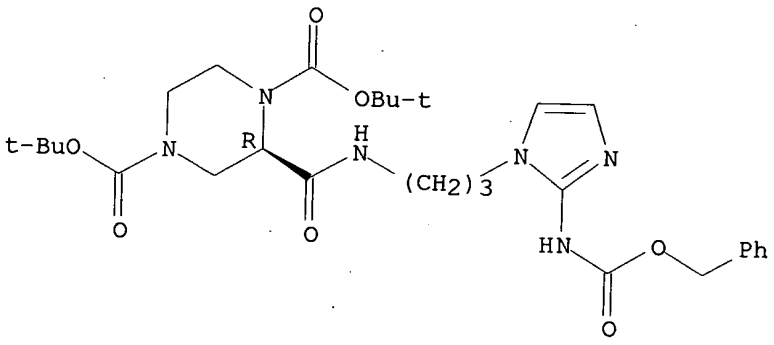
IT **279236-69-0P 279236-70-3P 279236-72-5P 279236-73-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic farnesyl protein transferase inhibitors)
RN 279236-69-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[3-(2-amino-1H-imidazol-1-yl)propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 279236-70-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[3-[2-[[(phenylmethoxy)carbonyl]amino]-1H-imidazol-1-yl]propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

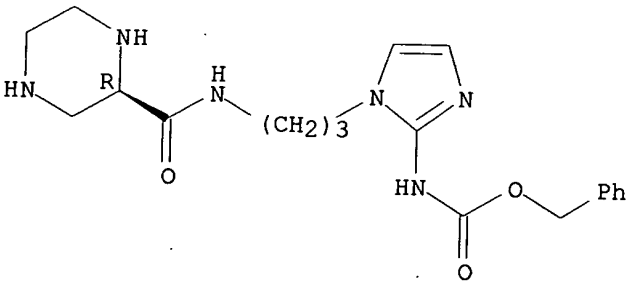


RN 279236-72-5 CAPLUS
CN Carbamic acid, [1-[3-[[(2R)-2-piperazinylcarbonyl]amino]propyl]-1H-imidazol-2-yl]-, phenylmethyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

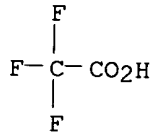
CRN 279236-71-4
CMF C19 H26 N6 O3

Absolute stereochemistry.



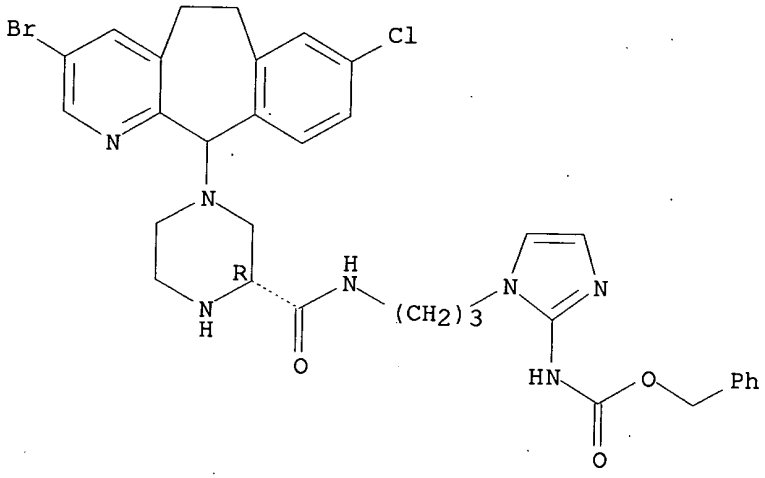
CM 2

CRN 76-05-1
CMF C2 H F3 O2



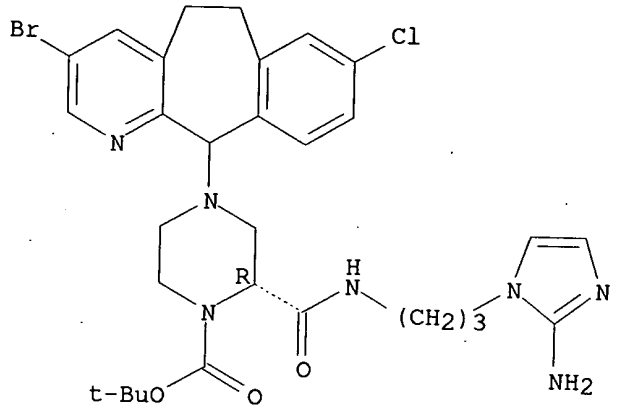
RN 279236-73-6 CAPLUS
CN Carbamic acid, [1-[3-[[[(2R)-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-2-piperazinyl]carbonyl]amino]propyl]-1H-imidazol-2-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **279232-79-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic farnesyl protein transferase inhibitors)
RN 279232-79-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[3-(2-amino-1H-imidazol-1-yl)propyl]amino]carbonyl]-4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

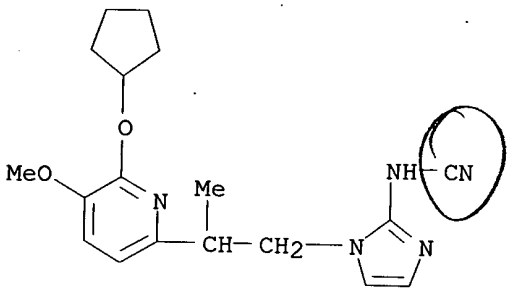


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1999:640852 CAPLUS
DN 131:257564
TI Preparation of 1-pyridylalkyl-2-oxo-4-imidazolines and analogs as cytokine and PDE-IV inhibitors
IN Freyne, Eddy Jean Edgard; Diels, Gaston Stanislas Marcella; Matesanz-Ballesteros, Maria Encarnacion; Diaz-Martinez, Adolfo
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9950262	A1	19991007	WO 1999-EP2045	19990324
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2326045	AA	19991007	CA 1999-2326045	19990324
	AU 9931474	A1	19991018	AU 1999-31474	19990324
	BR 9909326	A	20001212	BR 1999-9326	19990324
	EP 1068194	A1	20010117	EP 1999-913302	19990324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2002509927	T2	20020402	JP 2000-541166	19990324
	EE 200000569	A	20020415	EE 2000-569	19990324
	NZ 507022	A	20020628	NZ 1999-507022	19990324
	BG 104718	A	20010430	BG 2000-104718	20000828
	NO 2000004906	A	20001128	NO 2000-4906	20000929
PRAI	EP 1998-201020	A	19980401		
	WO 1999-EP2045	W	19990324		

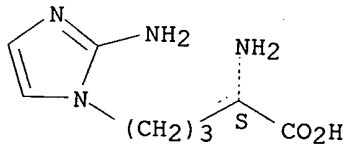
OS MARPAT 131:257564
AB Title compds. [I; R = H, alk(en)yl, piperidyl, alkylsulfonyl, etc.; R1,R4,R5 = H or alkyl; R2 = H, halo, alkoxy(carbonyl), aryl, etc.; R1R2 = (CH2)1-4; R3 = H, halo, OH, alkyl(oxy); R6 = 5,6-dihydroxy- or -dialkoxy-2-pyridyl, etc.; dashed line = optional bond] were prepd. as cytokine (no data) and PDE-IV inhibitors. Thus, 6-(2-amino-1-methylethyl)-4-cyclopentyloxy-3-pyridinol was amidated by ClCO2Ph and the product amidated by (MeO)2CHCH2NH2 to give, after cyclization, I (R = R1 = R2 = R4 = R5 = H, R3 = Me, R6 = 4-cyclopentyloxy-5-hydroxy-2-pyridyl). Data for PDE-IV inhibition of I were given.
IT **244629-27-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-pyridylalkyl-2-oxo-4-imidazolines and analogs as cytokine and PDE-IV inhibitors)
RN 244629-27-4 CAPLUS
CN Cyanamide, [1-[2-[6-(cyclopentyloxy)-5-methoxy-2-pyridinyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 11 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1999:1967 CAPLUS
DN 130:139605
TI S-2-amino-5-azolylpentanoic acids related to L-ornithine as inhibitors of the isoforms of nitric oxide synthase (NOS)
AU Ulhaq, Saraj; Chinje, Edwin C.; Naylor, Matthew A.; Jaffar, Mohammed; Stratford, Ian J.; Threadgill, Michael D.
CS Department of Pharmacy & Pharmacology, University of Bath, Bath, BA2 7AY, UK
SO Bioorganic & Medicinal Chemistry (1998), 6(11), 2139-2149
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Amino(imidazolyl)pentanoic acids I (R = NO2, NH2) have been used as weakly inhibitory lead compds. in the design of 2-amino-5-azolylpentanoic acids which are more potent in their inhibition of nitric oxide synthases. Treatment of 2-(Boc-amino)-5-bromopentanoic acid t-Bu ester with appropriate imidazoles and 1,2,4-triazoles and with tetrazole under basic conditions, followed by acidolytic deprotection, gave many of the required 2-amino-5-azolylpentanoic acids. Tetrazole was alkylated at N-1 and at N-2 in approx. equal amts. whereas the 1,2,4-triazoles reacted principally at N-1. A nitrile was introduced at the 2-position of the imidazole by reaction of the 2-unsubstituted precursor with 1-cyano-4-dimethylaminopyridine. Of this series of compds., 2-amino-5-(imidazol-1-yl)pentanoic acid (I; R = H) was identified as the most potent member against rat iNOS, rat nNOS and a human-derived cNOS. Examn. of the structure-activity relationships for the identity and substitution of the azoles has led to the proposal of a model for the binding of the inhibitors to the binding site for the natural substrate.
IT **177906-16-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of amino(azolyl)pentanoic acids as inhibitors of nitric oxide synthase isoforms)
RN 177906-16-0 CAPLUS
CN 1H-Imidazole-1-pentanoic acid, .alpha.,2-diamino-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

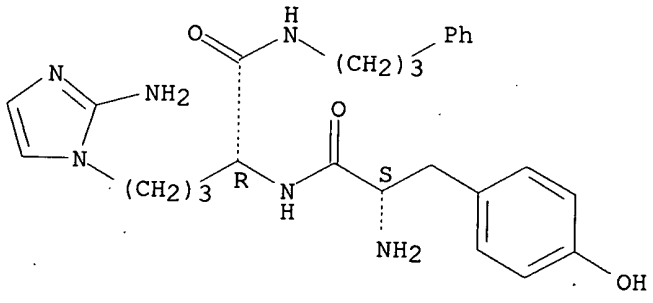
Same as #19

L20 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1998:745087 CAPLUS
DN 130:4092
TI Analgesic peptidomimetic compounds
IN Dimaio, John; Wang, Wuyi
PA Astra Aktiebolag (Publ), Swed.
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850421	A1	19981112	WO 1998-SE826	19980505
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9874616	A1	19981127	AU 1998-74616	19980505
	ZA 9803813	A	19981109	ZA 1998-3813	19980506
PRAI	SE 1997-1718		19970507		
	WO 1998-SE826		19980505		

OS MARPAT 130:4092
AB Peptidomimetics 4,3,2,6,5-R1OC6R2R3R4R5(CH2)nCH(NR6R7)CONR8CHXCONYR8 [R1 = H, alkyl, acyl; R2-R5 = H, OH, halo, alkyl, alkoxy; R6, R7 = H, alkyl; R8 = H, alkyl; n = 0-2; X = (un)substituted 1-imidazolyl-, 3-oxazolyl-, or 3-thiazolylpropyl or R9C(:NH)NH(CH2)3, where R9 = H, OH, alkyl, NH2, O2NNH; Y = carboxamido-, carboxy- or cycloalkylalkyl derivs.] were prepd. as analgesics. Thus, 2R-[2S-amino-3-(4-hydroxyphenyl)propionylamino]-5-imidazol-1-ylpentanoic acid (1S-carbamoyl-2-phenylethyl)amide was prepd. via peptide in soln.
IT **215782-93-7P 215782-94-8P 215783-02-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of analgesic peptidomimetic compds.)
RN 215782-93-7 CAPLUS
CN D-Norvalinamide, L-tyrosyl-5-(2-amino-1H-imidazol-1-yl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



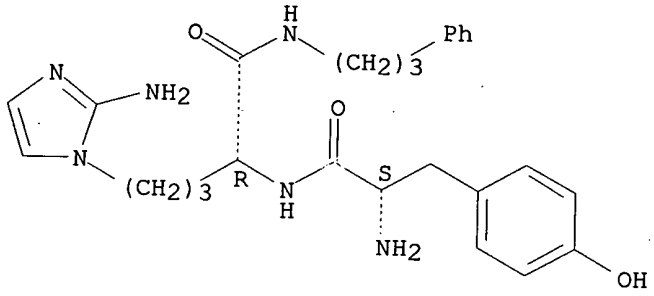
RN 215782-94-8 CAPLUS

CN D-Norvalinamide, L-tyrosyl-5-(2-amino-1H-imidazol-1-yl)-N-(3-phenylpropyl)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

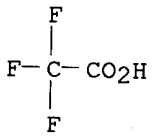
CRN 215782-93-7
CMF C26 H34 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

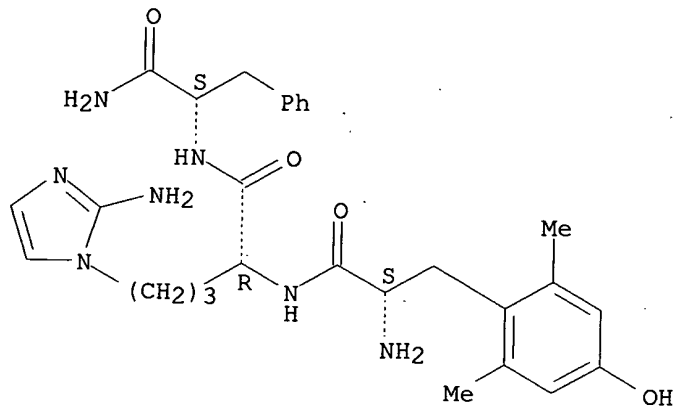


RN 215783-02-1 CAPLUS
CN L-Phenylalaninamide, 2,6-dimethyl-L-tyrosyl-5-(2-amino-1H-imidazol-1-yl)-D-norvalyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

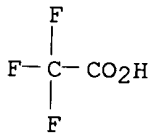
CRN 215783-01-0
CMF C28 H37 N7 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1998:227147 CAPLUS
DN 128:282838
TI Preparation of PDE IV inhibiting 2-cyanoiminoimidazole derivatives
IN Freyne, Eddy Jean Edgard; Fernandez-Gadea, Francisco Javier; Andres-Gil, Jose Ignacio
PA Janssen Pharmaceutica N.V., Belg.; Freyne, Eddy Jean Edgard; Fernandez-Gadea, Francisco Javier; Andres-Gil, Jose Ignacio
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

all have -NH-CN.

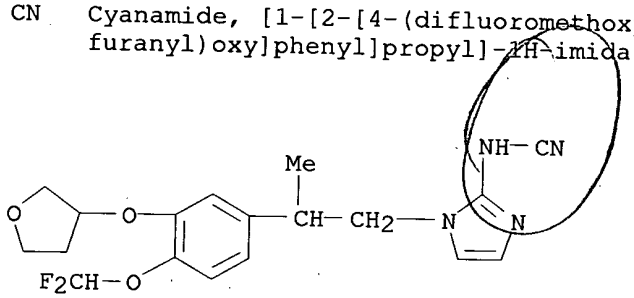
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9814432	A1	19980409	WO 1997-EP5322	19970924
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9747792	A1	19980424	AU 1997-47792	19970924
	AU 719561	B2	20000511		
	EP 934280	A1	19990811	EP 1997-910380	19970924
	EP 934280	B1	20030409		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9712256	A	19990824	BR 1997-12256	19970924
	CN 1232456	A	19991020	CN 1997-198460	19970924
	CN 1106387	B	20030423		
	JP 2000503678	T2	20000328	JP 1998-516215	19970924
	JP 3068208	B2	20000724		
	JP 3068208	B2	20000724	JP 1997-516215	19970924
	RU 2180902	C2	20020327	RU 1999-109032	19970924
	EE 3825	B1	20020815	EE 1999-112	19970924
	AT 236884	E	20030415	AT 1997-910380	19970924
	TW 412533	B	20001121	TW 1997-86114167	19970930
	ZA 9708809	A	19990401	ZA 1997-8809	19971001
	KR 2000029964	A	20000525	KR 1999-701204	19990212
	US 6051718	A	20000418	US 1999-147925	19990319
	NO 9901560	A	19990602	NO 1999-1560	19990330
PRAI	EP 1996-202749	A	19961002		
	WO 1997-EP5322	W	19970924		

OS MARPAT 128:282838
AB 2-Cyanoiminoimidazole derivs. I [R1, R2 = hydrogen, C1-6alkyl, difluoromethyl, trifluoromethyl, C3-6cycloalkyl, satd. 5-, 6- or 7-membered heterocycle contg. one or two heteroatoms selected from oxygen, sulfur or nitrogen, indanyl, 6,7-dihydro-5H-cyclopentapyridinyl, bicyclo[2.2.1]-2-heptenyl, bicyclo[2.2.1]heptanyl, C1-6alkylsulfonyl, arylsulfonyl, substituted C1-10alkyl; R3 = hydrogen, halo, C1-6alkyloxy; R4 = hydrogen, halo, C1-6alkyl, trifluoromethyl, C3-6cycloalkyl, carboxyl, C1-4alkyloxycarbonyl, C3-6cycloalkylaminocarbonyl, aryl, substituted C1-6alkyl, etc.; R5 = hydrogen, halo, hydroxy, C1-6alkyl, C1-6alkyloxy; R6 = hydrogen, C1-4alkyl; or R4 and R6, or R4 and R5 taken together may form a bivalent radical; -A-B- = -CR10:CR11- or -CHR10CHR11-; L = hydrogen,

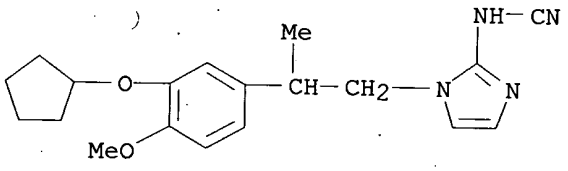
C1-6alkyl, C1-6alkylcarbonyl, C1-6alkyloxycarbonyl, substituted C1-6alkyl, C3-6alkenyl, substituted C3-6alkenyl, piperidinyl, substituted piperidinyl, C1-6alkylsulfonyl, arylsulfonyl], having PDE IV and cytokine inhibiting activity, were prepd. E.g., reaction of N-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]propyl]-1,2-ethanediamine and di-Me cyanocarbonimidodithioate gave 13% [1-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]propyl]-2-imidazolidinylidene]cyanamide. The inhibiting effect of I on recombinant human MNL phosphodiesterase type IV B was detd.

IT **205699-38-3P 205699-39-4P 205699-40-7P**
205699-41-8P 205699-42-9P 205699-43-0P
205699-44-1P 205699-45-2P 205699-46-3P
205699-47-4P 205699-48-5P 205699-49-6P
205699-50-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of PDE IV inhibiting (cyanoimino)imidazoles)

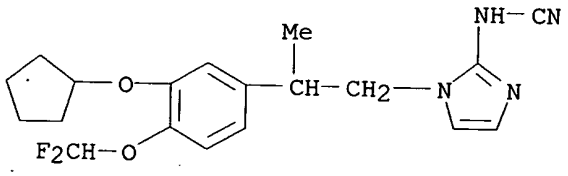
RN 205699-38-3 CAPLUS
CN Cyanamide, [1-[2-[4-(difluoromethoxy)-3-[(tetrahydro-3-furanyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 205699-39-4 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

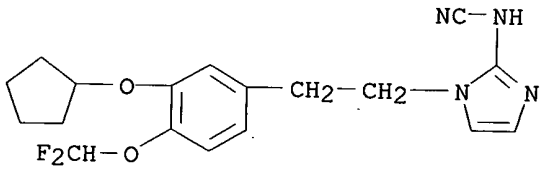


RN 205699-40-7 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

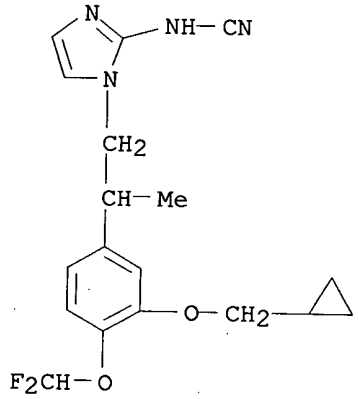


RN 205699-41-8 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl]ethyl]-1H-

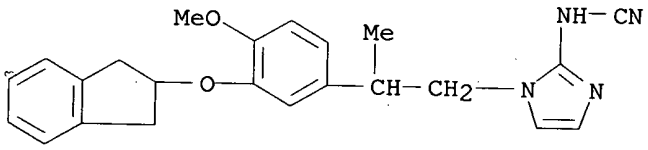
imidazol-2-yl]- (9CI) (CA INDEX NAME)



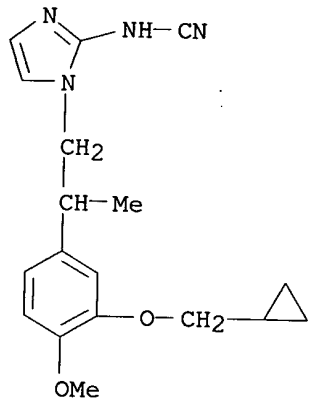
RN 205699-42-9 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



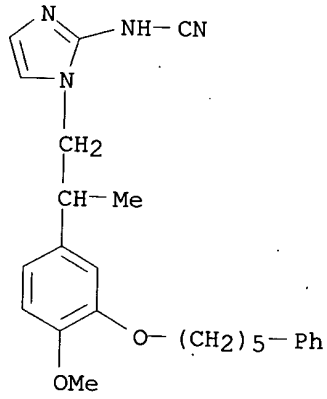
RN 205699-43-0 CAPLUS
CN Cyanamide, [1-[2-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



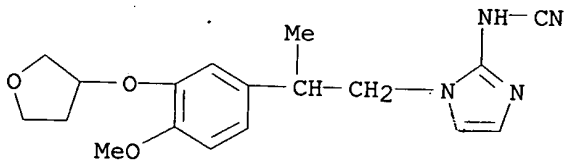
RN 205699-44-1 CAPLUS
CN Cyanamide, [1-[2-[3-(cyclopropylmethoxy)-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



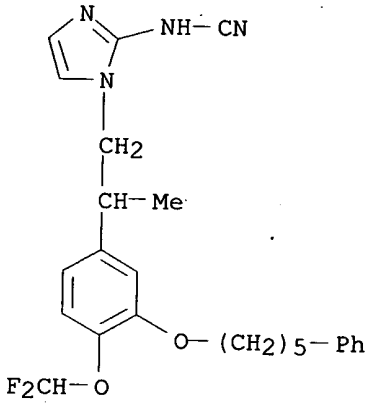
RN 205699-45-2 CAPLUS
CN Cyanamide, [1-[2-[4-methoxy-3-[(5-phenylpentyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



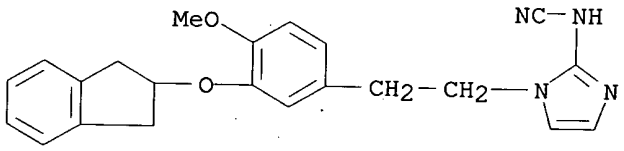
RN 205699-46-3 CAPLUS
CN Cyanamide, [1-[2-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



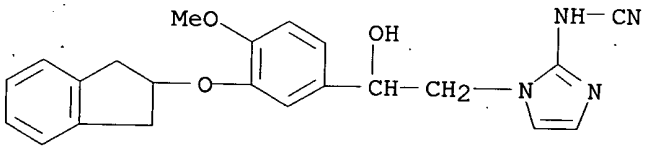
RN 205699-47-4 CAPLUS
CN Cyanamide, [1-[2-[4-(difluoromethoxy)-3-[(5-phenylpentyl)oxy]phenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



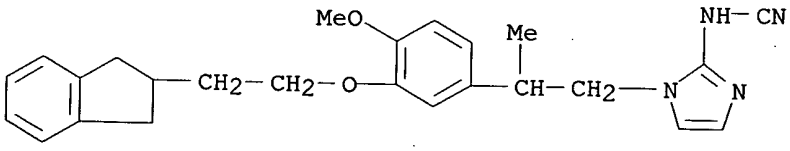
RN 205699-48-5 CAPLUS
CN Cyanamide, [1-[2-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]ethyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 205699-49-6 CAPLUS
CN Cyanamide, [1-[2-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]-2-hydroxyethyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 205699-50-9 CAPLUS
CN Cyanamide, [1-[2-[3-[2-(2,3-dihydro-1H-inden-2-yl)ethoxy]-4-methoxyphenyl]propyl]-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1997:411063 CAPLUS
DN 127:121998
TI Imidazole-containing aminoboronic acids
IN Dominguez, Celia; Cacciola, Joseph; Fevig, John Matthew
PA Dupont Merck Pharmaceutical Company, USA
SO U.S., 12 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

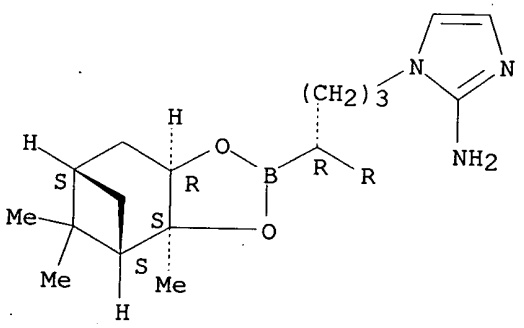
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5639739	A	19970617	US 1995-409573	19950324
PRAI US 1995-409573		19950324		

Same as #16

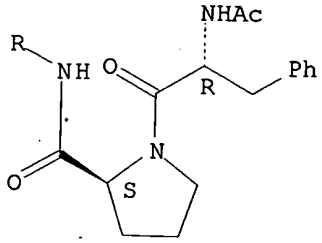
OS MARPAT 127:121998
AB .alpha.-Aminoboronic acids and corresponding peptide analogs R1R2NCHR3CONHCH(BR4R5)(CH2)nC3H2N2NH2-2 [C3H2N2NH2-2 is 2-amino-1-imidazolyl; R1 = acyl, acyl amino acid residue; R2 = aralkyl, arylcycloalkylmethyl; R3 = H or R2R3 may form a proline residue; R4, R5 = OH or BR4R5 is a cyclic boron ester derived from pinanediol, pinacol, 1,2-ethanediol, etc.; n = 1-4] were prepd. as inhibitors of trypsin-like serine protease enzymes, esp. thrombin, Factor X and Factor VII. Thus, Ac-D-Phe-Pro-boroGly-(CH2)3C3H2NH2-2-C10H16 (C10H16 = pinanediol residue) was prepd. by treatment of Ac-D-Phe-Pro-boroGly-(CH2)3NH2.HCl with 2-nitroimidazole, followed by redn. of the nitro group by H2-Pd(OH)2.
IT **186765-59-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of imidazole-contg. aminoboronic acids and peptides)
RN 186765-59-3 CAPLUS
CN L-Prolinamide, N-acetyl-D-phenylalanyl-N-[(1R)-4-(2-amino-1H-imidazol-1-yl)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

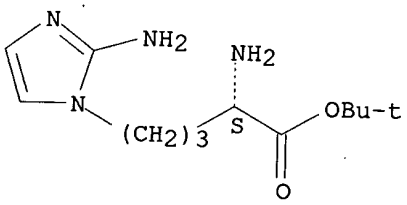


PAGE 2-A



L20 ANSWER 15 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1997:133650 CAPLUS
DN 126:246419
TI S-2-Amino-5-(2-nitroimidazol-1-yl)pentanoic acid: a model for potential bioreductively activated prodrugs for inhibitors of nitric oxide synthase (NOS) activity
AU Ulhaq, Saraj; Naylor, Matthew A.; Chinje, Edwin C.; Threadgill, Michael D.; Stratford, Ian J.
CS Division of Experimental Oncology, MRC Radiobiology Unit, Oxfordshire, OX11 0RD, UK
SO Anti-Cancer Drug Design (1997), 12(1), 61-65
CODEN: ACDDEA; ISSN: 0266-9536
PB Oxford University Press
DT Journal
LA English
AB Treatment of 1',1-dimethylethyl S-(2-1,1-dimethylethoxycarbonylamino)-5-bromopentanoate with 1-potassio-2-nitroimidazole, followed by deprotection, afforded S-2-amino-5-(2-nitroimidazol-1-yl)pentanoic acid, which was reduced to S-2-amino-5-(2-aminoimidazol-1-yl)pentanoic acid. This aminoimadazole inhibited rat brain nitric oxide synthase (NOS) activity 3.2 times more potently than did the nitro analog. Thus S-2-amino-5-(2-nitroimidazol-1-yl)pentanoic acid is a potent prodrug which may be bioreductively activated to a NOS inhibitor in hypoxic solid tumors to bring about vascular shut-down.
IT **188634-03-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino(nitroimidazolyl)pentanoic acid as model for potential bioreductively activated prodrugs for inhibitors of nitric oxide synthase in relation to vascular shut-down in hypoxic solid tumors)
RN 188634-03-9 CAPLUS
CN 1H-Imidazole-1-pentanoic acid, .alpha.,2-diamino-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

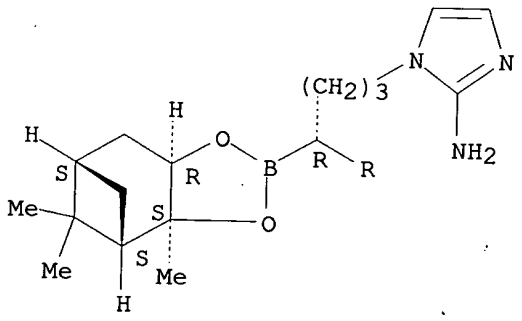
Absolute stereochemistry.



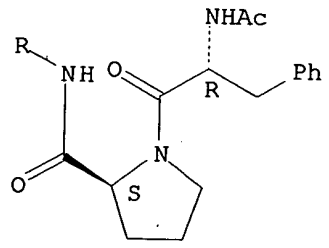
L20 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1997:56330 CAPLUS
DN 126:139500
TI S1 heterocyclic thrombin inhibitors
AU Dominguez, C.; Carini, D. J.; Weber, P. C.; Knabb, R. M.; Alexander, R. S.; Kettner, C. A.; Wexler, R. R.
CS Exptl. Sta., DuPont Merck Pharmaceutical Co., Wilmington, DE, 19880-0500, USA
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(1), 79-84
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB A series of boropeptides have previously been described by Kettner et al. to be potent thrombin inhibitors. DuP 714 is a representative of this class of compds. with a Ki = 0.040 nM, but this inhibitor has undesirable side effects. New and selective boronic acid thrombin inhibitors have been developed by replacing the guanidine of the boroarginine side chain with various heterocycles ranging in size and basicity.
IT **186765-59-3P 186765-60-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of boropeptide heterocycles as thrombin inhibitors)
RN 186765-59-3 CAPLUS
CN L-Prolinamide, N-acetyl-D-phenylalanyl-N-[(1R)-4-(2-amino-1H-imidazol-1-yl)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

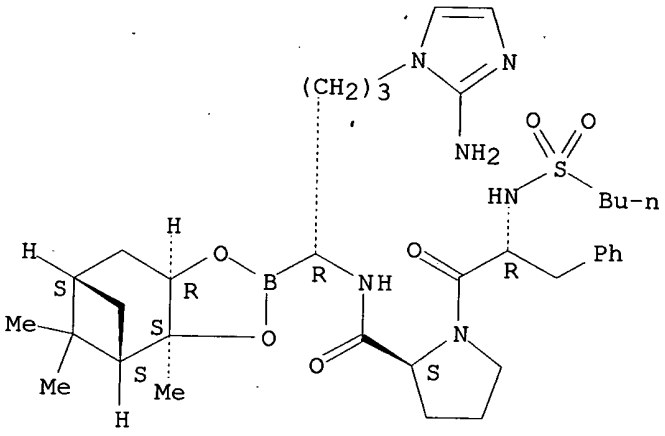


PAGE 2-A

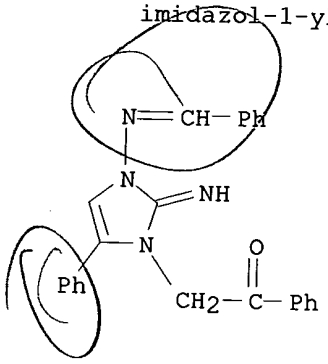


RN 186765-60-6 CAPLUS
CN L-Prolinamide, N-(butylsulfonyl)-D-phenylalanyl-N-[(1R)-4-(2-amino-1H-imidazol-1-yl)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

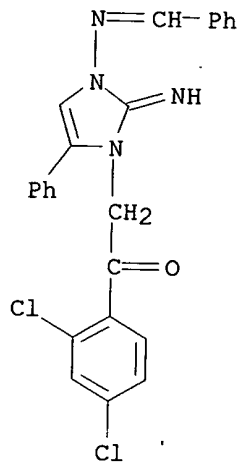


L20 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1996:756682 CAPLUS
DN 126:89305
TI Synthesis of substituted 2-amino-1-(arylideneamino)imidazoles and 1-(arylideneamino)imidazo[1,2-a]imidazoles
AU Krimer, M. Z.; Makaev, F. Z.; Styngach, E. P.; Koretsky, A. G.; Pogrebnoy, S. I.; Kochug, A. I.
CS Russia
SO Khimiya Geterotsiklicheskikh Soedinenii (1996), (9), 1209-1213
CODEN: KGSSAQ; ISSN: 0132-6244
PB Latviiskii Institut Organicheskogo Sinteza
DT Journal
LA Russian
AB 2-Amino-1-(arylideneamino)imidazoles, e.g., I, were prepd. by cyclocondensation of benzaldehyde guanylhydrazones with .alpha.-halo ketones. 1-(Arylideneamino)imidazo[1,2-a]imidazoles were then prepd. by cyclocondensation of these products with .alpha.-halo ketones at >100.degree..
IT **185422-42-8P 185422-43-9P 185422-44-0P**
185422-45-1P 185422-46-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 185422-42-8 CAPLUS
CN Ethanone, 2-[2,3-dihydro-2-imino-5-phenyl-3-[(phenylmethylene)amino]-1H-imidazol-1-yl]-1-phenyl-, monohydrobromide (9CI) (CA INDEX NAME)



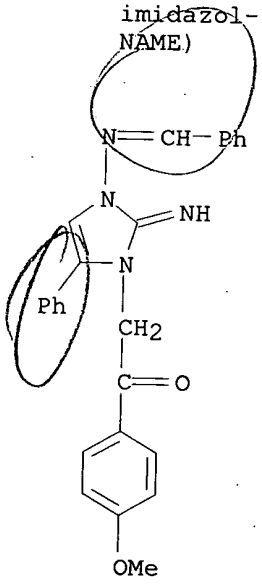
● HBr

RN 185422-43-9 CAPLUS
CN Ethanone, 1-(2,4-dichlorophenyl)-2-[2,3-dihydro-2-imino-5-phenyl-3-[(phenylmethylene)amino]-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



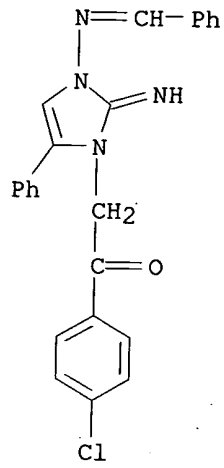
● HBr

RN 185422-44-0 CAPLUS
CN Ethanone, 2-[2,3-dihydro-2-imino-5-phenyl-3-[(phenylmethylene)amino]-1H-imidazol-1-yl]-1-(4-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)



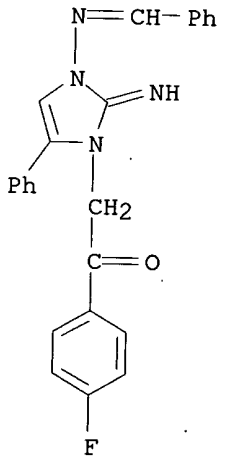
● HBr

RN 185422-45-1 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-2-[2,3-dihydro-2-imino-5-phenyl-3-[(phenylmethylene)amino]-1H-imidazol-1-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

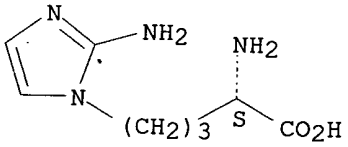
RN 185422-46-2 CAPLUS
CN Ethanone, 2-[2,3-dihydro-2-imino-5-phenyl-3-[(phenylmethylene)amino]-1H-imidazol-1-yl]-1-(4-fluorophenyl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

L20 ANSWER 19 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1996:324454 CAPLUS
DN 125:25771
TI S-2-Amino-5-(2-nitroimidazol-1-yl) pentanoic acid: A potential bioreductively-activated inhibitor of nitric oxide synthase activity for use in cancer therapy
AU Ulhaq, Saraj; Naylor, Matthew A.; Threadgill, Michael D.; Chinje, Edwin; Stratford, Ian J.
CS MRC Radiobiology Unit, Chilton/Oxon, OX11 ORD, UK
SO Portland Press Proceedings (1996), 10(Biology of Nitric Oxide Part 5), 225
CODEN: POPPEF; ISSN: 0966-4068
PB Portland Press
DT Journal
LA English
AB S-2-Amino-5-(2-aminoimidazol-1-yl)pentanoic acid inhibits NOS activity (IC50=1.98 mM) and the inhibition is concn.-dependent. S-2-Amino-5-(2-nitroimidazol-1-yl)pentanoic acid shows very little inhibition. The latter may be a potentially hypoxia-selective prodrug of the aminoimidazolyl deriv. with a possible application in the selective modulation of tumor blood flow.
IT **177906-16-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amino(nitroimidazolyl)pentanoate prodrug as nitric oxide synthase inhibitor)
RN 177906-16-0 CAPLUS
CN 1H-Imidazole-1-pentanoic acid, .alpha.,2-diamino-, (.alpha.S)- (9CI) (CA INDEX NAME)

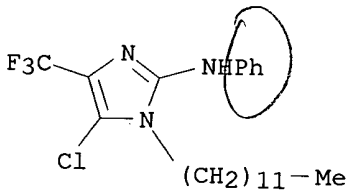
Absolute stereochemistry.



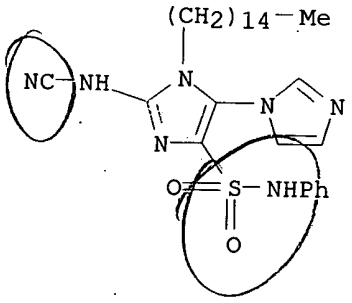
L20 ANSWER 20 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1995:717130 CAPLUS
DN 123:316886
TI Azole-type photographic cyan couplers
IN Ikesu, Satoru; Kita, Hiroshi; Kaneko, Yutaka
PA Konishiroku Photo Ind, Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07128824	A2	19950519	JP 1993-277014	19931105
PRAI	JP 1993-277014		19931105		

OS MARPAT 123:316886
AB Azoles I or II (Ar = aryl; R1 = H, substituent; R2 = substituent; X = H, group capable of being released upon reaction with an oxidized color developer; Y = substituent with Hammett .sigma.p value 0.3-1.5; Z = S, O, NR2) are claimed as photog. couplers. The photog. couplers show good color reproducibility and provide color images with resistance to heat, moisture, and light.
IT **170278-66-7 170278-74-7**
RL: DEV (Device component use); USES (Uses)
(azoles as cyan couplers with color reproducibility for images resistant to heat, moisture, and light)
RN 170278-66-7 CAPLUS
CN 1H-Imidazol-2-amine, 5-chloro-1-dodecyl-N-phenyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 170278-74-7 CAPLUS
CN [1,5'-Bi-1H-imidazole]-4'-sulfonamide, 2'-(cyanoamino)-1'-pentadecyl-N-phenyl- (9CI) (CA INDEX NAME)

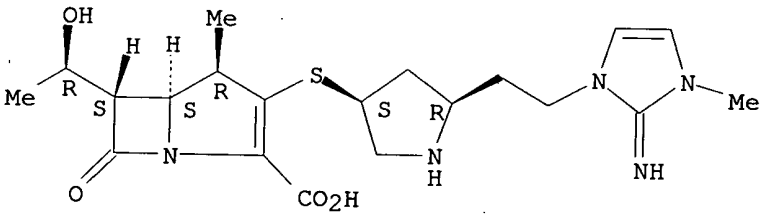


L20 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1995:638526 CAPLUS
DN 123:55585
TI 3-pyrrolidinylthio-carbapenem derivatives and their antimicrobial activity
IN Murata, Masayoshi; Tsutsumi, Hideo; Matsuda, Keiji; Hattori, Kohji; Nakajima, Takashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9510520	A1	19950420	WO 1994-JP1588	19940927
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9477068	A1	19950504	AU 1994-77068	19940927
	EP 722447	A1	19960724	EP 1994-927783	19940927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09503518	T2	19970408	JP 1994-511578	19940927
PRAI	GB 1993-20816		19931008		
	WO 1994-JP1588		19940927		

OS MARPAT 123:55585
AB Carbapenem derivs. I, in which R1 is carboxy, etc., R2 is hydroxy(lower)alkyl, etc., R3 is hydrogen or lower alkyl, R4 is 2(or 3)-methylpyridin-4-ylmethyl, etc., and R5 is hydrogen or imino-protective group, or pharmaceutically acceptable salts thereof, which are useful as an antimicrobial agent.
IT **164163-12-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antimicrobial activity of pyrrolidinylthio-carbapenems)
RN 164163-12-6 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[5-[2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)ethyl]-3-pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, monohydrochloride, [4R-[3(2R*,4S*),4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



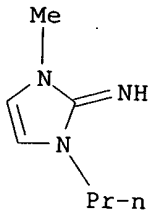
● HCl

L20 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1994:134534 CAPLUS
DN 120:134534
TI Preparation of pyrimidinyl- and triazinylurea derivatives as herbicides
IN Makino, Kenzi; Akiyama, Shigeaki; Suzuki, Hideaki; Nagaoka, Takeshi; Niki, Toshio; Suzuki, Koichi; Nawamaki, Tsutomu; Watanabe, Shigeomi; Ishikawa, Kimihiro
PA Nissan Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9300336	A1	19930107	WO 1992-JP808	19920625
	W: AU, BG, BR, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	CA 2112457	AA	19930107	CA 1992-2112457	19920625
	AU 9221667	A1	19930125	AU 1992-21667	19920625
	AU 658212	B2	19950406		
	EP 592676	A1	19940420	EP 1993-901023	19920625
	EP 592676	B1	19980930		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	RU 2109011	C1	19980420	RU 1993-58608	19920625
	AT 171699	E	19981015	AT 1993-901023	19920625
	ES 2123044	T3	19990101	ES 1993-901023	19920625
	JP 3208773	B2	20010917	JP 1993-501236	19920625
	US 5500406	A	19960319	US 1994-170222	19940415
	US 5604179	A	19970218	US 1995-472921	19950607
	US 5686390	A	19971111	US 1995-573549	19951215
PRAI	JP 1991-158106	A	19910628		
	JP 1991-193984	A	19910802		
	JP 1991-199181	A	19910808		
	JP 1991-204294	A	19910814		
	JP 1991-245876	A	19910925		
	JP 1991-271305	A	19911018		
	JP 1991-296807	A	19911113		
	JP 1991-319422	A	19911203		
	JP 1991-320618	A	19911204		
	JP 1992-7397	A	19920120		
	JP 1992-66277	A	19920324		
	JP 1992-94534	A	19920414		
	JP 1992-111494	A	19920430		
	WO 1992-JP808	A	19920625		
	US 1994-170222	A1	19940415		

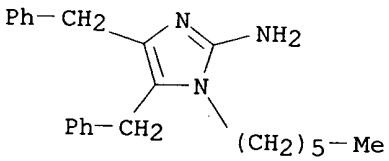
OS MARPAT 120:134534
AB Title compds. [I; A = CH, N; B, D = C1-4 alkyl, haloalkyl, alkoxy, haloalkoxy, halo, (di)alkylamino; L = H, C1-6 alkyl, C2-6 alkenyl, alkynyl; Q = Q1 (wherein R = substituent; E = O, S, substituted imino), etc., X = O, S] are prepd. ClSO2NCO (1.42 g) was added dropwise to a soln. of 1.55 g amine deriv. II in THF at -10.degree. to -5.degree., the mixt. was stirred at 0.degree., cooled to -30.degree., 1.14 g thiazole deriv. III and Et3N were added, and the mixt. was stirred at room temp. to give 1.5 g urea deriv. IV, which killed 70-90% barnyard grass, >90% Cyperus microiria, etc.
IT **153068-30-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. and reaction of, in prepn. of herbicide)
RN 153068-30-5 CAPLUS
CN 2H-Imidazol-2-imine, 1,3-dihydro-1-methyl-3-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

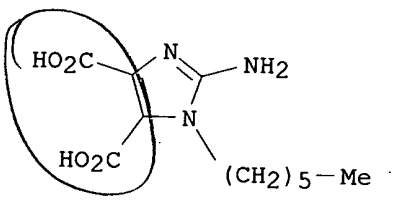
L20 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1994:54763 CAPLUS
DN 120:54763
TI Synthesis and LTB4 receptor antagonist activities of the naturally occurring LTB4 receptor antagonist leucettamine A and related analogs
AU Boehm, Jeffrey C.; Gleason, John G.; Pendrak, Israil; Sarau, Henry M.; Schmidt, Dulcie B.; Foley, James J.; Kingsbury, William D.
CS Dep. Med. Chem., Smithkline Beecham Pharm., King of Prussia, PA, 19406-0939, USA
SO Journal of Medicinal Chemistry (1993), 36(22), 3333-40
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Total synthesis of leucettamine A (I, R = Me, R1 = R2 = 3,4-methylenedioxybenzyl) is achieved by a convergent route which takes advantage of the elements of symmetry within the mol. Syntheses of analogs I [R = Me, hexyl H; R1 = CH2Ph, H, Me; R2 = CH2Ph, CH2C6H4OMe-3, CH2C6H4(CH2)4OH-4], which lacked the same degree of symmetry, are achieved by a different approach starting from .alpha.-amino acids. I (R = Me, R1 = R2 = 3,4-methylenedioxybenzyl) inhibits [3H]LTB4 binding to its receptors on intact human U-937 cells with a Ki = 3.5 .+-. 0.8 .mu.M and is devoid of measurable agonist activity at the concns. tested. Other I were significantly less potent. However, I [R = Me, R1 = CH2Ph, R2 = CH2C6H4(CH2)4OH-4], designed on the basis of a putative structural overlay with LTB4, demonstrated potency comparable to that of the natural product (Ki = 2.4 .+-. 0.2 .mu.M).
IT **151830-84-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and LTB4 antagonist activity of)
RN 151830-84-1 CAPLUS
CN 1H-Imidazol-2-amine, 1-hexyl-4,5-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 26 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1993:626564 CAPLUS
DN 119:226564
TI Synthesis and physical properties of N-substituted polyimides based on imidazole
AU Kim, Yang Kook; Rasmussen, Paul G.
CS Macromol. Sci. Eng. Cent., Univ. Michigan, Ann Arbor, MI, 48109, USA
SO Journal of Polymer Science, Part A: Polymer Chemistry (1993), 31(10), 2583-95
CODEN: JPACEC; ISSN: 0887-624X
DT Journal
LA English
AB AB-type monomers based on imidazole for the prepn. of polyimides were synthesized by carrying out a substitution at the 1-position of 2-amino-4,5-dicyanoimidazole, followed by hydrolysis. Thus, pendent groups such as hexyl and 2,4-dinitrophenyl as an aliph. long chain and an electron-withdrawing group, resp., were introduced at the 1-position of the imidazole monomer. Solid-state polymn. was employed to prep. the poly(imidazole imides) in the form of a film from poly(imidazole amic acid chlorides) by heating up to 180-200.degree.. The carbonyl stretching peaks of the imide ring appeared at 1808 (sym) cm-1 and 1756 (antisym) cm-1. The effects of monomer structure on reactivity and the degree of imidization were investigated by comparing the viscosity of the resultant polymers and intensity of carbonyl peak at 1808 cm-1. The difference in the hydrolysis rate between polyimides having short or long aliph. pendent groups at the 1-position was obsd. using FT-IR. The inherent viscosity of the N-hexyl polyimide was 1.26 dL/g in N-methylpyrrolidinone and 0.22 dL/g in the case of the N-(2,4-dinitrophenyl) poly(amic acid) in MeSO3H at 30.degree.. The structural, phys., and material properties of the polyimides were characterized by IR, NMR, luminescence, and viscosimetric methods, DSC, TGA, optical microscopy, and wide-angle x-ray scattering. Soln. properties were also investigated by monitoring the viscosity as a function of time at 30.degree.. Luminescence spectroscopy of the poly(1-Me imidazole imide) and poly(1-Me imidazoleamic acid) films showed an emission band centered at 535 and 505 nm, resp. Thermal properties were described comparing the wt. loss and decompn. temp. as a function of the polymer structure and the degree of imidization.
IT **151174-79-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and characterization of)
RN 151174-79-7 CAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 2-amino-1-hexyl-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 151169-03-8
CMF C11 H17 N3 O4

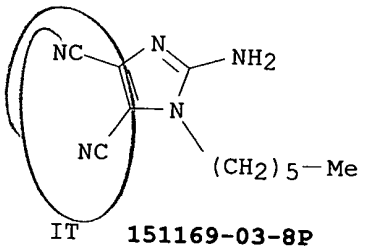


IT **151169-01-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 151169-01-6 CAPLUS

CN 1H-Imidazole-4,5-dicarbonitrile, 2-amino-1-hexyl- (9CI) (CA INDEX NAME)

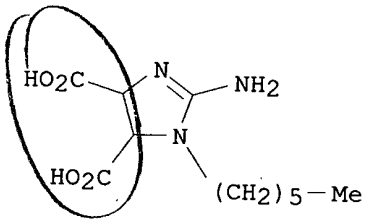


IT **151169-03-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and polymn. of)

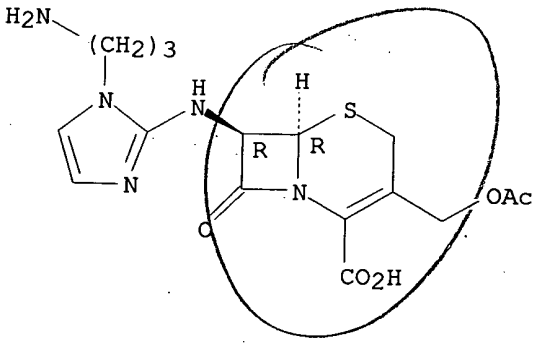
RN 151169-03-8 CAPLUS

CN 1H-Imidazole-4,5-dicarboxylic acid, 2-amino-1-hexyl- (9CI) (CA INDEX NAME)



L20 ANSWER 27 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1993:621209 CAPLUS
DN 119:221209
TI Synthesis and structure-activity relationships of new cephalosporins with aminoimidazoles at C-7: effect of the pKa of the C-7 aminoimidazole on antibacterial spectrum and .beta.-lactamase stability
AU Jung, F.; Boucherot, D.; Delvare, C.; Olivier, A.; Davies, G. M.; Betts, M. J.; Brown, R.; Stevenson, R.; Joseph, M.; et al.
CS Cent. Rech., ZENECA Pharma, Reims, 51064, Fr.
SO Journal of Antibiotics (1993), 46(6), 992-1012
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
AB Cephalosporins with new aminoimidazole heterocycles at C-7 [I, e.g., R = H or Me, R1 = SMe, (CH2)2NO2, CO2Et, CH2SMe, NHCOEt, (CH2)3SOEt, R2 = H, (CH2)3NH2, or CH2CH:CH2, X = OAc or 1-methyl-5-tetrazolylthio] were prepd. by reaction of anti-.alpha.-aminooximes with C-7 dihaloisocyanocephalosporin esters or by direct condensation of 2-fluoroimidzoles with C-7 aminocephalosporins esters. These compds. combine a broad spectrum of antibacterial activity, including Gram-neg. and Gram-pos. organisms with a good .beta.-lactamase stability. The activity is discussed in terms of its relation to the pKa of the C-7 aminoimidazole heterocycle, basic C-7 aminoimidazole residues gave cephalosporins with the best .beta.-lactamase stability but the poorest activity against Gram-pos. organisms. An addnl. interesting property of the C-7 imidazolylaminocephalosporins is the oral activity present in some compds. of this series.
IT **150715-33-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antibacterial activity and .beta.-lactamase stability of, structure in relation to)
RN 150715-33-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[1-(3-aminopropyl)-1H-imidazol-2-yl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

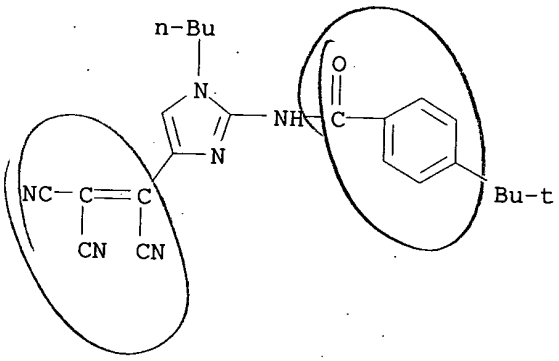
Absolute stereochemistry.



L20 ANSWER 30 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1991:546539 CAPLUS
DN 115:146539
TI Silver halide photographic material containing tricyanoethylene dye
IN Kagawa, Nobuaki; Tanaka, Mari; Kawashima, Yasuhiko; Usagawa, Yasushi
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

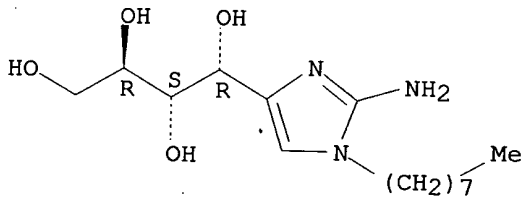
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03031840	A2	19910212	JP 1989-167228	19890628
PRAI	JP 1989-167228		19890628		

OS MARPAT 115:146539
AB The photog. material has, on a support, .gtoreq.1 layer contg. dye AC(CN):C(CN)2 (I; A = pyrazole or imidazole ring). The dye has good decoloring properties and the material gives clear images without fog. Thus, a Ag(Br,Cl) emulsion contg. I (A = II) was coated on a film base to make a photog. film.
IT **135716-49-3**
RL: USES (Uses)
(dye, photog. film contg.)
RN 135716-49-3 CAPLUS
CN Benzamide, N-[1-butyl-4-(tricyanoethenyl)-1H-imidazol-2-yl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 31 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1991:229261 CAPLUS
DN 114:229261
TI Synthesis of 1-alkyl-4-(D-arabino-tetritol-1-yl)-4-imidazolin-2-ylideneammonium picrates and chlorides
AU Fernandez-Bolanos, J.; Alaiz-Barragan, M.
CS Fac. Quim., Univ. Sevilla, Seville, Spain
SO Anales de Quimica (1990), 86(7), 791-6
CODEN: ANQUEX; ISSN: 1130-2283
DT Journal
LA Spanish
OS CASREACT 114:229261
AB The reaction of 1-alkylamino-1-deoxy-D-arabino-hexulose [alkyl group (R) = Me, Pr, Bu, octyl, dodecyl] with cyanamide afforded I picrate and chloride salts (title compds.). The chlorides underwent N- and O-acetylation with Ac2O-pyridine. Deacetylation of I (R = Me) hexaacetyl deriv. with MeONa gave 2-acetylamino-1-methyl-4-(D-arabino-tetritol-1-yl)-1H-imidazole. I (R = H, Me) HCl salts underwent metaperiodate oxidn. of the tetritolyl group to give the aldehydes.
IT **133746-56-2P 133813-69-1P 133813-70-4P**
133813-71-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., acetylation, and spectra of)
RN 133746-56-2 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-octyl-1H-imidazol-4-yl)-, monohydrochloride, [1R-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

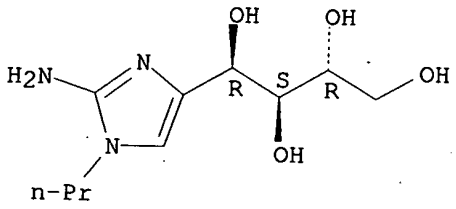


● HCl

RN 133813-69-1 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-propyl-1H-imidazol-4-yl)-, monohydrochloride, [1R-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

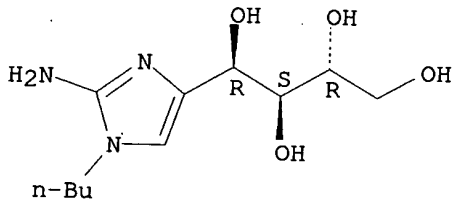
Same as #29



● HCl

RN 133813-70-4 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-butyl-1H-imidazol-4-yl)-, monohydrochloride, [1R-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)

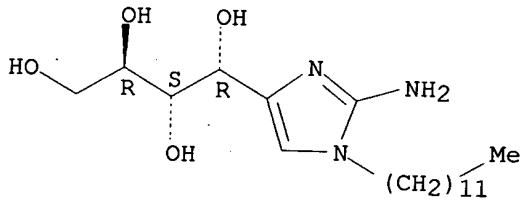
Absolute stereochemistry.



● HCl

RN 133813-71-5 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-dodecyl-1H-imidazol-4-yl)-, monohydrochloride, [1R-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

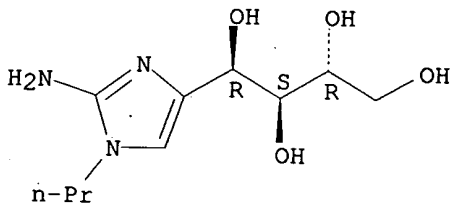
IT **133746-53-9P 133746-55-1P 133746-58-4P**
133814-24-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., exchange reaction with chloride, and spectra of)
RN 133746-53-9 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-propyl-1H-imidazol-4-yl)-,

[1R-(1R*,2S*,3R*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

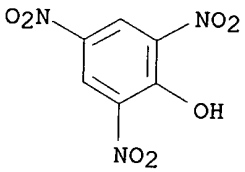
CRN 133746-52-8
CMF C10 H19 N3 O4

Absolute stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

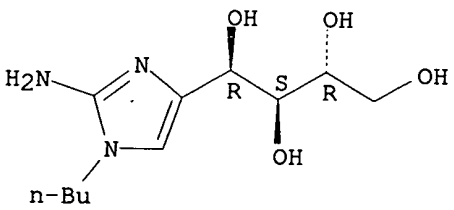


RN 133746-55-1 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-butyl-1H-imidazol-4-yl)-, [1R-(1R*,2S*,3R*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

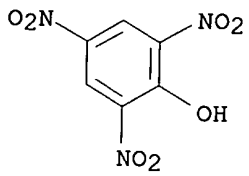
CRN 133746-54-0
CMF C11 H21 N3 O4

Absolute stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

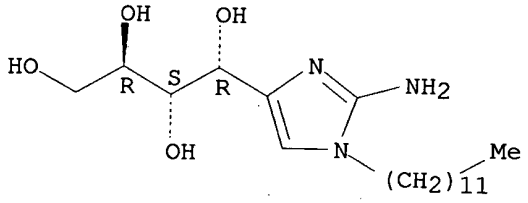


RN 133746-58-4 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-dodecyl-1H-imidazol-4-yl)-, [1R-(1R*,2S*,3R*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

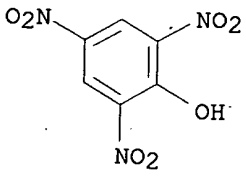
CRN 133746-57-3
CMF C19 H37 N3 O4

Absolute stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

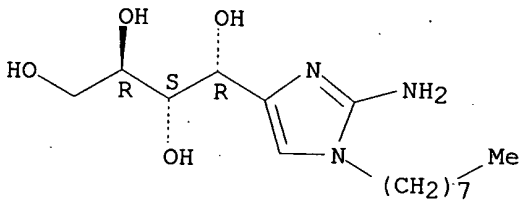


RN 133814-24-1 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-octyl-1H-imidazol-4-yl)-, [1R-(1R*,2S*,3R*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

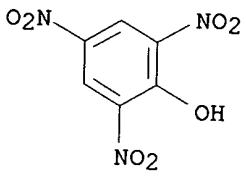
CRN 133814-23-0
CMF C15 H29 N3 O4

Absolute stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



L20 ANSWER 32 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1990:139735 CAPLUS
DN 112:139735
TI 2,2-Disubstituted glycerol and glycerol-like compounds as antiinflammatories and platelet activating factor (PAF) antagonists
IN Solomon, Daniel M.; Kaminski, James J.; White, Steven K.; Lehman, Laura S.; Ganguly, Ashit K.
PA Schering Corp., USA
SO Eur. Pat. Appl., 101 pp.
CODEN: EPXXDW
DT Patent
LA English

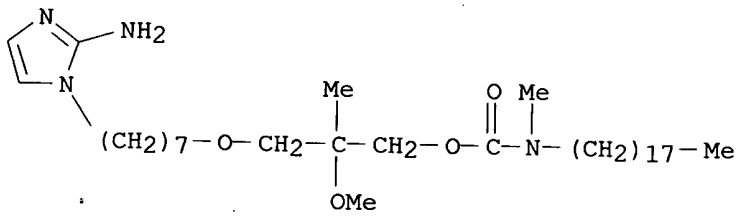
Same as #25

FAN.CNT 1

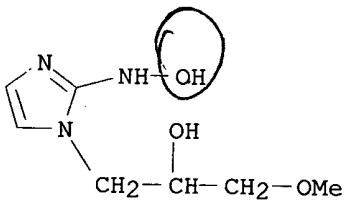
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 327962	A1	19890816	EP 1989-101794	19890202
	R: ES, GR				
	WO 8907099	A1	19890810	WO 1988-US315	19880205
	W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
	RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	AU 8812946	A1	19890825	AU 1988-12946	19880205
	WO 8907100	A1	19890810	WO 1989-US336	19890202
	W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
	RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	AU 8931918	A1	19890825	AU 1989-31918	19890202
	EP 398990	A1	19901128	EP 1989-902853	19890202
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 03501612	T2	19910411	JP 1989-502646	19890202
	JP 06062542	B4	19940817		
	DK 9001857	A	19901004	DK 1990-1857	19900803
	JP 07165739	A2	19950627	JP 1994-16152	19940210
	JP 07179406	A2	19950718	JP 1994-16159	19940210
PRAI	WO 1988-US315		19880205		
	WO 1989-US336		19890202		

OS MARPAT 112:139735
AB Title compds. R1OCH2CR2R3CH2R4 [I; R1 = alkyl, CONR5R6; R5 = H, alkyl, aryl, etc.; R6 = alkyl, aryl, etc.; R5R6N = heterocyclyl; R2 = alkyl, CF3, aralkyl, aryl; R3 = XCmHm+1; X = CH2, O, NR7, SOn; m = 1-6; n = 0,1; R7 = H, alkyl, acyl; R4 = TUV; T = OPO3, OCO2, O, S, NR7, OCONR7, NR7CO2; U = (CH2)l (l = 2-10), (CH2)kC6H4(CH2)k (k = 1-3); V = AZ, Z = bond, O, S, O(CH2)o (o = 1-3), OCO2, NR7; A = alkyl, heteroaryl, etc.; with the proviso that when R1 = alkyl, T .noteq. OPO3] are prepd., e.g. by (1) reaction of R1OCH2CR2R3CH2TUL1 (II) andL2ZA (L1, R2 = leaving group), (2) reaction of R1OCH2CR2R3CH2O2CL1 and L2OUV for I (T = OCO2), and (3) N-alkylation of H2NCO2CHCR2R3CH2R4 for I (R1 = CONHR6; R6 = alkyl). Treatment of n-C18H37NMeCO2CH2CMe(OMe)CH2O(CH2)17OSO2Me (prepn. given) with thiazole in the presence of Bu4N+I- gave a thiazolinium compd. III. III at 50 .mu.M showed 100% inhibition of PAF-induced platelet aggregation. Pharmaceutical formulation examples are given.
IT **125319-91-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory agent and platelet activating factor antagonist)
RN 125319-91-7 CAPLUS

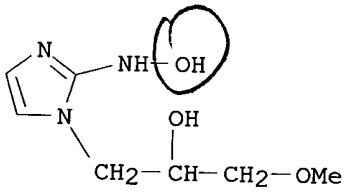
CN Carbamic acid, methyloctadecyl-, 3-[[7-(2-amino-1H-imidazol-1-yl)heptyl]oxy]-2-methoxy-2-methylpropyl ester (9CI) (CA INDEX NAME)



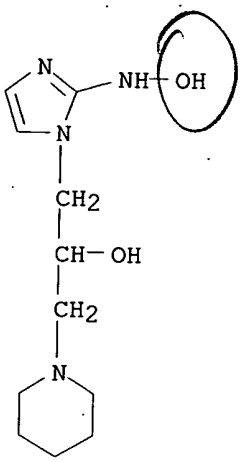
L20 ANSWER 33 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1990:275 CAPLUS
DN 112:275
TI Reductive metabolism and DNA binding of misonidazole
AU Djuric, Zora
CS Dep. Obstet. Gynecol., Wayne State Univ., Detroit, MI, 48201, USA
SO Toxicology and Applied Pharmacology (1989), 101(1), 47-54
CODEN: TXAPA9; ISSN: 0041-008X
DT Journal
LA English
AB The DNA binding of misonidazole was examd. after chem. and enzymic redn. Under anaerobic conditions, both rat liver microsomes and cytosol catalyzed the reductive metab. and DNA binding of misonidazole. The misonidazole utilized in these studies was radiolabeled on the side chain. The adduct(s) formed was too unstable for structural anal. Little or no metab. of misonidazole was detected in aerobic incubations. Likewise, very little DNA binding occurred in the presence of O. Xanthine oxidase, a model nitroreductase, also was capable of catalyzing the DNA binding of misonidazole. However, unlike the xanthine oxidase-catalyzed DNA binding of carcinogenic nitropolycyclic arom. hydrocarbons, the DNA binding of misonidazole was not increased at slightly acidic pH. The putative reactive intermediate, the N-hydroxylamine, was synthesized by Zn redn. of misonidazole. The DNA binding of the N-hydroxylamine deriv. increased with increasing pH. The obsd. pH dependence of the reactions with DNA is similar to that of other heterocyclic N-hydroxylamines, but is in contrast to the reactivity of a no. of arom. N-hydroxylamines.
IT **78524-63-7**
RL: FORM (Formation, nonpreparative)
(formation of, as misonidazole metabolite, DNA binding in relation to)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 34 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1989:573315 CAPLUS
DN 111:173315
TI Kinetics and mechanism of the decomposition in aqueous solutions of 2-(hydroxyamino)imidazoles
AU Bolton, Judy L.; McClelland, Robert A.
CS Dep. Chem., Univ. Toronto, Toronto, ON, M5S 1A1, Can.
SO Journal of the American Chemical Society (1989), 111(21), 8172-81
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
OS CASREACT 111:173315
AB A kinetic study is reported of the reaction in aq. soln. whereby 1-X-2-(hydroxyamino)imidazoles (I) are converted into 1-X-2-amino-4,5-dihydro-4,5-dihydroxyimidazolium ions, with substituents X = H, CH3, CH2CH2Br, CH2CH(OH)CH2OCH3, CH2CONHCH2CH2OH, and CH2CH(OH)CH2NC5H10. A mechanism is proposed with the neutral form of the imidazole as the kinetically active species, undergoing rate-limiting cleavage of the N-O bond with no catalysis (OH- as leaving group) and with catalysis by the hydronium ion and by buffer acids. These reactions produce a resonance-stabilized imidazolenitrenium ion, which reacts with water and added nucleophiles leading to products. Through analogy with acetal hydrolysis, the prodn. of a stabilized cationic intermediate is suggested to be responsible for the general-acid catalysis. The relevance to metabolic redn. of 2-nitroimidazole drugs is discussed.
IT **78524-63-7P 124206-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and decompn. of, in aq. soln., kinetics and mechanism of)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

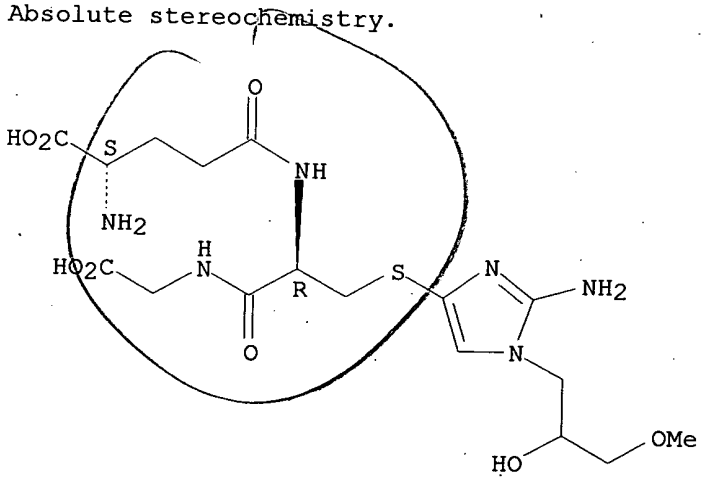


RN 124206-08-2 CAPLUS
CN 2H-Imidazol-2-one, 1,3-dihydro-1-[2-hydroxy-3-(1-piperidinyl)propyl]-, oxime (9CI) (CA INDEX NAME)



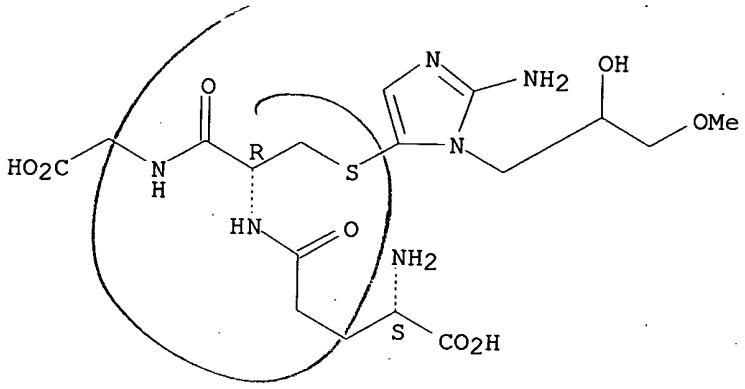
L20 ANSWER 35 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1988:400143 CAPLUS
DN 109:143
TI Regioselective formation of a misonidazole-glutathione conjugate as a function of pH during chemical reduction
AU Chacon, Enrique; Morrow, Cary J.; Leon, Alberto A.; Born, Jerry L.; Smith, Brian R.
CS Coll. Pharm., Univ. New Mexico, Albuquerque, NM, 87131, USA
SO Biochemical Pharmacology (1988), 37(2), 361-3
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB In investigations concerned with the chem. synthesis of misonidazole-glutathione conjugate (MISO-GSH), it was found that the selectivity for the formation of the C-4 or C-5 conjugate isomers was influenced significantly by the pH of the reaction mixt. The work described characterizes the influence of the reaction medium on the regioselective binding of GSH to a reductively-generated, MISO-derived electrophile. Observations of the pH-dependent, regioselective formation of the MISO-GSH adduct have provided an opportunity to probe the reductive activation of MISO. The use of tritiated MISO facilitated MISO-GSH isolation and quantitation.
IT **86356-71-0 86356-72-1**
RL: FORM (Formation, nonpreparative)
(formation of, pH in relation to)
RN 86356-71-0 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-4-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

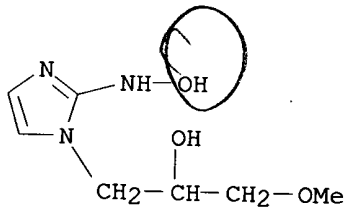


RN 86356-72-1 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-5-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

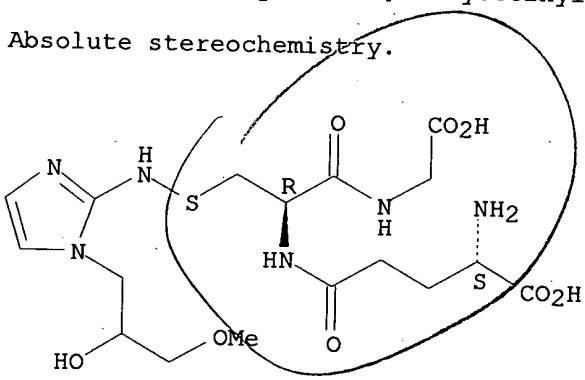

HO2C
N
H
O
R
S
N
NH2
OH
OMe
N
HN
O
NH2
S
CO2H

L20 ANSWER 36 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1986:586804 CAPLUS
DN 105:186804
TI DNA damage induced by reductively activated nitroimidazoles - pH effects
AU Edwards, D. I.; Knight, R. C.; Zahoor, A.
CS Dep. Paramed. Sci., North East London Polytech., London, E15 4LZ, UK
SO International Journal of Radiation Oncology, Biology, Physics (1986), 12(7), 1207-9
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English
AB The effect of pH on Escherichia coli DNA damage measured viscometrically and induced by electrolytically reduced metronidazole and misonidazole has been studied, together with the effect on the statistical av. no. of electrons required for redn., measured by high-resoln. coulometry, and nitrite prodn. measured colorimetrically. In general, nitroimidazole-induced DNA damage is greatest at acid pH and decreased at alk. pH, but whereas metronidazole exhibits a linear relation between DNA damage and increased pH, misonidazole shows a plateau at pH 6-8. The electron requirements for complete redn. (n) vary with pH. For misonidazole, n increases with an increase in pH both in the absence and presence of DNA with a shallow plateau at pH 6-8. In contrast, for metronidazole, n decreases with increased pH and exhibits breakpoints at pH 6-8. NO2- prodn. is linear with increased pH for misonidazole; for metronidazole, NO2- prodn. shows a sudden increase at 7.5 yielding .apprx.35% on a molar basis. The results may reflect differences in the relative stability and reactivity of the nitro radical anion.
IT **78524-63-7**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(DNA damage from, pH effects in)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



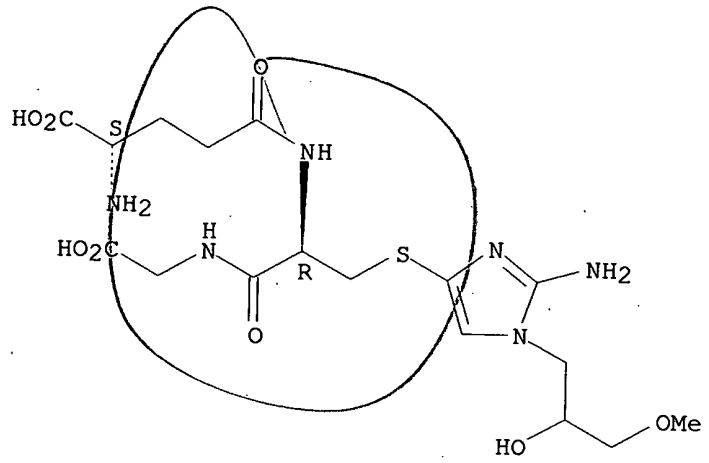
L20 ANSWER 37 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1986:586747 CAPLUS
DN 105:186747
TI Identification of a reactive glutathione conjugate as a metabolite of SR-2508 in CHO cells
AU Varghese, Alummoottil J.; Whitmore, Gordon F.
CS Phys. Div., Ontario Cancer Inst., Toronto, ON, M4X 1K9, Can.
SO International Journal of Radiation Oncology, Biology, Physics (1986), 12(7), 1223-6
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English
AB The reaction between GSH and the hydroxylamine deriv. of SR 2508 results in the formation of 2 stable conjugates identified as 2-amino-4-S-glutathionyl and 2-amino-5-S-glutathionyl imidazoles. These stables conjugates are apparently formed from a reactive deriv. of the hydroxylamine that is sufficiently stable to be isolated after HPLC sepn. The phys. and chem. properties of this deriv. are consistent with it being a GSH conjugate in which the glutathionyl residue is attached to the 2-amino N of the imidazole moiety through S. With excess GSH, under physiol. conditions, it forms a mixt. of the 2 stable GSH conjugate has been detected and suggests the possibility of GSH functioning as a carrier of a toxic metabolite of 2-nitroimidazoles under certain conditions.
IT **104953-86-8**
RL: BIOL (Biological study)
(formation and identification of, in SR2508 metab. and conjugation with glutathione in CHO cells)
RN 104953-86-8 CAPLUS
CN Glycine, N-[N-L-.gamma.-glutamyl-S-[[1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-2-yl]amino]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



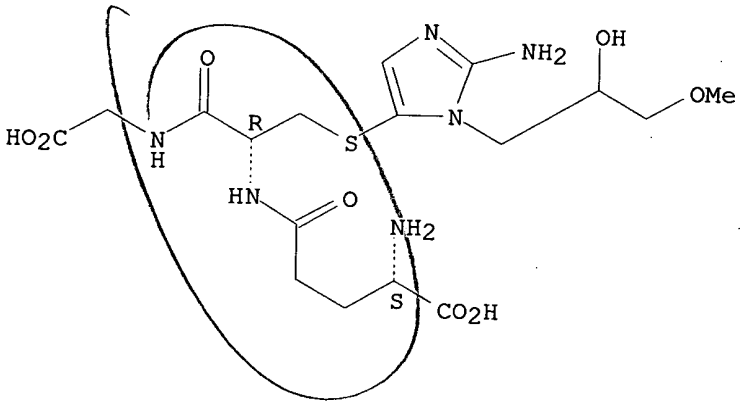
IT **86356-71-0 86356-72-1**
RL: FORM (Formation, nonpreparative)
(formation of, from SR 2508 metab. and conjugation with glutathione in CHO cells)
RN 86356-71-0 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-4-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

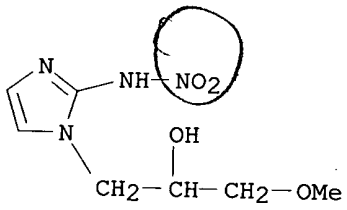


RN 86356-72-1 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-5-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

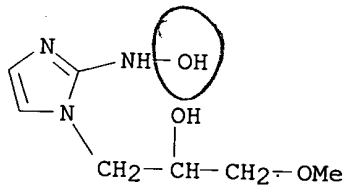
Absolute stereochemistry.



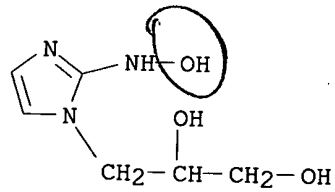
L20 ANSWER 38 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1986:552996 CAPLUS
DN 105:152996
TI Chemical reduction of the radiosensitizer misonidazole by zinc or glucose
AU Gattavecchia, Enrico; Tonelli, Domenica
CS Ist. Sci. Chim., Univ. Bologna, Bologna, Italy
SO Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1972-1999) (1986), (5), 689-93
CODEN: JCPKBH; ISSN: 0300-9580
DT Journal
LA English
AB Misonidazole (I; R = NO2) (II) was reduced by Zn dust or glucose in almost neutral or alk. solns. TLC of the redn. mixts. showed the presence of several products. Two of them were identified as the azo and the azoxy derivs. of II. When the redn. was carried out in alk. soln., another reaction, competitive with the redn., was obsd. This reaction, involving the loss of the NO2 group, led to 2 products: I (R = OH), from nucleophilic substitution by OH-, and III, from an intramol. displacement. This kind of denitrative process must be considered when the redn. of II is performed at basic pHs. In such conditions 2 other redn. products were identified and a possible mechanism for their formation is suggested.
IT **104478-85-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 104478-85-5 CAPLUS
CN 1H-Imidazole-1-ethanol, .alpha.-(methoxymethyl)-2-(nitroamino)- (9CI) (CA INDEX NAME)



L20 ANSWER 39 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1986:454118 CAPLUS
DN 105:54118
TI Properties of 2-hydroxylaminoimidazoles and their implications for the biological effects of 2-nitroimidazoles
AU Varghese, A. J.; Whitmore, G. F.
CS Phys. Div., Ontario Cancer Inst., Toronto, ON, M4X 1K9, Can.
SO Chemico-Biological Interactions (1985), 56(2-3), 269-87
CODEN: CBINA8; ISSN: 0009-2797
DT Journal
LA English
AB In aq. soln., in the presence of NH4Cl, N1-substituted 2-nitroimidazoles are readily reduced to the corresponding hydroxylamines. In air, under neutral conditions, analogous to the reactions of arom. hydroxylamines, 2-hydroxyaminoimidazoles are converted to the azoxy derivs. via a base-catalyzed condensation reaction between the hydroxylamine and its oxidn. product, the nitroso deriv. In N, rearrangement to form the 2-amino-4(5)-hydroxyimidazole deriv. followed by addn. of water across the C4-5 double bond to yield isomers of a 4,5-dihydro-4,5-dihydroxy deriv. appears to be a major reaction. 2-Hydroxylaminoimidazoles undergo a complex series of reactions with glutathione. The initial reaction is the formation of a labile conjugate involving an N-S-linkage. Subsequently in the presence of excess GSH, under neutral conditions, 2 stable conjugates identified as 2-amino-4-S-glutathionyl- and 2-amino-5-S-glutathionyl imidazoles are formed. Nucleophilic attack by GSH on the imidazole ring of a nitrenium ion is postulated as the initial step in the formation of the stable GSH conjugates as well as the 2-amino-4,5-dihydro dihydroxy deriv. The results provide a mol. mechanism for many of the biol. effects of N1-substituted 2-nitroimidazoles in hypoxic mammalian cells.
IT **78524-63-7 102998-01-6 102998-02-7**
RL: BIOL (Biological study)
(prepn. or formation of, in hypoxic mammalian cells, biol. effects of nitroimidazoles in relation to)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

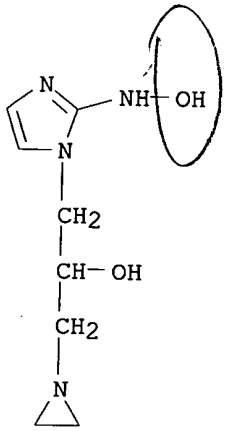


RN 102998-01-6 CAPLUS
CN 2H-Imidazol-2-one, 1-(2,3-dihydroxypropyl)-1,3-dihydro-, oxime (9CI) (CA INDEX NAME)



RN 102998-02-7 CAPLUS

CN 2H-Imidazol-2-one, 1-[3-(1-aziridinyl)-2-hydroxypropyl]-1,3-dihydro-, oxime (9CI) (CA INDEX NAME)

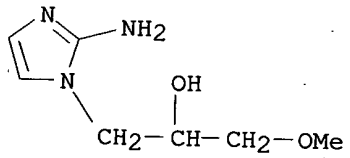


L20 ANSWER 40 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1986:30952 CAPLUS
DN 104:30952
TI The influence of thiols on the pre-irradiation incubation effect of nitroimidazoles in E. coli cells
AU Anderson, Robert F.; Patel, Kantilal B.; Stratford, Michael R. L.
CS Gray Lab., Mount Vernon Hosp., Northwood/Middlesex, HA6 2RN, UK
SO International Journal of Radiation Biology and Related Studies in Physics, Chemistry and Medicine (1985), 48(4), 485-94
CODEN: IJRBA3; ISSN: 0020-7616
DT Journal
LA English
AB The increase in the degree of radiosensitization of Escherichia coli cells following prolonged pre-irradn. incubation with nitroimidazoles is not correlated with the loss of intracellular nonprotein thiols (NPSH) alone. The rates of redn. of the nitro compds. and the NPSH removal do not show strong dependencies on the lipophilicities of the nitroimidazoles whereas the highly lipophilic compd. RGW-609 effects an increase in radiosensitization in a much shorter incubation time than the other nitroimidazoles. Exogenous dithiothreitol (DTT) increased he rate of redn. of misonidazole in the cells but did not alter the fraction converted to the amine. Added DTT (0.15 mmol/dm3) completely protected against the pre-irradn. incubation effect of misonidazole (2.5 mmol/dm3) when added at the start of the incubation but only partially protected when added before irradn. It is suggested that NPSH can intercept metabolite(s) (or their precursors) of nitroimidazoles which can potentiate cell killing by radiation.
IT **88454-11-9**
RL: BIOL (Biological study)
(metab. of and radiosensitization by, in Escherichia coli, nonprotein thiols in relation to)
RN 88454-11-9 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

Same as # 29

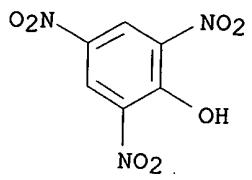
CM 1

CRN 76620-73-0
CMF C7 H13 N3 O2

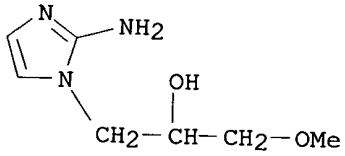


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

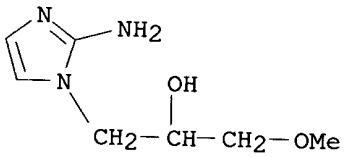

O2N
NO2
OH
NO2

L20 ANSWER 41 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1985:500919 CAPLUS
DN 103:100919
TI Comparative distribution of misonidazole and its amine metabolite in female Swiss Webster mice
AU Born, Jerry L.; Hadley, William H.
CS Coll. Pharm., Univ. New Mexico, Albuquerque, NM, 87131, USA
SO International Journal of Radiation Oncology, Biology, Physics (1985), 11(6), 1157-61
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English
AB The distribution of misonidazole and its terminal redn. product 1-(2-amino-1-imidazolyl)-3-methoxy-2-propanol (misoamine), were compared in female Swiss Webster mice to det. if either misonidazole or misoamine is distributed in peripheral nerves. Female Swiss Webster mice received a 100 mg/kg (5 .mu.Ci/.mu.mol) i.p. dose of either [3H]misonidazole or [3H]misoamine and the distribution of radioactivity was detd. in various tissues including sciatic nerves and other myelinated nerves. Urine from misonidazole-treated animals contained both misoamine and misonidazole (8.4 and 20.4%, resp., of the total radioactivity in the urine). Misondiazole produced higher initial tissue concns. of radioactivity than did misoamine. The relative tissue concns. of radioactive produced by misonidazole or misoamine were similar, although not identical, 48 h after administration of the drugs. Both sciatic and other myelinated nerves, were found to retain radioactivity following the administration of either misonidazole or misoamine.
IT **76620-73-0**
RL: BIOL (Biological study)
(misondiazole metabolite, biodistribution of)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



Same as #29

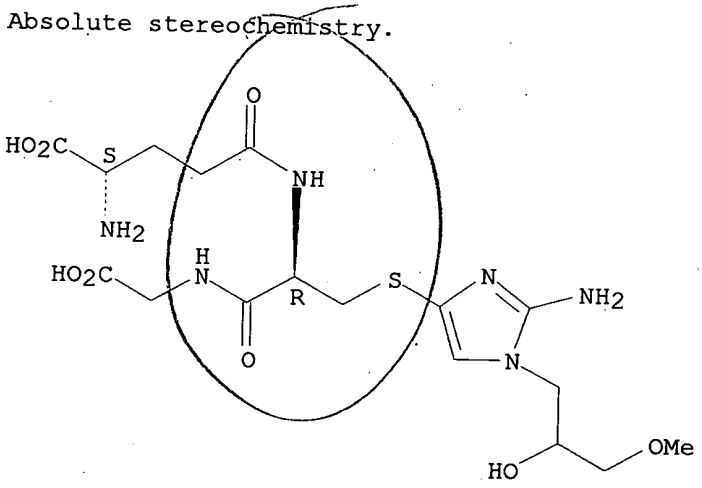
L20 ANSWER 42 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1984:625874 CAPLUS
DN 101:225874
TI Metabolism and excretion of [3H]misonidazole by hypoxic rat liver
AU Smith, Brian R.; Born, Jerry L.
CS Coll. Pharm., Univ. New Mexico, Albuquerque, NM, 87131, USA
SO International Journal of Radiation Oncology, Biology, Physics (1984), 10(8), 1365-70
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English
AB The perfused rat liver used as a model system produced the same misonidazole (MISO) metabolites as those isolated from rats given MISO, albeit reductive metab. was much less in rats. Reductive metab. of MISO by perfused livers was enhanced (estd. by measuring the rate of 1-[2-aminoimidazol-1-yl]-3-methoxy-2-propanol prodn.) by hypoxic conditions. Formation of a MISO-derived glutathione conjugate (MISO-GSH) and covalent binding of MISO-derived radioactivity to tissue protein was also enhanced by hypoxia. Depletion of hepatic GSH with di-Et maleate increased the extent of covalent binding to protein under both aerobic and hypoxic conditions, and greatly diminished the formation of MISO-GSH. These results support the hypothesis that hypoxic conditions facilitate reductive metab. of MISO to an alkylating agent, and that GSH plays an intervening role in the alkylation reaction.
IT **76620-73-0**
RL: BIOL (Biological study)
(as misonidazole metabolite, in liver in hypoxia)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



Same as #29

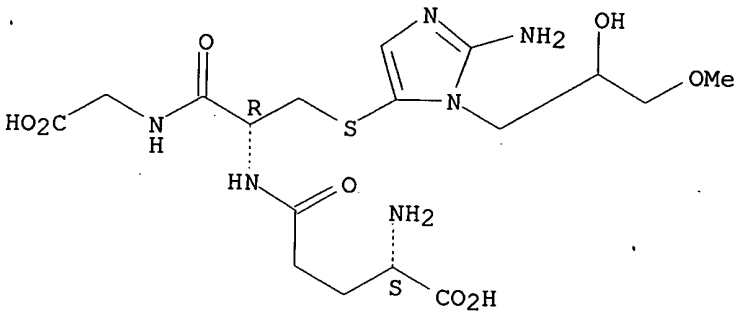
L20 ANSWER 43 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1984:606804 CAPLUS
DN 101:206804
TI Misonidazole-glutathione conjugates in CHO cells
AU Varghese, A. J.; Whitmore, G. F.
CS Phys. Div., Ontario Cancer Inst., Toronto, ON, M4X 1K9, Can.
SO International Journal of Radiation Oncology, Biology, Physics (1984), 10(8), 1341-5
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English
AB The detection of misonidazole-glutathione conjugates in CHO cells in hypoxia was performed by HPLC and UV detection. The addn. of glutathione to the cells increased the yield of conjugates. The misonidazole-glutathione conjugate was also obsd. in a liver ext. from a C3H mouse administered [14C]misonidazole.
IT **86356-71-0 86356-72-1**
RL: FORM (Formation, nonpreparative)
(formation of, in CHO cells in hypoxia and liver cells)
RN 86356-71-0 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-4-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

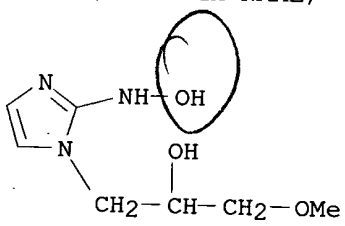


RN 86356-72-1 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-5-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

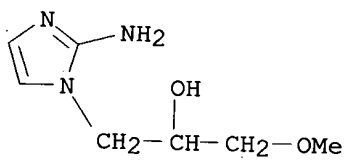
Absolute stereochemistry.



L20 ANSWER 45 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1984:205728 CAPLUS
DN 100:205728
TI 2-Hydroxylaminoimidazoles - unstable intermediates in the reduction of 2-nitroimidazoles
AU McClelland, Robert A.; Fuller, J. Roderick; Seaman, N. Esther; Rauth, A. Michael; Battistella, Rena
CS Scarborough Coll., Univ. Toronto, Toronto, ON, M5S 1A1, Can.
SO Biochemical Pharmacology (1984), 33(2), 303-9
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB An unstable 2-hydroxylaminoimidazole [2-(hydroxyamino)-1-methylimidazole] was prepd. by the reaction of 2-fluoro-1-methylimidazole with NH2OH. This substance was sufficiently stable (half-life of 1-2 days) in acid solns. to be obsd. and characterized by NMR spectroscopy; decompn. at neutrality was, however, rapid (half-life of 1-10 min). Radiochem. and electrochem. redn. expts. were carried out at pH 4 and 7 with 2-nitro-1-methylimidazole and misonidazole. A 4-electron stoichiometry was found in every case. The pH 4 reduced product was identified as the 2-hydroxylamino deriv. (>80% yield). The pH 7 reduced solns., on the other hand, showed no arom. 1H NMR signals, suggesting that a simple imidazole ring was no longer present. A shift to pH 7 of the hydroxylamine produced at pH 4, however, resulted in very similar NMR spectra. The conclusion, therefore, is that the hydroxylamine was produced initially on redn. of the nitroimidazole, but it was not stable.
IT **78524-63-7**
RL: BIOL (Biological study)
(misonidazole redn. product)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 46 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1984:102594 CAPLUS
DN 100:102594
TI Reactions of nitroimidazoles with hydrazine
AU Goldman, P.; Ramos, Socorro M.; Wuest, James D.
CS Dep. Pharmacol., Harvard Med. Sch., Boston, MA, 02215, USA
SO Journal of Organic Chemistry (1984), 49(5), 932-5
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB Metronidazole (I) reacts with N2H4 in the presence or absence of Pd to give triazole II, glyoxal dihydrazone, and ethanolammonium nitrite. Analogous reactions occur with other 4- and 5-nitroimidazoles and other hydrazines, but 2-nitroimidazoles are reduced in the presence of Pd to the 2-aminoimidazoles. A mechanism is proposed for the fragmentation of the 4- and 5-nitroimidazoles, and the relevance to in vivo processes is discussed.
IT **76620-73-0P 88454-11-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

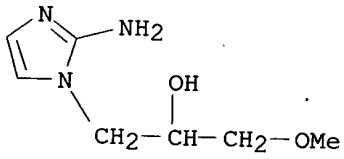


Same as #29

RN 88454-11-9 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

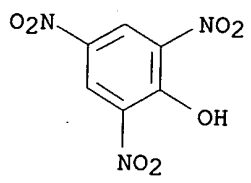
CM 1

CRN 76620-73-0
CMF C7 H13 N3 O2

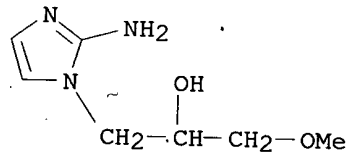


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

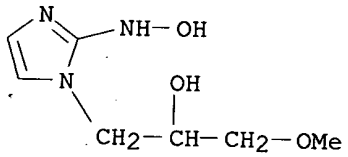

O2N
NO2
OH
NO2

L20 ANSWER 47 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1984:2825 CAPLUS
DN 100:2825
TI Cytotoxic properties of hydroxylamino- and aminomisonidazole, possible metabolic products of misonidazole, in hypoxic HeLa S3 cells
AU Murayama, Chieko; Hori, Hitoshi; Mori, Tomoyuki; Inayama, Seiichi
CS Sch. Med., Tokai Univ., Isehara, 259-11, Japan
SO Gann (1983), 74(5), 693-8
CODEN: GANNA2; ISSN: 0016-450X
DT Journal
LA English
AB Misonidazole, a deriv. of 2-nitroimidazole, has selective cytotoxic activity on hypoxic cells in addn. to its radiosensitizing activity. This cytotoxicity is considered to be due to metabolic redn. of the drug. A possible metabolite seems to be hydroxylaminomisonidazole, an intermediate product derived via redn. of the nitro group. Authentic samples of hydroxylamino- and aminomisonidazole (a final redn. product) were synthesized and their cytotoxicity towards HeLa (S3 cells was compared with that of misonidazole. After a 3-h exposure to 1mM hydroxylaminomisonidazole under aerobic and hypoxic conditions, the surviving cell fractions were 0.18 and 0.0056, resp. This represents a cytotoxicity 5 and 125-fold greater, resp., than that of misonidazole. Under the same conditions, aminomisonidazole showed no apparent cytotoxicity.
IT **76620-73-0 78524-63-7**
RL: PRP (Properties)
(toxicity of, to HeLa cells exposed to hypoxic conditions)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

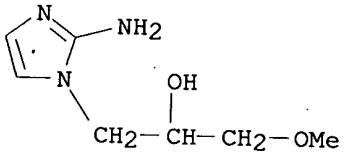


Same as #29

RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

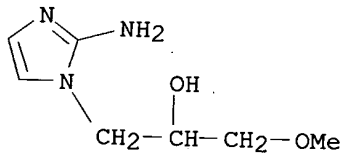


L20 ANSWER 48 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1983:608896 CAPLUS
DN 99:208896
TI Misonidazole neurotoxicity in mice decreased by administration with pyridoxine
AU Eifel, Patricia J.; Brown, Dennis M.; Lee, William W.; Brown, J. Martin
CS Sch. Med., Stanford Univ., Stanford, CA, 94305, USA
SO International Journal of Radiation Oncology, Biology, Physics (1983), 9(10), 1513-19
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English
AB A series of toxicol. and pharmacol. expts. was performed to test the hypothesis that alterations of pyridoxine (vitamin B6) metab. may play an important role in the development of misonidazole (MISO) neurotoxicity. The formation of a Schiff's base between the final redn. product of MISO, 2-amino-MISO (NH2-MISO), and pyridoxal-HCl in EtOH was demonstrated. Mice receiving daily i.p. injections of MISO suffered less toxicity (as detd. by survival, wt. gain, and neurol. tests) when large doses of pyridoxine-HCl (PYR) were delivered concomitantly, and consequently were able to tolerate administration of more than twice as many MISO injections. PYR did not alter the pharmacokinetics of MISO, either when given simultaneously or when given by multiple repeated daily injections prior to MISO. The administration of PYR also did not alter the radiosensitization by MISO in an in vivo-in vitro cloning assay with the EMT6 tumor in BALB/c mice. If depletion or altered metab. of pyridoxine by reduced metabolites is also responsible for the neurotoxic effects of nitroimidazoles in humans, then concomitant administration of pyridoxine (in doses greater than the molar quantity of NH2-MISO formed) should inhibit the development of such symptoms and allow administration of larger doses of MISO than are currently clin. employable.
IT **76620-73-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyridoxal hydrochloride)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



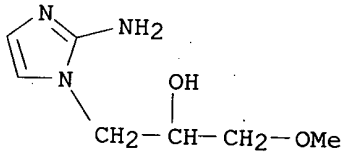
Same as #29

L20 ANSWER 49 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1983:572035 CAPLUS
DN 99:172035
TI Toxic and radiosensitizing effect of reduced nitroimidazoles on E. coli B/r cells
AU Ryabchenko, N. I.; Semin, Yu. A.; Petrova, K. M.; Kutmin, A. I.
CS Sci. Res. Inst. Med. Radiol., Obninsk, USSR
SO Radiobiologiya (1983), 23(4), 505-9
CODEN: RADOA8; ISSN: 0033-8192
DT Journal
LA Russian
AB Reduced metronidazole and reduced misonidazole were more toxic to hypoxic and oxygenated Escherichia coli .beta./r cells than were the nonreduced nitroimidazoles. Reduced metronidazole was also a more effective radiosensitizer of hypoxic E. coli .beta./r cells than the nonreduced compd. It had no radiosensitizing effect on oxygenated E. coli cells, however. The rate of chem. redn. of metronidazole and misonidazole by NH4Cl and Zn in Ar or O atms. was studied spectrophotometrically.
IT **76620-73-0**
RL: PRP (Properties)
(toxicity of, in Escherichia coli in hypoxic and oxygenated culture)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



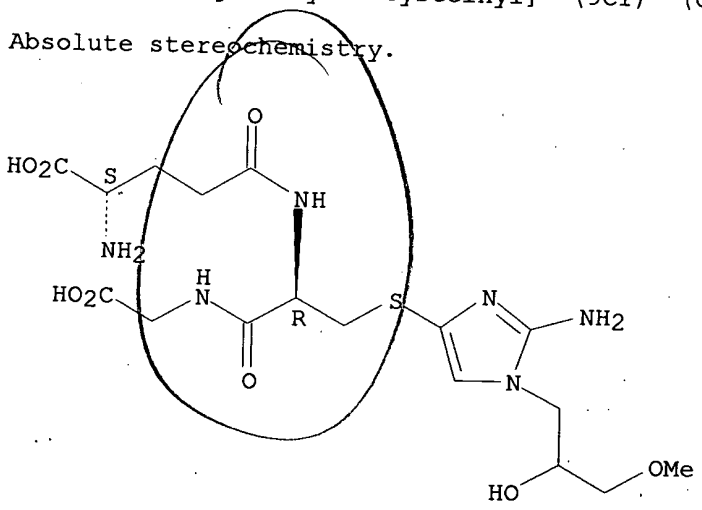
Same as #29

L20 ANSWER 50 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1983:515502 CAPLUS
DN 99:115502
TI Reduction of nitroheterocyclic compounds by mammalian tissues in vivo
AU Yeung, Tin Chuen; Sudlow, Gillian; Koch, Ronald L.; Goldman, Peter
CS Harvard Med. Sch., Beth Israel Hosp., Boston, MA, 02215, USA
SO Biochemical Pharmacology (1983), 32(14), 2249-53
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB To det. whether nitro group redn. occurs in mammalian tissues, metronidazole (I) [443-48-1] (0.021,0.064 and 10 mg/kg), misonidazole [13551-87-6] (0.015 mg/kg) and nitrofurazone [59-87-0] (0.13 mg/kg) were administered to germ-free rats. A reduced metabolite [1-(2-aminoimidazol-1-yl)-3-methoxypropan-2-ol] [**76620-73-0**] and 2 of its hydrolysis products, urea [57-13-6] and (2-hydroxy-3-methoxypropyl)-guanidine [82124-88-7], were found in the urine of germ-free rats that received misonidazole. When nitrofurazone was administered, a reduced metabolite, 4-cyano-2-oxobutyraldehyde semicarbazone [87015-72-3], was detected in the urine. However, acetamide [60-35-5] and N-(2-hydroxyethyl)oxamic acid [5270-73-5], fragmentation products from the redn. of metronidazole, were not found in significant concns. in the urine when germ-free rats received metronidazole. Apparently metronidazole is reduced so much more slowly than misonidazole and nitrofurazone in the tissues of germ-free rats that its reductive metabolites are not detectable. This observation may be explained by the one-electron redn. potential of these drugs, that of metronidazole being lower than those of either misonidazole or nitrofurazone. Under these circumstances, metronidazole redn. is not detected, either because its radical anion forms more slowly than that of the other nitroheterocyclic compds. or because its radical anion interacts more rapidly with O to restore the parent compd.
IT **76620-73-0**
RL: BIOL (Biological study)
(as misonidazole metabolite)
Same as #29
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



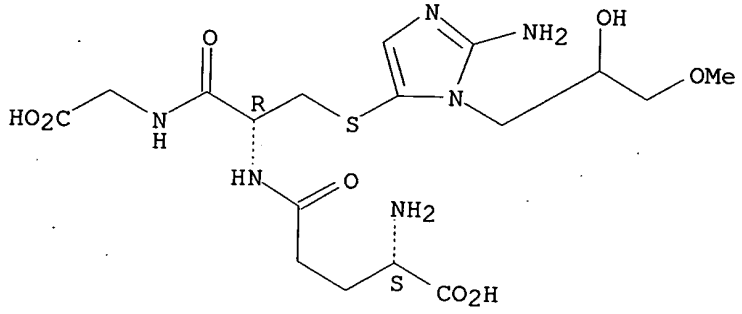
L20 ANSWER 51 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1983:435344 CAPLUS
DN 99:35344
TI Glutathione conjugates of misonidazole
AU Varghese, A. J.
CS Phys. Div., Ontario Cancer Inst., Toronto, ON, M4X 1K9, Can.
SO Biochemical and Biophysical Research Communications (1983), 112(3), 1013-20
CODEN: BBRCA9; ISSN: 0006-291X
DT Journal
LA English
AB The hydroxylamine deriv. of misonidazole reacts with GSH under physiol. conditions to form 2 isomeric conjugates. Based on phys. and chem. properties., the 2 conjugates have been identified as 1-[2-amino-(4-glutathion-S-yl)-1-imidazolyl]-3-methoxypropanol and 1-[2-amino-(5-glutathion-S-yl)-1-imidazolyl]-3-methoxypropranol. The formation of the GSH conjugates of reduced misonidazole offers a mol. mechanism for the depletion of GSH in mammalian cells after exposure to misonidazole under hypoxic conditions.
IT **86356-71-0 86356-72-1**
RL: FORM (Formation, nonpreparative)
(formation of, from reduced GSH reaction with misonidazole)
RN 86356-71-0 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-4-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

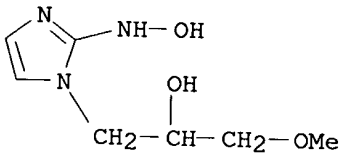


RN 86356-72-1 CAPLUS
CN Glycine, N-[S-[2-amino-1-(2-hydroxy-3-methoxypropyl)-1H-imidazol-5-yl]-N-L-.gamma.-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **78524-63-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with glutathione)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

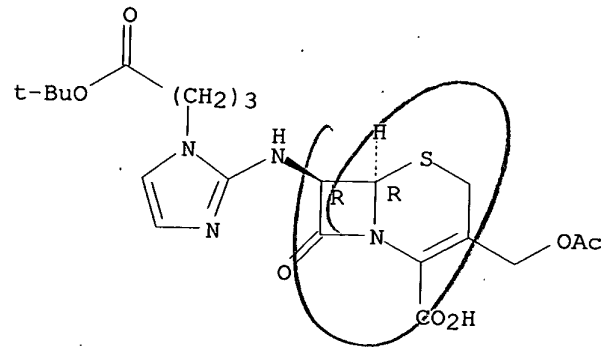


L20 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1982:615893 CAPLUS
DN 97:215893
TI Cephalosporin derivatives
IN Jung, Frederic Henri; Davies, Gareth Morse
PA I.C.I.-Pharma S. A., Fr.; Imperial Chemical Industries PLC
SO Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 55562	A2	19820707	EP 1981-305958	19811218
	EP 55562	A3	19820811		
	EP 55562	B1	19860212		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	FR 2496666	A1	19820625	FR 1980-27254	19801222
	ZA 8108594	A	19821229	ZA 1981-8594	19811210
	HU 27174	O	19831028	HU 1981-3786	19811216
	NO 8104372	A	19820623	NO 1981-4372	19811221
	DK 8105725	A	19820623	DK 1981-5725	19811222
	FI 8104132	A	19820623	FI 1981-4132	19811222
	AU 8178786	A1	19820701	AU 1981-78786	19811222
	JP 57167991	A2	19821016	JP 1981-207913	19811222
	ES 508280	A1	19830216	ES 1981-508280	19811222
	US 4492692	A	19850108	US 1981-333570	19811222
	ES 517824	A1	19830816	ES 1982-517824	19821130
PRAI	FR 1980-27254		19801222		

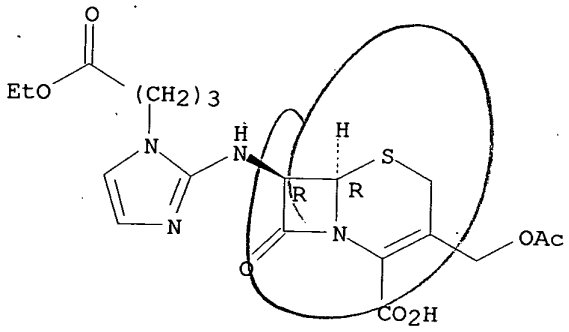
OS CASREACT 97:215893
AB Cephalosporins I [X = S, O, CH2, NH, alkylimino, NCHO, NBz; R = H, Me; R1 = appropriate substituent; R2 = H, protective group; R3 = H, alkoxy, alkylthio; R4 = H, (un)substituted alkyl, acyl, OH, alkoxy, amino, Ph, substituted Ph; R5, R6 = substituted alkyl, alkoxy, alkylthio, amino, acyl, heterocyclic] were prepd. Thus II was obtained by hydrolyzing the ester obtained by treating the 7-dibromomethyleneaminocephem with PhCH2C(:NOH)CH2NH2. II had a min. inhibitory concn. against Escherichia coli 0.25 .mu.g/mL.
IT **83629-69-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)
RN 83629-69-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[1-[4-(1,1-dimethylethoxy)-4-oxobutyl]-1H-imidazol-2-yl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



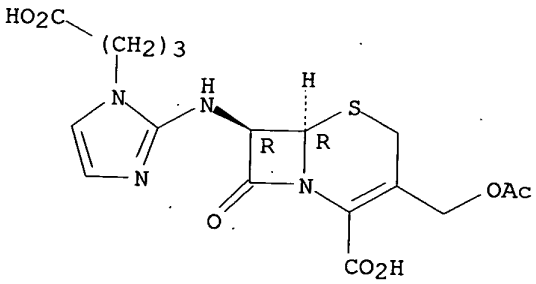
IT **83629-62-3P 83629-71-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83629-62-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[1-(4-ethoxy-4-oxobutyl)-1H-imidazol-2-yl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

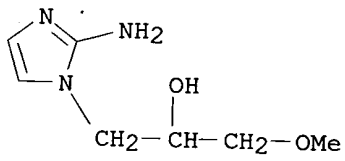


RN 83629-71-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[1-(3-carboxypropyl)-1H-imidazol-2-yl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

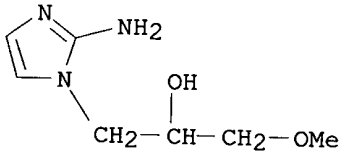


L20 ANSWER 53 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1982:451837 CAPLUS
DN 97:51837
TI The effect of temperature on the release of thymidine from DNA during exposure to electrolytically reduced misonidazole
AU Knox, R. J.; Knight, R. C.; Edwards, D. I.
CS Dep. Paramed. Sci., North East London Polytech., London, E15 4LZ, UK
SO International Journal of Radiation Biology and Related Studies in Physics, Chemistry and Medicine (1982), 41(4), 465-9
CODEN: IJRBA3; ISSN: 0020-7616
DT Journal
LA English
AB Studies of the damage induced by reduced misonidazole to DNA over the temp. range of 25-42.5.degree. showed that cytotoxicity of misonidazole is increased in a biphasic manner as detd. by drug-induced thymine release from DNA. The results indicated that the effects which model hyperthermia are not due to increased cytotoxicity of the reduced drug but most probably to an increase in the no. of available targets in DNA.
IT **76620-73-0**
RL: BIOL (Biological study)
(DNA damage by, hyperthermia effect on)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



Same as #29

L20 ANSWER 54 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1982:420002 CAPLUS
DN 97:20002
TI Comparative misonidazole metabolism in anaerobic bacteria and hypoxic Chinese hamster lung fibroblast (V-79-473) cells
AU Koch, Ronald L.; Rose, Christopher; Rich, Tyvin A.; Goldman, Peter
CS Dep. Pharmacol., Harvard Med. Sch., Boston, MA, USA
SO Biochemical Pharmacology (1982), 31(3), 411-14
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB The metab. of the radiosensitizer misonidazole (I) was similar in anaerobic cecal contents and hypoxic Chinese hamster lung fibroblasts (V-79-473). Both systems formed the amino derivs. of I, 1-(2-aminoimidazol-1-yl)-3-methoxypropan-2-ol (II), and urea, as well as a metabolite, (2-hydroxy-3-methoxypropyl)guanidine (III), which has not been described previously. Thus, the nitro group of I is apparently reduced to form II and this then hydrolyzes to urea or III, the latter in yields of 25 and 55% in tissue culture and cecal contents, resp. Both II and III were slightly mutagenic in the Ames tester strain TA 98, but only in the presence of the system for microsomal activation.
IT **76620-73-0**
RL: BIOL (Biological study)
(as misonidazole metabolite, of anaerobic bacteria and hypoxic fibroblasts)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

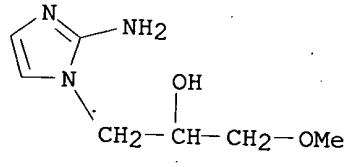


Same as #29

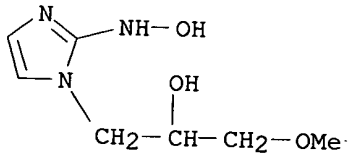
L20 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1981:580569 CAPLUS
DN 95:180569
TI Cellular and chemical reduction products of misonidazole
AU Varghese, A. J.; Whitmore, G. F.
CS Phys. Div., Ontario Cancer Inst., Toronto, ON, Can.
SO Chemico-Biological Interactions (1981), 36(2), 141-51
CODEN: CBINA8; ISSN: 0009-2797
DT Journal
LA English
AB Misonidazole (I) [13551-87-6] is readily reduced by Zn dust in aq. soln. in the presence of NH4Cl. High pressure liq. chromatog. sepn. of the redn. mixt. revealed the presence of 3 products. These were identified as the hydroxylamine [**78524-63-7**], amine [**76620-73-0**] and the hydrazo deriv. of misonidazole [**79295-72-0**]. There is evidence that the azoxy deriv. was an intermediate in the redn. process. When the redn. was carried out in dil. soln. (0.1 mg/mL), the hydroxylamine was the only product. In concd. soln. (20 mg/mL), the hydrazo deriv. was the major product. When misonidazole was reduced with H using Pd as catalyst, the amine was the only detectable product. Of the 3 products, only the hydroxylamine was found to bind covalently to bovine albumin. In Chinese hamster ovary (CHO) cells under hypoxic conditions the amine was confirmed as one of the metabolites. There was no evidence for the presence of detectable amts. of the hydroxylamine in the cell exts. The hydroxylamine is probably the reactive redn. metabolite responsible for the in vivo and in vitro binding of misonidazole to cellular macromols.
IT **76620-73-0 78524-63-7 79295-72-0**
RL: BIOL (Biological study)
(as misonidazole redn. product)

Count 1 of 29

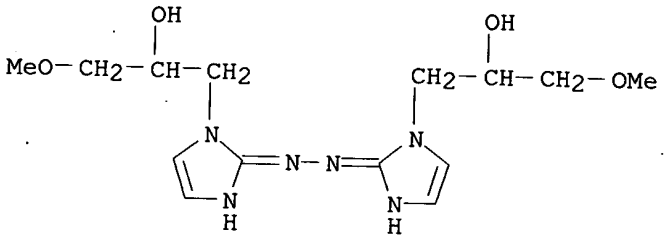
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



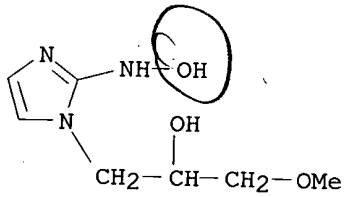
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



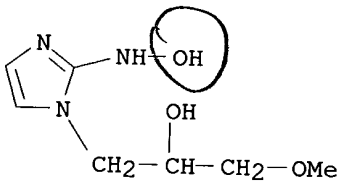
RN 79295-72-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2,2'-hydrazobis[.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



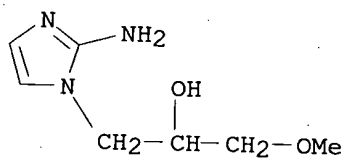
L20 ANSWER 56 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1981:490725 CAPLUS
DN 95:90725
TI Reduction of misonidazole and its derivatives by xanthine oxidase
AU Josephy, P. David; Palcic, Branko; Skarsgard, Lloyd D.
CS Med. Biophys. Unit, Cancer Res. Cent., Vancouver, BC, V5Z 1L3, Can.
SO Biochemical Pharmacology (1981), 30(8), 849-53
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB The azo- [78130-17-3] and azoxy- [78130-16-2] derivs. of misonidazole [13551-87-6] produced by Zn redn. were reduced by xanthine oxidase (EC 1.2.3.2) [9002-17-9] under hypoxic conditions giving hydroxylaminomisonidazole [**78524-63-7**] as the main product.
IT **78524-63-7**
RL: BIOL (Biological study)
(as misonidazole xanthine oxidase redn. metabolite)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 57 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1981:490724 CAPLUS
DN 95:90724
TI In vitro metabolism of misonidazole
AU Josephy, P. D.; Palcic, B.; Skarsgard, L. D.
CS Med. Biophys. Unit, British Columbia Cancer Res. Cent., Vancouver, BC, Can.
SO British Journal of Cancer (1981), 43(4), 443-50
CODEN: BJCAAI; ISSN: 0007-0920
DT Journal
LA English
AB Org.- and acid-sol. metabolites were formed and radioactivity bound to macromols. after in vitro metab. of 14C-labeled misonidazole (I) [13551-87-6] in hypoxic mammalian (CHO) cells. The org.-sol. products were sepd. by thin-layer and high-pressure liq. chromatog. and evidence presented for one of the metabolites being hydroxylaminomisonidazole [**78524-63-7**]. The significance of metabolic nitroredn. is discussed.
IT **78524-63-7**
RL: BIOL (Biological study)
(as misonidazole metabolite of hypoxic animal cell)
RN 78524-63-7 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(hydroxyamino)-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)

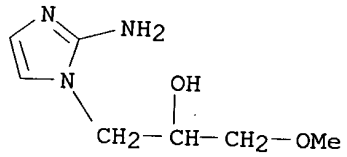


L20 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1981:400513 CAPLUS
DN 95:513
TI Host and hypoxic cell toxicity studies with the terminal reduction product of misonidazole
AU Born, Jerry L.; Hadley, William M.; Anderson, Susan L.; Yuhas, John M.
CS Coll. Pharm., Univ. New Mexico, Albuquerque, NM, USA
SO Radiat. Sensitizers: Their Use Clin. Manage. Cancer, [Proc. Conf.] (1980), Meeting Date 1979, 79-82. Editor(s): Brady, Luther W. Publisher: Masson USA, New York, N. Y.
CODEN: 45OJAG
DT Conference
LA English
AB Misonidazole (I) [13551-87-6] was much less toxic to mice than its terminal redn. product, 1-(2-aminoimidazol-1-yl)-3-methoxy-2-propanol (II) [**76620-73-0**], prepd. by redn. of I with H gas and Pt catalyst. The i.p. LD50 values were 1627 and 197 mg/kg, resp. In contrast, II was far less cytotoxic than I to cultured carcinoma cells under hypoxic conditions. Neither compd. was cytotoxic when incubated with cells under aerobic conditions.
IT **76620-73-0**
RL: BIOL (Biological study)
(as misonidazole redn. product, cytotoxicity and host toxicity of, hypoxia in relation to)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



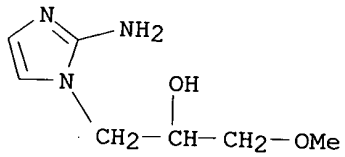
Saw on #29

L20 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1981:153141 CAPLUS
DN 94:153141
TI Role of the intestinal flora in the metabolism of misonidazole
AU Koch, Ronald L.; Beaulieu, Bernard B., Jr.; Goldman, Peter
CS Dep. Pharmacol., Beth Israel Hosp., Boston, MA, 02215, USA
SO Biochemical Pharmacology (1980), 29(24), 3281-4
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB The radiation sensitizer misonidazole (I) was metabolized to its amino deriv. (II) by pure or mixed cultures of intestinal microflora. II was excreted by normal, but not germfree, rats treated with I and was metabolized to CO2 by pure and mixed cultures. In cultures of Clostridium perfringens lacking urease, CO2 release required urease addn., suggesting that urea is an intermediate in this pathway.
IT **76620-73-0**
RL: BIOL (Biological study)
(as misonidazole metabolite, of intestinal flora)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



Same as #9

L20 ANSWER 60 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1981:114124 CAPLUS
DN 94:114124
TI Detection of the amine derivative of misonidazole in human urine by high-pressure liquid chromatography
AU Varghese, A. J.
CS Phys. Div., Ontario Cancer Inst., Toronto, ON, M4X 1K9, Can.
SO Analytical Biochemistry (1981), 110(1), 197-200
CODEN: ANBCA2; ISSN: 0003-2697
DT Journal
LA English
AB A sensitive high-pressure liq. chromatog. assay for the detection and quantitation of the misonidazole amine deriv. (I) [**76620-73-0**] in human urine is reported. The amine was converted to its dansyl deriv. and was sepd. on a reverse-phase .mu.Bondapak Ph column. Absorbance at 365 nm was monitored for the detection of the dansyl deriv. Using this procedure, the amine deriv. was identified as a urinary metabolite of misonidazole.
IT **76620-73-0**
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in urine by high-pressure liq. chromatog.)
RN 76620-73-0 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-amino-.alpha.-(methoxymethyl)- (9CI) (CA INDEX NAME)



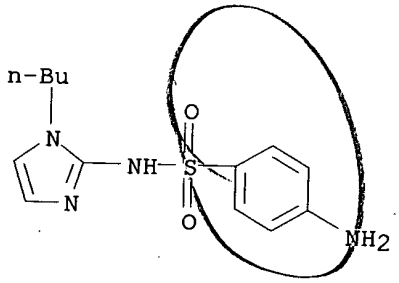
Same as #29

L20 ANSWER 61 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1979:611415 CAPLUS
DN 91:211415
TI Benzenesulfonylaminoimidazoles
IN Frehel, Daniel; Maffrand, Jean Pierre
PA Parcor, Fr.
SO Fr. Demande, 20 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

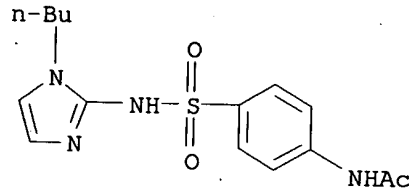
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2384757	A1	19781020	FR 1977-8972	19770325
	FR 2384757	B1	19790720		
PRAI	FR 1977-8972		19770325		

AB The imidazoles I (R = H, halo, alkyl, NH2, acylamino; R1 = alkyl, cycloalkyl, alkenyl, alkadienyl, alkynyl, dialkylaminoalkyl, aralkyl; R2 = H, Me) were prepd. Thus, 4-AcNHC6H4SO2N:C(SMe)2 was treated with 2-ClC6H4CH2NH2 to give 96% 4-AcNHC6H4SO2N:C(SMe)NHCH2C6H4Cl-2, which was treated with HC.tplbond.CCH2NH2 to give 4-AcNHC6H4SO2N:C(NHCH2C.tplbond.CH)NHCH2C6H4Cl-2. Cyclization of the latter compd. with NaOEt gave I [R = NHAc, R1 = CH2C6H4Cl-2, R2 = H (II)] quant. II was bactericidal at 10 mg in the inhibition zone test and effected a 27% decrease in blood sugar level in rats at 100 mg orally.

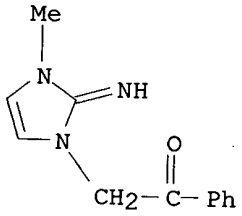
IT **71795-54-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activity of)
RN 71795-54-5 CAPLUS
CN Benzenesulfonamide, 4-amino-N-(1-butyl-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



IT **71795-41-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacetylation of)
RN 71795-41-0 CAPLUS
CN Acetamide, N-[4-[[(1-butyl-1H-imidazol-2-yl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

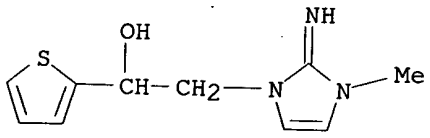

n-Bu
N
NH
S
O
O
N
NHAc

L20 ANSWER 63 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1972:413886 CAPLUS
DN 77:13886
TI 1H-Imidazo[1,2-.alpha.]imidazoles
AU Miller, Laird F.; Bambury, Ronald E.
CS Hess and Clark Div., Richardson-Merrell Inc., Ashland, OH, USA
SO Journal of Medicinal Chemistry (1972), 15(4), 415-17
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Screening of various 1H-imidazo[1,2-.alpha.]imidazoles and intermediates in their synthesis for anthelmintic activity against Nematospiroides dubius and Ascaris lumbricoides and for antibacterial, antiprotozoal, central nervous, and cardiovascular activity gave neg. results. The compds. were analogs of the broad spectrum anthelmintic, tetramisole. For example, 1-methyl-6-phenyl-2,3,5,6-tetrahydro-1H-imidazo[1,2-.alpha.]imidazole-2HCl (I.2HCl) [34959-91-6] was synthesized by reacting 2-amino-1-methylimidazoline and 2-bromoacetophenone to form 2-imino-3-methyl-1-phenacylimidazolidine-HBr, which was reduced with NaBH4 and refluxed with SOCl2 to yield I-2HCl.
IT **24607-97-4 37151-34-1 37162-77-9**
37162-78-0 37162-82-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthelmintic activity of)
RN 24607-97-4 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-phenyl-, monohydrobromide (9CI) (CA INDEX NAME)



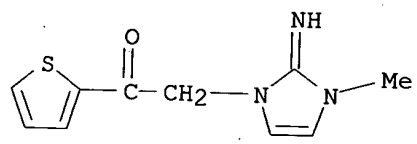
● HBr

RN 37151-34-1 CAPLUS
CN 1H-Imidazole-1-ethanol, 2,3-dihydro-2-imino-3-methyl-.alpha.-2-thienyl- (9CI) (CA INDEX NAME)



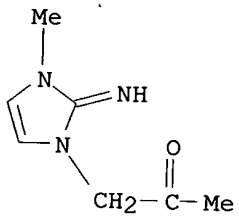
RN 37162-77-9 CAPLUS

CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-(2-thienyl)-, monohydrobromide (9CI) (CA INDEX NAME)



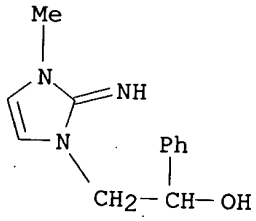
● HBr

RN 37162-78-0 CAPLUS
CN 2-Propanone, 1-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

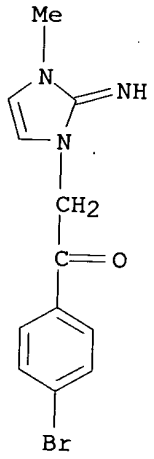


● HCl

RN 37162-82-6 CAPLUS
CN 1H-Imidazole-1-ethanol, 2,3-dihydro-2-imino-3-methyl-.alpha.-phenyl- (9CI) (CA INDEX NAME)

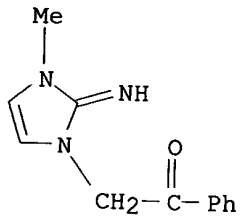


L20 ANSWER 64 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1972:405404 CAPLUS
DN 77:5404
TI Imidazoles. LXIII. Synthesis of imidazo[1,2-a]imidazole derivatives based on 2-aminoimidazoles
AU Priimenko, B. A.; Kochergin, P. M.
CS Zaporozh. Gos. Med. Inst., Zaporozhe, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(12), 1692-4
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
AB -Aminoimidazoles, condensed with RCHBrCOR1, gave I (R = H, R1 = Ph, p-MeC6H4, p-MeOC6H4, p-ClC6H4, p-BrC6H4) which were cyclized under the influence of mineral or org. acids in MeOH or EtOH to give II.
IT **22926-42-7P 24607-97-4P 36947-73-6P**
36947-74-7P 36947-76-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)
RN 22926-42-7 CAPLUS
CN Ethanone, 1-(4-bromophenyl)-2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 24607-97-4 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-phenyl-, monohydrobromide (9CI) (CA INDEX NAME)

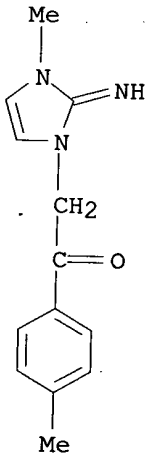


● HBr

RN 36947-73-6 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-(4-methylphenyl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

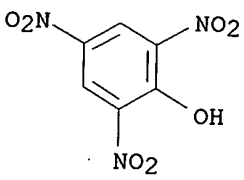
CM 1

CRN 46826-61-3
CMF C13 H15 N3 O

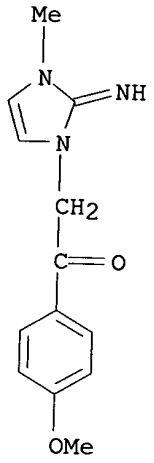


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

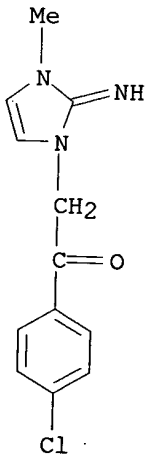


RN 36947-74-7 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-(4-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 36947-76-9 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-, monohydrobromide (9CI) (CA INDEX NAME)



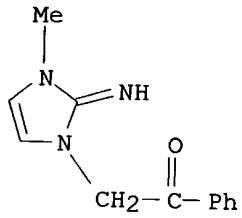
● HBr

IT **36947-72-5P 36947-75-8P 36947-77-0P 36947-79-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 36947-72-5 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-phenyl-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

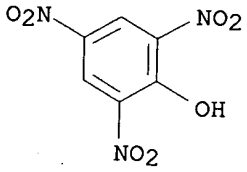
CM 1

CRN 46726-51-6
CMF C12 H13 N3 O



CM 2

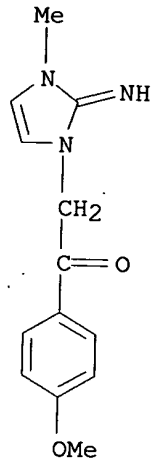
CRN 88-89-1
CMF C6 H3 N3 O7



RN 36947-75-8 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-(4-methoxyphenyl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

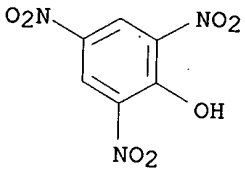
CM 1

CRN 46913-11-5
CMF C13 H15 N3 O2



CM 2

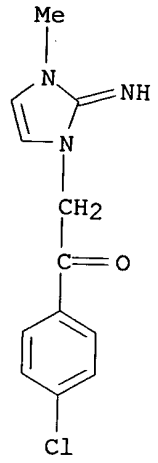
CRN 88-89-1
CMF C6 H3 N3 O7



RN 36947-77-0 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

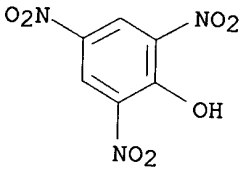
CM 1

CRN 46826-62-4
CMF C12 H12 Cl N3 O



CM 2

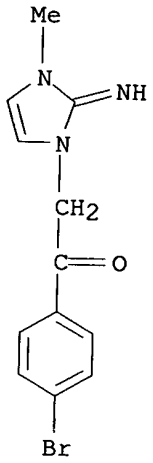
CRN 88-89-1
CMF C6 H3 N3 O7



RN 36947-79-2 CAPLUS
CN Ethanone, 1-(4-bromophenyl)-2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

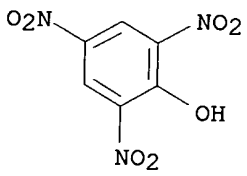
CM 1

CRN 46826-60-2
CMF C12 H12 Br N3 O

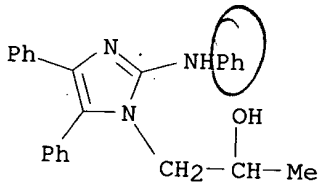


CM 2

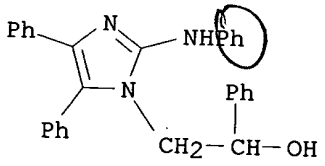
CRN 88-89-1
CMF C6 H3 N3 O7



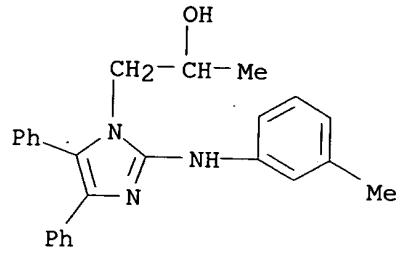
L20 ANSWER 65 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1972:25174 CAPLUS
DN 76:25174
TI Imidazoles. LXV. Synthesis of 2-aminoimidazole derivatives based on 2-haloimidazoles
AU Priimenko, B. A.; Kochergin, P. M.
CS Zaporozh. Gos. Med. Inst., Zaporozhe, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(9), 1248-51
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
AB 1-Alkyl(or hydroxyalkyl) - 2 - bromo - 4,5 - diphenylimidazoles undergo nucleophilic substitution with NH3, alkyl-, or arylamines either in an autoclave or in DMF to give 31 corresponding 2-aminoimidazoles in yields of 44-92%.
IT **34654-31-4P 34654-32-5P 34654-34-7P**
34654-46-1P 34654-48-3P 34657-76-6P
34657-77-7P 34657-78-8P 34657-79-9P
34657-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34654-31-4 CAPLUS
CN 1H-Imidazole-1-ethanol, .alpha.-methyl-4,5-diphenyl-2-(phenylamino)- (9CI) (CA INDEX NAME)



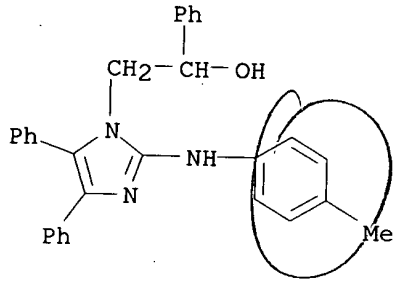
RN 34654-32-5 CAPLUS
CN 1H-Imidazole-1-ethanol, .alpha.,4,5-triphenyl-2-(phenylamino)- (9CI) (CA INDEX NAME)



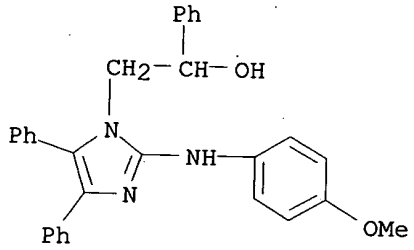
RN 34654-34-7 CAPLUS
CN 1H-Imidazole-1-ethanol, .alpha.-methyl-2-[(3-methylphenyl)amino]-4,5-diphenyl- (9CI) (CA INDEX NAME)



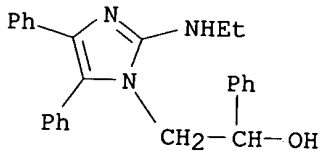
RN 34654-46-1 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-[(4-methylphenyl)amino]-.alpha.,4,5-triphenyl- (9CI) (CA INDEX NAME)



RN 34654-48-3 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-[(4-methoxyphenyl)amino]-.alpha.,4,5-triphenyl- (9CI) (CA INDEX NAME)

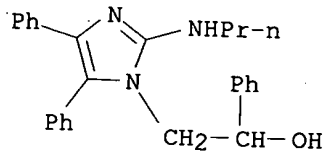


RN 34657-76-6 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(ethylamino)-.alpha.,4,5-triphenyl- (9CI) (CA INDEX NAME)

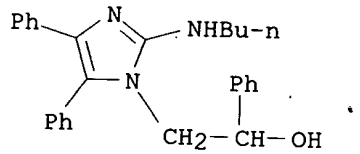


RN 34657-77-7 CAPLUS
CN 1H-Imidazole-1-ethanol, .alpha.,4,5-triphenyl-2-(propylamino)- (9CI) (CA

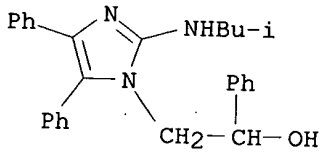
INDEX NAME)



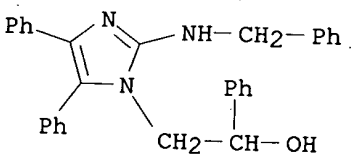
RN 34657-78-8 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-(butylamino)-.alpha.,4,5-triphenyl- (9CI) (CA INDEX NAME)



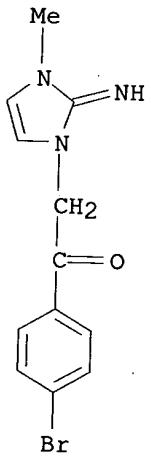
RN 34657-79-9 CAPLUS
CN 1H-Imidazole-1-ethanol, 2-[(2-methylpropyl)amino]-.alpha.,4,5-triphenyl- (9CI) (CA INDEX NAME)



RN 34657-80-2 CAPLUS
CN 1H-Imidazole-1-ethanol, .alpha.,4,5-triphenyl-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

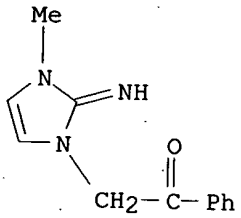


L20 ANSWER 66 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1969:403325 CAPLUS
DN 71:3325
TI Synthesis of imidazo[1,2-a]imidazole
AU Kochergin, P. M.; Priimenko, B. A.
CS Vses. Nauch.-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1969), (1), 176-7
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
AB The following I were obtained (R and m.p. of the HBr salt given): H, 234-6.degree. (MeOH) (decompn.); Br, 233-4.degree. (EtOH) (decompn.). I, heated with mineral acids gave the following II (R, R1, R2, and salt m.p. given): Me, H, Ph, 207-8.degree. (HBr-salt) (decompn.) (MeOH); Me, H, p-BrC6H4, 224-5.degree. (decompn.) (MeOH); Me, Me, Ph, 162-3.degree. (picrate) (MeOH); Me, Ph, Me, 209-10.degree. (picrate) (decompn.) (MeOH).
IT **22926-42-7P 24607-97-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 22926-42-7 CAPLUS
CN Ethanone, 1-(4-bromophenyl)-2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 24607-97-4 CAPLUS
CN Ethanone, 2-(2,3-dihydro-2-imino-3-methyl-1H-imidazol-1-yl)-1-phenyl-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

```
=> d his

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     FILE 'REGISTRY' ENTERED AT 17:52:59 ON 26 JUN 2003
L1             STRUCTURE UPLOADED
L2          34 S L1 SSS SAM
L3             STRUCTURE UPLOADED
L4          50 S L3 SSS SAM
L5             SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
L6             STRUCTURE UPLOADED
L7             QUE L6 NOT L5
L8          50 S L7 SSS SAM
L9         899 S L7 SSS FUL
L10            STRUCTURE UPLOADED
L11         13 S L10 SSS SAM SUB=L9
L12        235 S L10 SSS FUL SUB=L9
L13        664 S L9 NOT L12

     FILE 'CAPLUS' ENTERED AT 18:05:08 ON 26 JUN 2003
L14        234 S L13

     FILE 'REGISTRY' ENTERED AT 18:07:58 ON 26 JUN 2003
L15            SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
L16            STRUCTURE UPLOADED
L17            QUE L16 NOT L15
L18         17 S L17 SSS SAM SUB=L9
L19        256 S L17 SSS FUL SUB=L9

     FILE 'CAPLUS' ENTERED AT 18:08:49 ON 26 JUN 2003
L20         66 S L19

     FILE 'CAOLD' ENTERED AT 18:09:59 ON 26 JUN 2003

=> s l19
L21          0 L19

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    0.40     528.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00     -42.97

STN INTERNATIONAL LOGOFF AT 18:10:13 ON 26 JUN 2003
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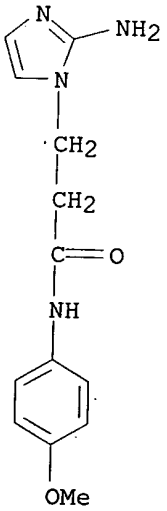
L20 ANSWER 18 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1996:507061 CAPLUS
DN 125:211954
TI Nitroimidazole-based 'extruded mustards' designed as reductively activated hypoxia-selective cytotoxins
AU Hay, Michael P.; Denny, William A.; Wilson, William R.
CS Cancer Res. Lab., Univ. Auckland School Med., Auckland, N. Z.
SO Anti-Cancer Drug Design (1996), 11(5), 383-402
CODEN: ACDDEA; ISSN: 0266-9536
PB Oxford University Press
DT Journal
LA English
AB A new class of nitroimidazole alkanoic acid amides, designed to extrude para-aminophenyl mustard by intramol. cyclization following redn. of the nitro group, have been prepd. and evaluated for their ability to function as bioreductively activated prodrugs. The mechanism of activation following (bio)redn. was studied using the model compds. and the related mustard analogs. However, the reduced forms of these compds. were relatively stable and not susceptible to intramol. cyclization. This is in contrast to the corresponding 2-nitrophenylalkyl amides, where the hydroxylamino or amino redn. products undergo intramol. cyclization via a tetrahedral intermediate, resulting in cleavage of the amide and release of an activated arom. mustard. One of the 2-nitroimidazole mustards (I) had 20-fold greater toxicity towards aerobic AA8 cells than RB 6145, and a 51-fold greater toxicity towards UV4 cells (which are defective in DNA cross-link repair and thus hypersensitive to crosslinking agents). The cytotoxicity of I against AA8 cells was enhanced 3.3-fold under hypoxic conditions, but the compd. was inactive against the hypoxic subfraction of cells in KHT tumors in vivo.
IT **181370-50-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nitroimidazole-based extruded mustards designed as reductively activated hypoxia-selective antitumor cytotoxins)
RN 181370-50-3 CAPLUS
CN 1H-Imidazole-1-propanamide, 2-amino-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

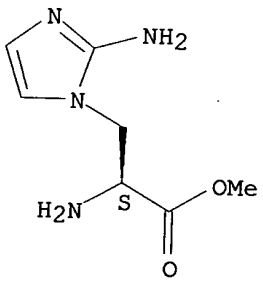


L20 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1995:659768 CAPLUS
DN 123:40980
TI Imidazole derivatives as glutaminase inhibitors and anticancer agents
IN Matsutani, Etsuya; Marui, Shogo
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 656210	A1	19950607	EP 1994-118069	19941116
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2135597	AA	19950520	CA 1994-2135597	19941118
	JP 07188181	A2	19950725	JP 1994-284943	19941118
	US 5552427	A	19960903	US 1994-345425	19941121
PRAI	JP 1993-290278		19931119		

OS MARPAT 123:40980
AB Glutaminase-inhibiting imidazole derivs. [I; A = (substituted) lower alkyl, (protected) amino; B = H, (substituted) hydrocarbyl] are prepd. for use as anticancer agents. Thus, 2-aminoimidazole sulfate reacted with DMF and DMF di-Me acetal to produce 2-(dimethylaminomethylene)aminoimidazole, then with 3-bromo-1-propene to form 2-(dimethylaminomethylene)amino-1-(2-propenyl)imidazole, and finally refluxed with 6N HCl to form 2-amino-1-(2-propenyl)imidazole-HCl (II). II inhibited glutaminase with an IC50 of 110 mM; other derivs. had IC50 .gtoreq.30 mM. Coated tablets were prepd. contg. 2-amino-1-methylimidazole 10.0, lactose 60.0, corn starch 35.0, gelatin 3.0, and Mg stearate 2.0 mg.
IT **164583-59-9P 164583-60-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(imidazole derivs. as glutaminase inhibitors and anticancer agents)
RN 164583-59-9 CAPLUS
CN 1H-Imidazole-1-propanoic acid, .alpha.,2-diamino-, methyl ester, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

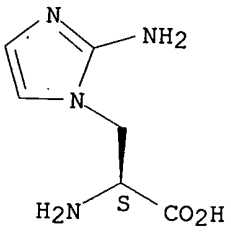


●2 HCl

RN 164583-60-2 CAPLUS

CN 1H-Imidazole-1-propanoic acid, .alpha.,2-diamino-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

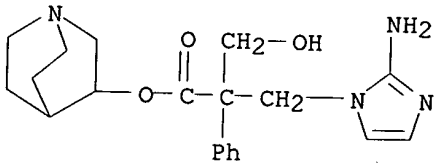


●2 HCl

L20 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1992:511614 CAPLUS
DN 117:111614
TI Preparation of quinuclidinyl 2-heterocyclylalkyl-3-hydroxy-2-phenylpropanoates as antimuscarinic bronchodilators
IN Stobie, Alan
PA Pfizer Ltd., UK; Pfizer Inc.
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

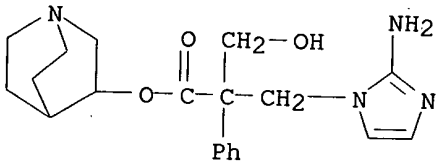
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9204346	A1	19920319	WO 1991-EP1670	19910903
	W: CA, FI, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA 2073005	AA	19920307	CA 1991-2073005	19910903
	CA 2073005	C	19981110		
	EP 500864	A1	19920902	EP 1991-915623	19910903
	EP 500864	B1	20010919		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 05502454	T2	19930428	JP 1991-513922	19910903
	JP 07025756	B4	19950322		
	AT 205844	E	20011015	AT 1991-915623	19910903
	ES 2161211	T3	20011201	ES 1991-915623	19910903
	FI 9202013	A	19920505	FI 1992-2013	19920505
	FI 97469	B	19960913		
	FI 97469	C	19961227		
	US 5292749	A	19940308	US 1992-852261	19920605
PRAI	GB 1990-19472	A	19900906		
	GB 1991-6733	A	19910328		
	WO 1991-EP1670	W	19910903		

OS MARPAT 117:111614
AB Title compds. [I; R = COCX(CH2OH)(CH2)mR1; R1 = (substituted) imidazolyl, -triazolyl, -oxadiazolyl, -pyridyl, -pyrimidinyl, etc.; X = thienyl, (substituted) Ph; m = 1, 2] were prepd. as bronchodilators (no data). Thus, CH2:CPhCO2H was esterified by (R)-3-quinuclidinol and the product treated with imidazole, HCHO, and NaH to give title compds. (R)- and (S)-II.
IT **141831-08-5P 141831-09-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antimuscarinic bronchodilator)
RN 141831-08-5 CAPLUS
CN 1H-Imidazole-1-propanoic acid, 2-amino-.alpha.-(hydroxymethyl)-.alpha.-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)



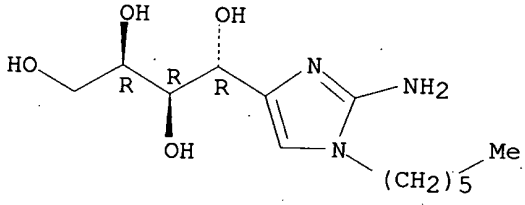
RN 141831-09-6 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 2-amino-.alpha.-(hydroxymethyl)-.alpha.-phenyl-, 1-azabicyclo[2.2.2]oct-3-yl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)



L20 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1992:255934 CAPLUS
DN 116:255934
TI Synthesis of 1-alkyl(or H)-4-(D-lyxo-tetritol-1-yl)-4-imidazolin-2-ylideneammonium picrates and chlorides
AU Fernandez-Bolanos, J.; Alaiz Barragan, M.
CS Fac. Quim., Univ. Sevilla, Seville, 41012, Spain
SO Anales de Quimica (1991), 87(5), 675-8
CODEN: ANQUEX; ISSN: 1130-2283
DT Journal
LA Spanish
OS CASREACT 116:255934
AB Title compds. I.HX (R = H, Me, n-hexyl, octyl; X = Cl or picrate) were prepd. by reaction of 1-alkyl(or H)-1-deoxy-D-lyxo-hexuloses with cyanamide, pptn. with picric acid and treatment with HCl. The chlorides were converted into N- and o-acetylated derivs.
IT **141436-05-7P 141436-06-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acetylation of)
RN 141436-05-7 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-hexyl-1H-imidazol-4-yl)-, monohydrochloride, [1R-(1R*,2R*,3R*)]- (9CI) (CA INDEX NAME)

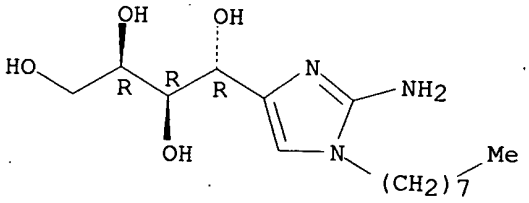
Absolute stereochemistry.



● HCl

RN 141436-06-8 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-octyl-1H-imidazol-4-yl)-, monohydrochloride, [1R-(1R*,2R*,3R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



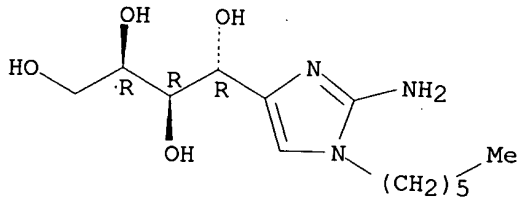
● HCl

IT **141405-93-8P 141505-40-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion to chloride salt)
RN 141405-93-8 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-hexyl-1H-imidazol-4-yl)-, [1R-(1R*,2R*,3R*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

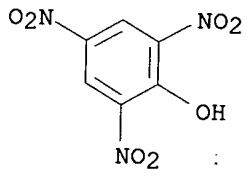
CRN 141405-92-7
CMF C13 H25 N3 O4

Absolute stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

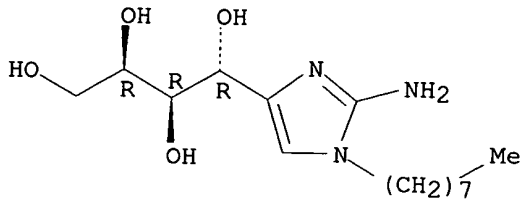


RN 141505-40-0 CAPLUS
CN 1,2,3,4-Butanetetrol, 1-(2-amino-1-octyl-1H-imidazol-4-yl)-, [1R-(1R*,2R*,3R*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

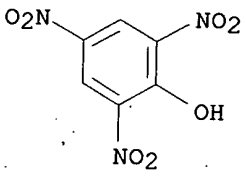
CRN 141505-39-7
CMF C15 H29 N3 O4

Absolute stereochemistry.



CM 2

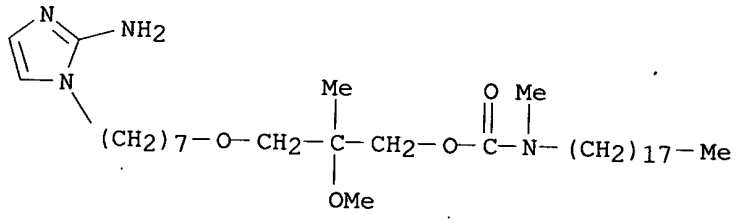
CRN 88-89-1
CMF C6 H3 N3 O7



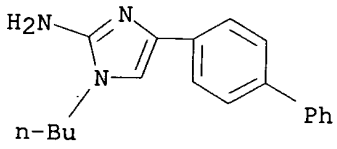
L20 ANSWER 25 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1993:650375 CAPLUS
DN 119:250375
TI Preparation of new 2,2-disubstituted glycerol and glycerol-like compounds, their compositions, and methods of use as platelet activating factor (PAF) antagonists
IN Solomon, Daniel; Kaminski, James J.; White, Steven K.; Lehman de Gaeta, Laura S.; Ganguly, Ashit K.
PA Schering Corp., USA
SO U.S., 51 pp. Cont. of U.S. Ser. No. 389,668, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5185334	A	19930209	US 1991-758448	19910906
	US 5449680	A	19950912	US 1992-955784	19921002
PRAI	US 1989-389668		19890731		
	US 1991-758448		19910906		

OS MARPAT 119:250375
AB Title compds. R1OCH2CR2R4CH2R3 [I; R1 = alkyl, CONR5R6, C(S)NR5R6; R5 = H, (un)substituted alkyl, (hetero)aryl, aralkyl, etc.; R6 = (un)substituted alkyl, (hetero)aryl, aralkyl, etc.; or NR5R6 = (un)substituted heterocycloalkyl; R2 = alkyl, CF3, (un)substituted aryl, aralkyl; R3 = -TUV; T = OPO3, OCO2, O, S, NRa, NRaSO2, OCONRa, NRaCO2 (Ra = H, alkyl, acyl); U = (CH2)e or (CH2)fC6H4(CH2)f; e = 2-10; f = 1-3; V = -AB; A = bond, O, S, O(CH2)n (n = 1-3), OCO, NRa; B = (un)substituted (hetero)(cyclo)alkyl, (hetero)aryl, various (thio)amide and amidine groups, such that AB contains .gtoreq.1 N atom; R4 = X-(C1-6 alkyl); X = CH2, O, SOb (b = 0-2), NRa; T .noteq. OPO3 when R1 = alkyl] were prepd. as antiallergics and antiinflammatories. For example, reaction of n-C18H37NMeCO2CH2CMe(OMe)CH2OP(O)(OH)OCH2CH2Br (prepn. given) with thiazole and Bu4N+I- at 120.degree., and conversion of the product bromide salt to its zwitterionic form by chromatog., gave I [R1 = CONMeC18H37-n, R2 = Me, R3 = OP(O)(O-)OCH2CH2X (X = thiazolio), R4 = OMe] (II). At 5 .mu.M in an in vitro human plasma assay, II gave 50% inhibition of PAF-induced platelet aggregation. Several formulations, 32 synthetic examples, 42 preparatory examples, and aggregation assay results for addnl. I are given.
IT **125319-91-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as blood platelet aggregation inhibitor and PAF antagonist)
RN 125319-91-7 CAPLUS
CN Carbamic acid, methyloctadecyl-, 3-[[7-(2-amino-1H-imidazol-1-yl)heptyl]oxy]-2-methoxy-2-methylpropyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 62 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1978:45563 CAPLUS
DN 88:45563
TI Synthesis and biological activity of some 2-aminoimidazoles
AU Cavalleri, B.; Volpe, G.; Arioli, V.; Parenti, F.
CS Res. Lab., Gruppo Lepetit S.p.A., Milan, Italy
SO Arzneimittel-Forschung (1977), 27(10), 1889-95
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA English
AB A series of 2-amino-4(5)-arylimidazoles and related derivs. (I) were prepd. by reacting cyanamide with substituted aminoacetophenones, and many of the compds. were shown to have a broad spectrum of antimicrobial activity in vitro. Some of the aminoimidazoles, esp. 2-amino-4(5)-(4-biphenylyl)imidazole-HCl [65146-47-6], also inhibited plaque formation by Streptococcus mutans, thereby indicating an anticariogenic activity.
IT **65146-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimicrobial activity of)
RN 65146-51-2 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1,1'-biphenyl]-4-yl-1-butyl-, monohydrochloride (9CI) (CA INDEX NAME)

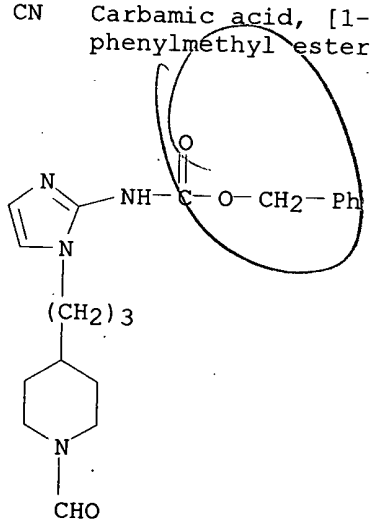


● HCl

L20 ANSWER 44 OF 66 CAPLUS COPYRIGHT 2003 ACS
AN 1984:438268 CAPLUS
DN 101:38268
TI Penicillanic acid derivatives
IN Wei, Chung Chen; Weigele, Manfred
PA Hoffmann-La Roche, Inc., USA
SO U.S., 32 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4431653	A	19840214	US 1982-359326	19820318
	EP 148283	A1	19850717	EP 1983-112841	19831220
	R: CH, DE, FR, GB, IT, LI				
	JP 60146892	A2	19850802	JP 1983-252393	19831230
	US 4537969	A	19850827	US 1984-568329	19840105
	US 4605744	A	19860812	US 1985-736185	19850520
PRAI	US 1982-359326		19820318		
	US 1984-568329		19840105		

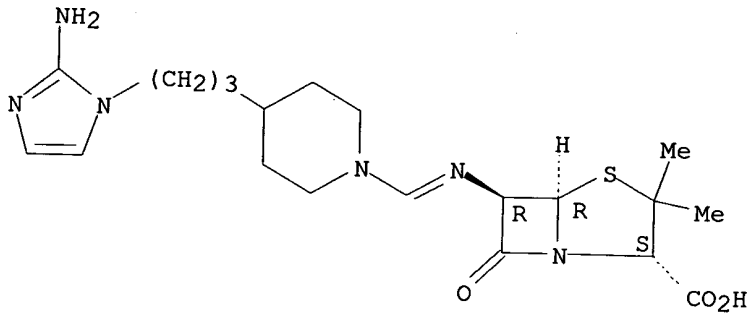
OS CASREACT 101:38268
AB Penicillins I (X = bond, alkylene cycle; X1 = 5-7-membered N heterocyclic cycle; R = 5-7-membered di- or triazaheterocyclyl) were prepd. Thus the acetal II was prepd. from 2-(4-pyridyl)ethanol in 6 steps and was treated with 6-aminopenicillanic acid to give III which had a min. inhibitory concn. against Escherichia coli 257 of 0.25 .mu.g/mL.
IT **90747-73-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
RN 90747-73-2 CAPLUS
CN Carbamic acid, [1-[3-(1-formyl-4-piperidinyl)propyl]-1H-imidazol-2-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **90747-41-4P 90748-29-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)
RN 90747-41-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[4-[3-(2-amino-1H-

imidazol-1-yl)propyl]-1-piperidinyl]methylene]amino]-3,3-dimethyl-7-oxo-, monohydrochloride, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

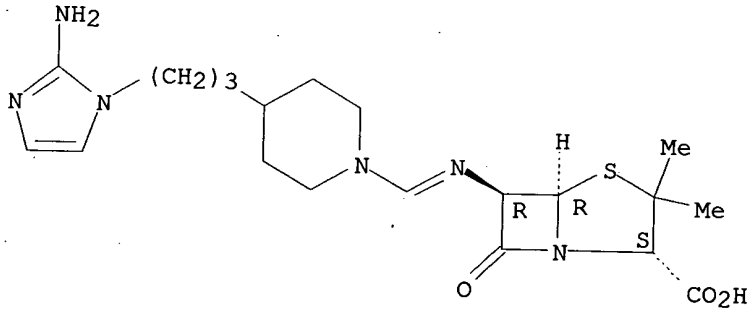
Absolute stereochemistry.
Double bond geometry unknown.



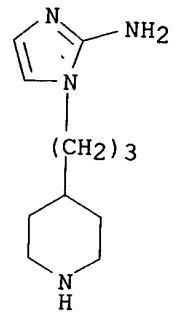
● HCl

RN 90748-29-1 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[4-[3-(2-amino-1H-imidazol-1-yl)propyl]-1-piperidinyl]methylene]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

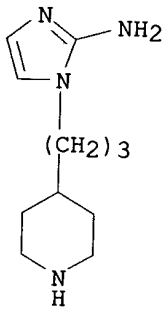
Absolute stereochemistry.
Double bond geometry unknown.



IT **90747-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with DMF di-Me acetal)
RN 90747-75-4 CAPLUS
CN 1H-Imidazol-2-amine, 1-[3-(4-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



IT **90747-74-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 90747-74-3 CAPLUS
CN 1H-Imidazol-2-amine, 1-[3-(4-piperidinyl)propyl]-, dihydrochloride (9CI)
(CA INDEX NAME)



●2 HCl